STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 166639

TO: David Lukton
Location: REM-3B75&3C18
Art Unit: 1654
Sept 28, **2005**

Case Serial Number: 10/688709

From: P. Sheppard
Location: Remsen Building
Phone: (571) 272-2529

sheppard@uspto.gov

Search Notes

ACCESS DB # 166639

PLEASE PRINT CLEARLY

SEARCH REQUEST FORM
(STIC)

9-22-05

Requestor's Name: David Lukton Examiner number: 71263 Date:

Art Unit: 1654 Phone number: 571-272-0952 Serial Number: 10/688709

Mail Box: 3-C-18 Examiner Rm: 3-B-75 Results format: paper

****

Title: New Uses for Amino acid anticonvulsants

Applicant: Robert Harris

Earliest Priority Date: 8/25/00

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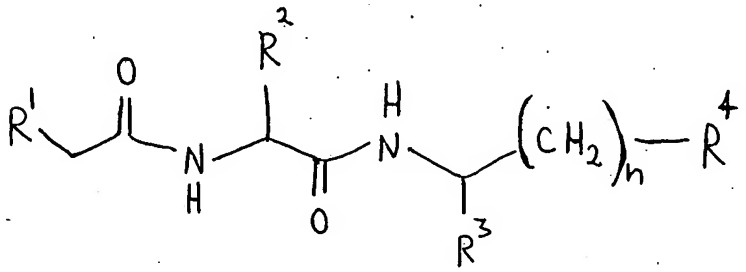
I would like to find references which disclose one of the following compounds, and at the same time, contain the term "migraine" (or migraines).



R^1 = anything, but does not contain amino acids;

R^2 = anything;

R^3 = anything, but does not contain amino acids;

R^4 = aryl

n = an integer of 0 – 3

****

STAFF USE ONLY

Searcher: _____

Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: _____

Date Completed: _____

Searcher Prep & Review Time: _____

Online Time: _____

Type of Search

_____ NA Sequence (#)

_____ AA Sequence (#)

_____ Structure (#)

_____ Bibliographic

_____ Litigation

_____ Fulltext

_____ Other

Vendors and cost where applicable

_____ STN _____ Dialog

_____ Questel/Orbit _____ Lexis/Nexis

_____ Westlaw _____ WWW/Internet

____ In-house sequence systems

____ Commercial ____ Oligomer ____ Score/Length

____ Interference ____ SPDI ____ Encode/Transl

_____ Other (specify)

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=> d his ful

     (FILE 'HOME' ENTERED AT 14:33:48 ON 28 SEP 2005)

     FILE 'REGISTRY' ENTERED AT 14:34:01 ON 28 SEP 2005
L1             STR
L2         99556 SEA SSS FUL L1

  FILE 'HCAPLUS' ENTERED AT 15:06:57 ON 28 SEP 2005
L11         5648 SEA ABB=ON  PLU=ON  ?MIGRAIN? OR ANTIMIGRAINE AGENTS/CV OR
                 HEADACHE (L) MIGRAINE/CV
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L18            STR L14
L19        46475 SEA SUB=L2 SSS FUL L1 NOT L18

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L21           20 SEA ABB=ON  PLU=ON  L20 AND L11
                 D STAT QUE L21
                 D IBIB ABS HITSTR L21 1-20
L22           19 SEA ABB=ON  PLU=ON  L20 AND ?HEADACHE?
L23            5 SEA ABB=ON  PLU=ON  L22 NOT L21
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                 D IBIB ABS HITSTR L23 1-5
L24         4613 SEA ABB=ON  PLU=ON  HARRIS R?/AU
L25            8 SEA ABB=ON  PLU=ON  L24 AND L20
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                 D IBIB ABS HITSTR L26 1-7

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L27            TRA L26 3 RN :       28 TERMS

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L32           14 SEA ABB=ON  PLU=ON  L31 AND PD=<AUGUST 30, 2000
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     FILE HOME

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:   27 SEP 2005  HIGHEST RN 864057-55-6
     DICTIONARY FILE UPDATES:  27 SEP 2005  HIGHEST RN 864057-55-6

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005
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Please note that search-term pricing does apply when conducting SmartSELECT searches.

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**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from  *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

FILE HCAPLUS

FILE COVERS 1907 - 28 Sep 2005 VOL 143 ISS 14
FILE LAST UPDATED: 27 Sep 2005 (20050927/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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FILE 'HCAPLUS' ENTERED AT 15:06:57 ON 28 SEP 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 28 Sep 2005  VOL 143 ISS 14
FILE LAST UPDATED: 27 Sep 2005  (20050927/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

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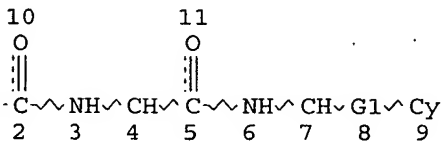


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DEFAULT ECLEVEL IS LIMITED

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RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  11

STEREO ATTRIBUTES: NONE
L2         99556 SEA FILE=REGISTRY SSS FUL L1
L11         5648 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ?MIGRAIN? OR ANTIMIGRAINE
                 AGENTS/CV OR HEADACHE (L) MIGRAINE/CV
L18               STR
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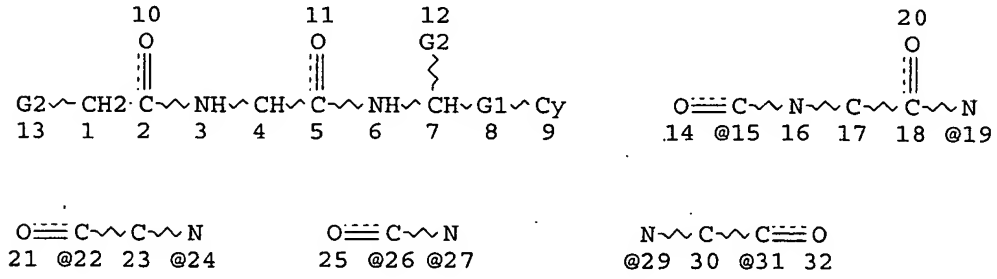

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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  31

STEREO ATTRIBUTES: NONE
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L20       16996 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L19
L21          20 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L20 AND L11


=>
=>

=> d ibib abs hitstr l21 1-20

L21  ANSWER 1 OF 20  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:        2005:182707  HCAPLUS
DOCUMENT NUMBER:         142:278745
TITLE:                   Human anti-NGF neutralizing antibodies as selective
                         NGF pathway inhibitors and for treating NGF-related
                         disorders such as chronic pain
INVENTOR(S):             Wild, Kenneth D.; Treanor, James J. S.; Huang,
                         Haichun; Inoue, Heather; Zhang, Tie J.; Martin, Frank
PATENT ASSIGNEE(S):      Amgen, Inc., USA
SOURCE:                  PCT Int. Appl., 190 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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     WO 2005019266         A2    20050303    WO 2004-US22876         20040715
     WO 2005019266         A3    20050428
          W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
              CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
              GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
              LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
              NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
              TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
          RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
              AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
              EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
              SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
              SN, TD, TG
     US 2005074821         A1    20050407    US 2004-891658          20040715
PRIORITY APPLN. INFO.:                     US 2003-487431P      P  20030715
AB   This invention provides antibodies that interact with or bind to human
     nerve growth factor (NGF) and neutralize the function of NGF thereby.  The
     invention also provides pharmaceutical compns. of said antibodies and
     methods for neutralizing NGF function, and particularly for treating
     NGF-related disorders (e.g., chronic pain) by administering a
     pharmaceutically effective amount of anti-NGF antibodies.  Methods of
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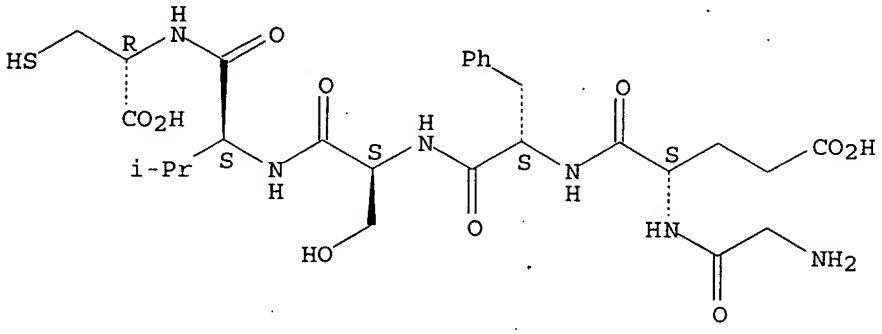
detecting the amount of NGF in a sample using anti-NGF antibodies are also provided.

IT **846550-13-8**
RL: PRP (Properties)
(unclaimed sequence; human anti-NGF neutralizing antibodies as selective NGF pathway inhibitors and for treating NGF-related disorders such as chronic pain)

RN 846550-13-8 HCAPLUS

CN L-Cysteine, glycyl-L-α-glutamyl-L-phenylalanyl-L-seryl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:267359 HCAPLUS
DOCUMENT NUMBER: 140:282464
TITLE: Sequences of mammalian RFamide-related peptide precursor proteins and RFamide peptides, and diagnostic and therapeutic use
INVENTOR(S): Michalovich, David; Kamp, Sarah Helen
PATENT ASSIGNEE(S): Inpharmatica Limited, UK
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026904	A1	20040401	WO 2003-GB4010	20030917

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2002-21564 A 20020917
GB 2003-503 A 20030109

AB This invention provides sequences of novel proteins, termed INTP026, INTP027 and INTP028, herein identified as RFamide-related peptide

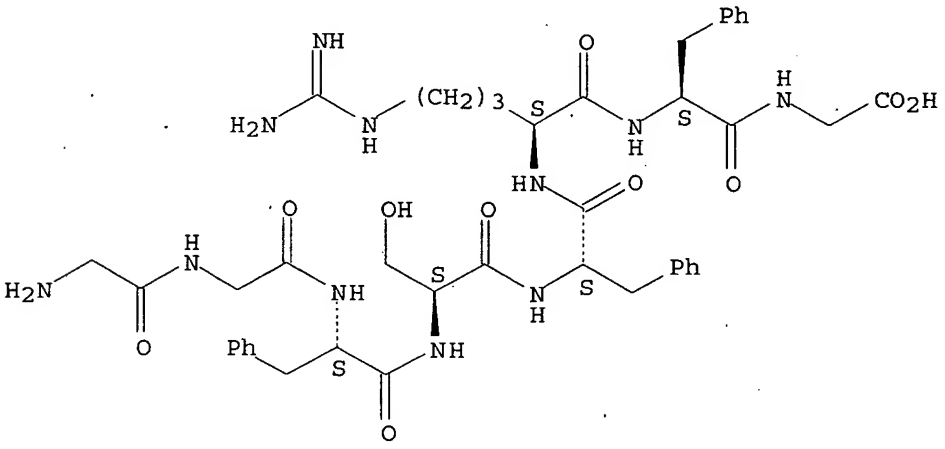
precursor proteins, which were cloned from human, mouse and rat. The invention also relates to the use of these proteins in the diagnosis, prevention and treatment of disease. This invention also relates to the RFamide-related peptides generated by cleavage of the INTP026, INTP027 and INTP028 RFamide-related peptide precursor proteins.

IT **675571-99-0**
RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(INTP026 peptide sequence; sequences of mammalian RFamide-related peptide precursor proteins and RFamide peptides, and diagnostic and therapeutic use)

RN 675571-99-0 HCAPLUS

CN Glycine, glycylglycyl-L-phenylalanyl-L-seryl-L-phenylalanyl-L-arginyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

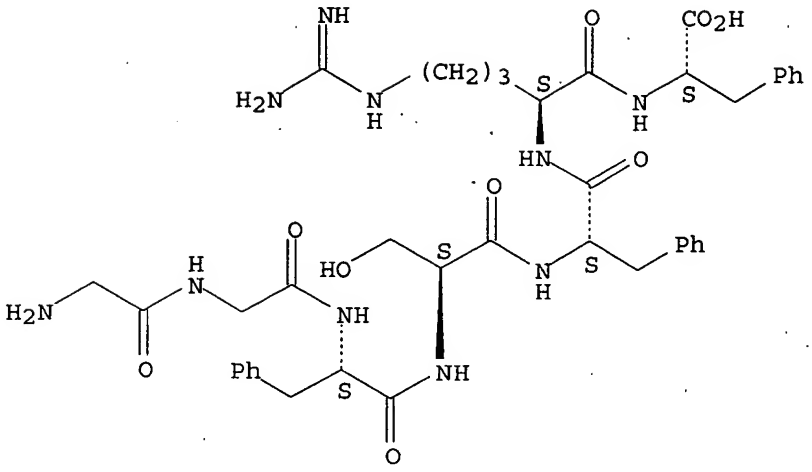


IT **501097-01-4**
RL: PRP (Properties)
(unclaimed sequence; sequences of mammalian RFamide-related peptide precursor proteins and RFamide peptides, and diagnostic and therapeutic use)

RN 501097-01-4 HCAPLUS

CN L-Phenylalanine, glycylglycyl-L-phenylalanyl-L-seryl-L-phenylalanyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:267260 HCAPLUS
DOCUMENT NUMBER: 140:297533
TITLE: Peptides and related molecules that modulate nerve growth factor activity
INVENTOR(S): Boone, Thomas C.; Wild, Kenneth D., Jr.; Sitney, Karen C.; Min, Hosung; Kimmel, Bruce
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 267 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026329	A1	20040401	WO 2003-US29866	20030919
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004121959	A1	20040624	US 2003-666480	20030918
US 6919426	B2	20050719		
CA 2497982	AA	20040401	CA 2003-2497982	20030919
EP 1545581	A1	20050629	EP 2003-759405	20030919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.:		
US 2002-412524P	P	20020919
US 2003-666480	A	20030918
WO 2003-US29866	W	20030919

OTHER SOURCE(S): MARPAT 140:297533

AB The present invention relates to certain biol. active peptides and polypeptides which can be used as therapeutics or prophylactics against diseases or disorders linked to nerve growth factor (NGF) as the causative agent. In one aspect of the present invention, pharmacol. active polypeptides comprising peptides linked to one or more Fc domains are provided.

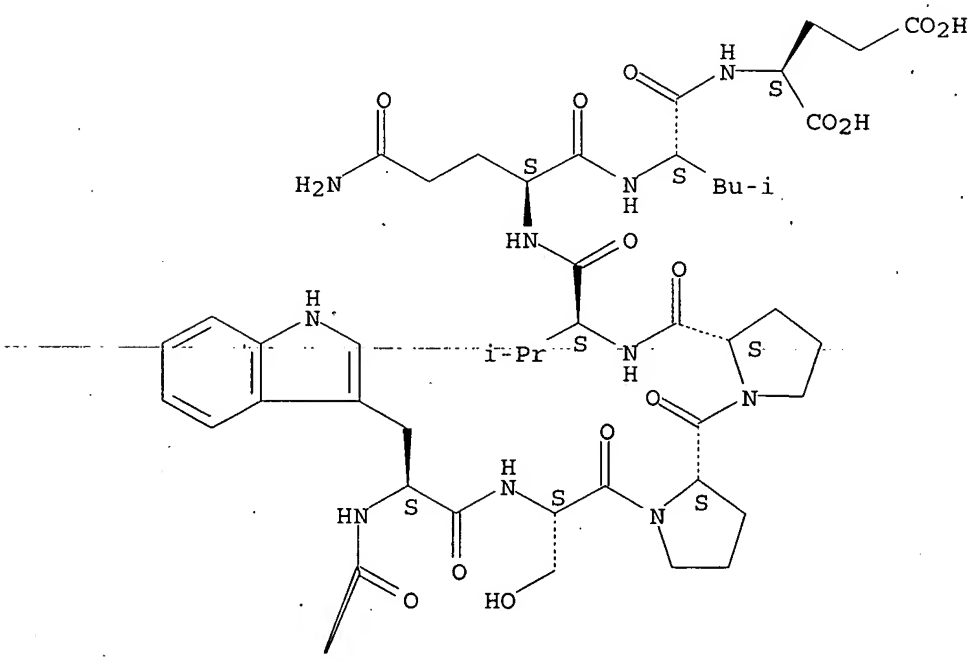
IT **676330-66-8D**, linker-peptide-Fc domain conjugates
676330-75-9D, linker-peptide-Fc domain conjugates
676330-76-0 676330-76-0D, linker-peptide-Fc domain conjugates **676330-86-2 676330-86-2D**, linker-peptide-Fc domain conjugates **676330-89-5D**, linker-peptide-Fc domain conjugates **676330-90-8D**, linker-peptide-Fc domain conjugates **676331-16-1D**, linker-peptide-Fc domain conjugates
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptides and related mols. that modulate nerve growth factor activity linked to vehicles such as antibody Fc domains for treatment of diseases associated with pain)

RN 676330-66-8 HCAPLUS

CN L-Glutamic acid, L-methionyl-L-prolyl-L-α-glutamyl-L-tryptophyl-L-lysylglycyl-L-tyrosyl-L-tryptophyl-L-prolyl-L-prolyl-L-α-glutamyl-L-valyl-L-phenylalanyl-L-isoleucyl-L-α-glutamyl-L-tryptophyl-L-prolyl-L-tryptophyl-L-seryl-L-prolyl-L-prolyl-L-valyl-L-glutaminyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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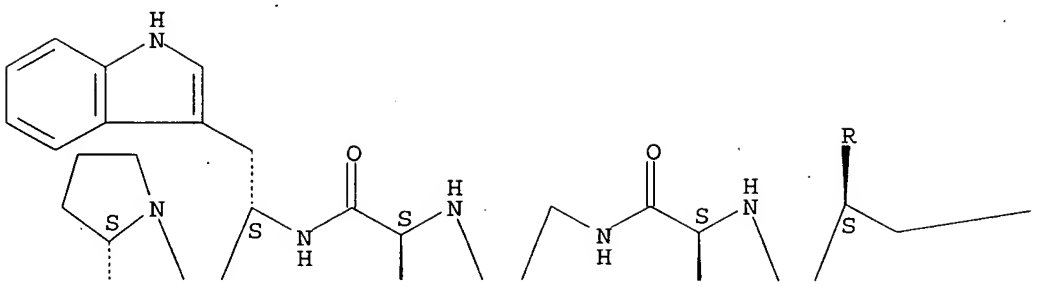

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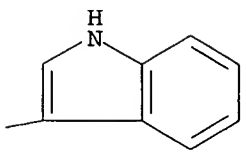

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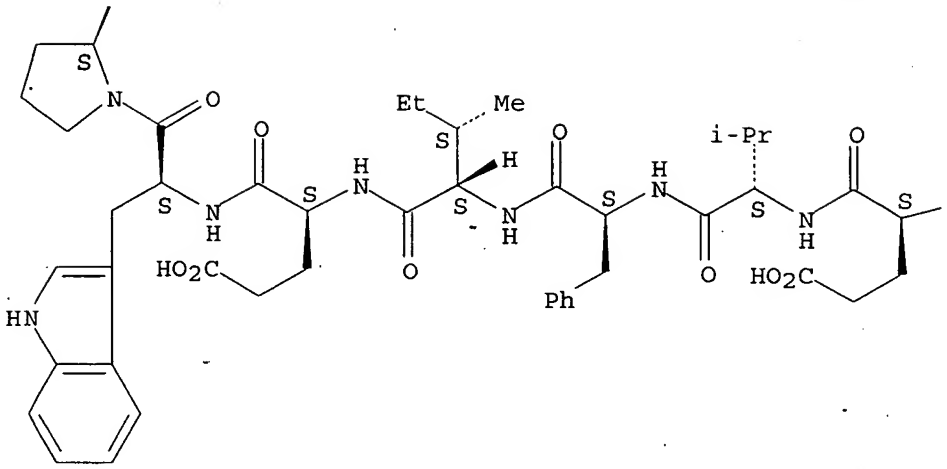

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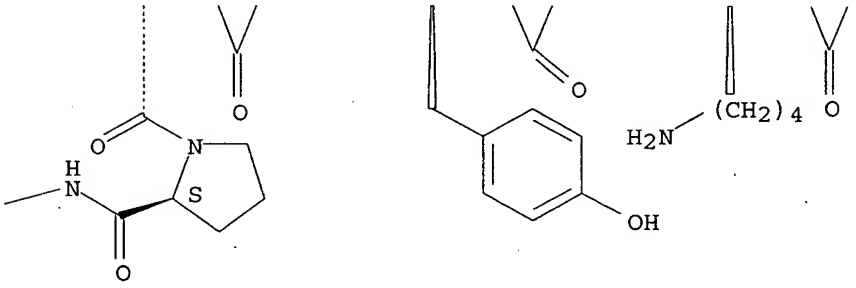

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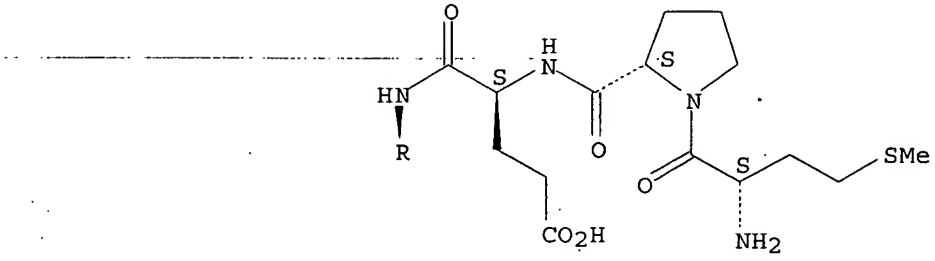
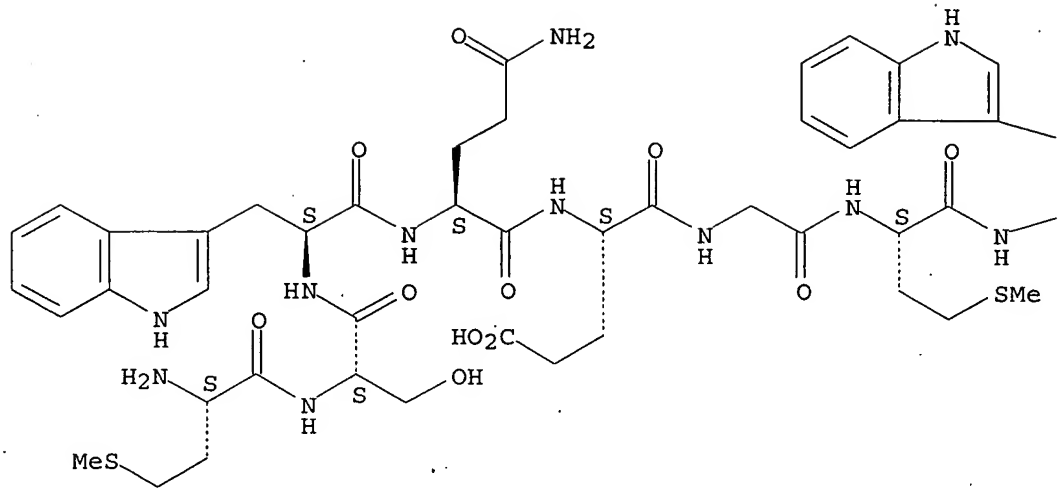


RN 676330-75-9 HCAPLUS
CN L-Glutamic acid, L-methionyl-L-seryl-L-tryptophyl-L-glutaminyl-L-α-glutamylglycyl-L-methionyl-L-tryptophyl-L-prolyl-L-prolyl-L-α-glutamyl-L-valyl-L-phenylalanyl-L-valyl-L-α-glutamyl-L-tryptophyl-L-prolyl-L-tryptophyl-L-threonyl-L-alanyl-L-histidyl-L-α-aspartyl-L-tryptophyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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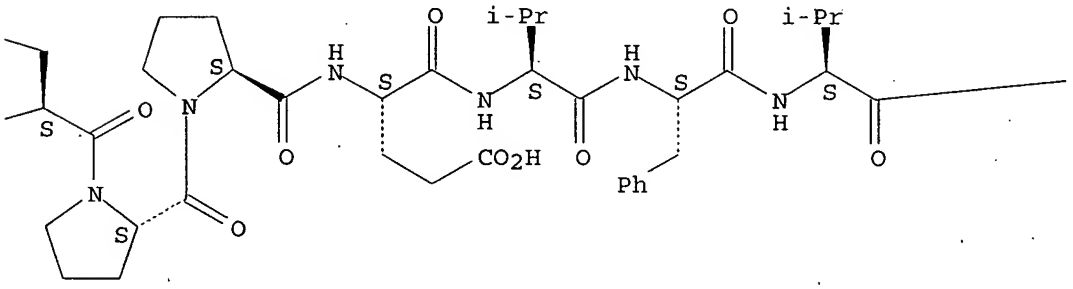

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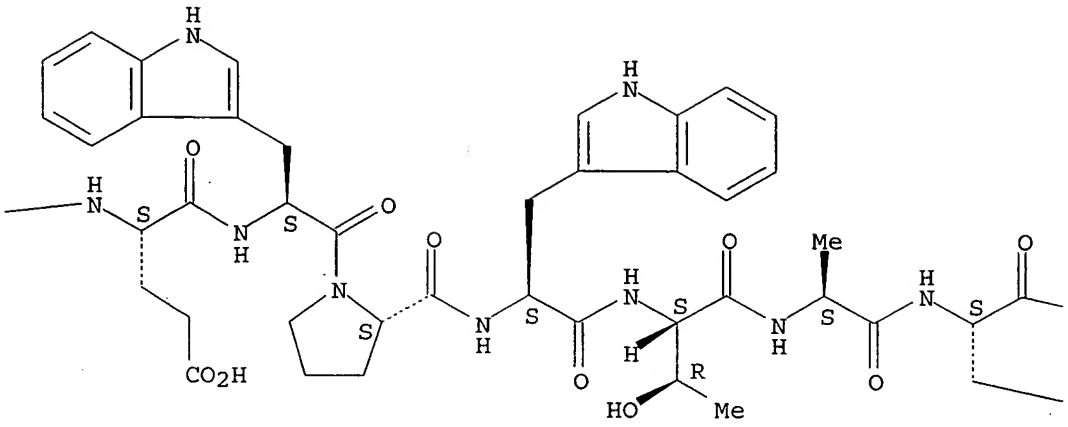

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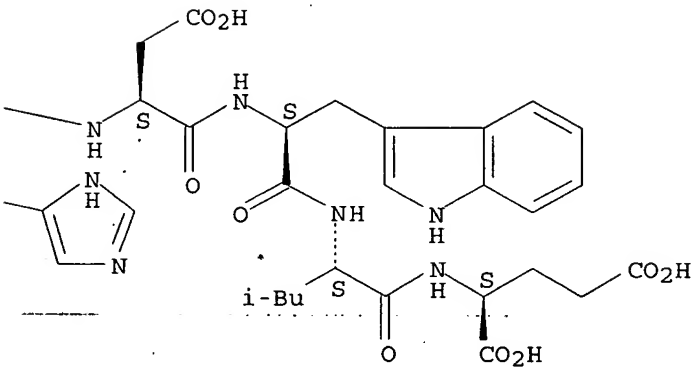

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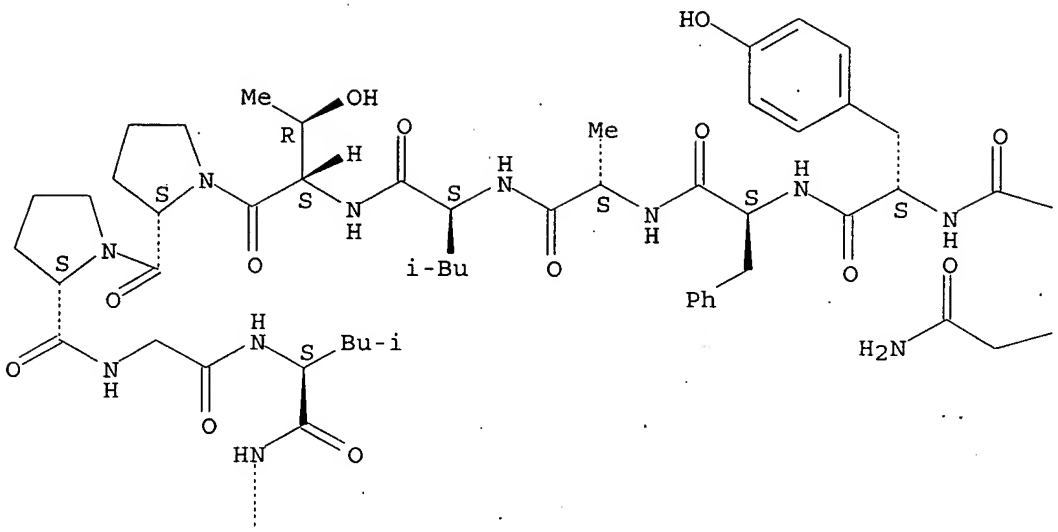
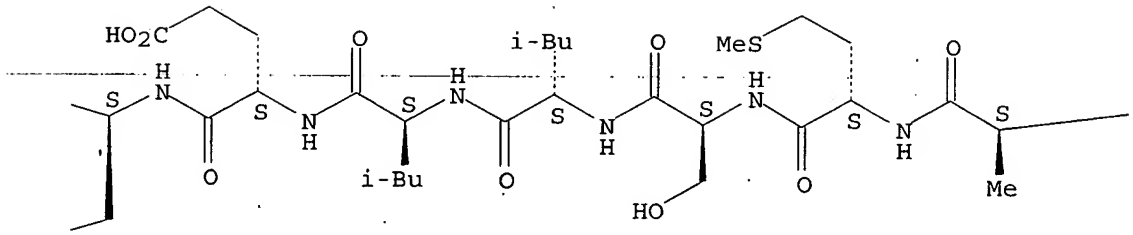
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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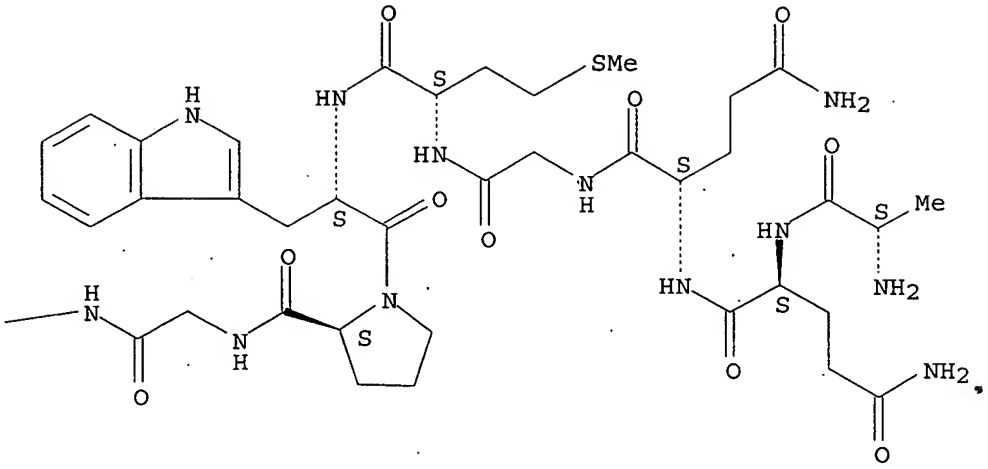

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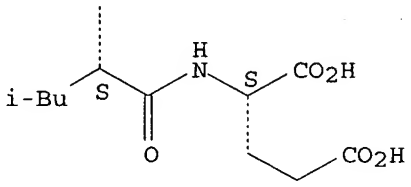

RN 676330-76-0 HCAPLUS

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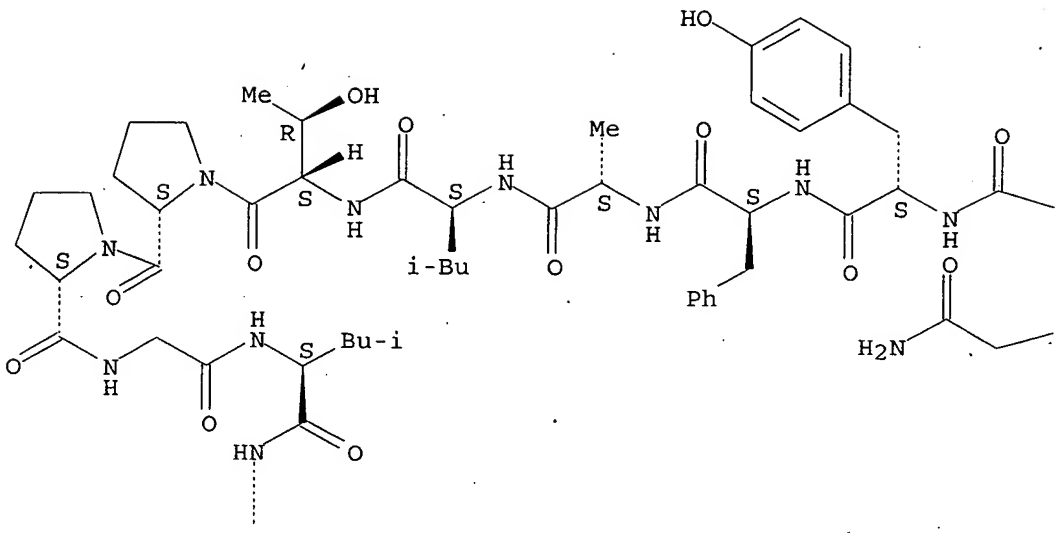
Absolute stereochemistry.

PAGE 1-A



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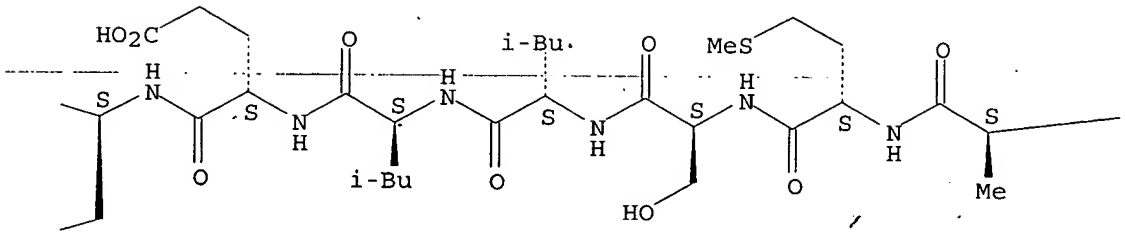

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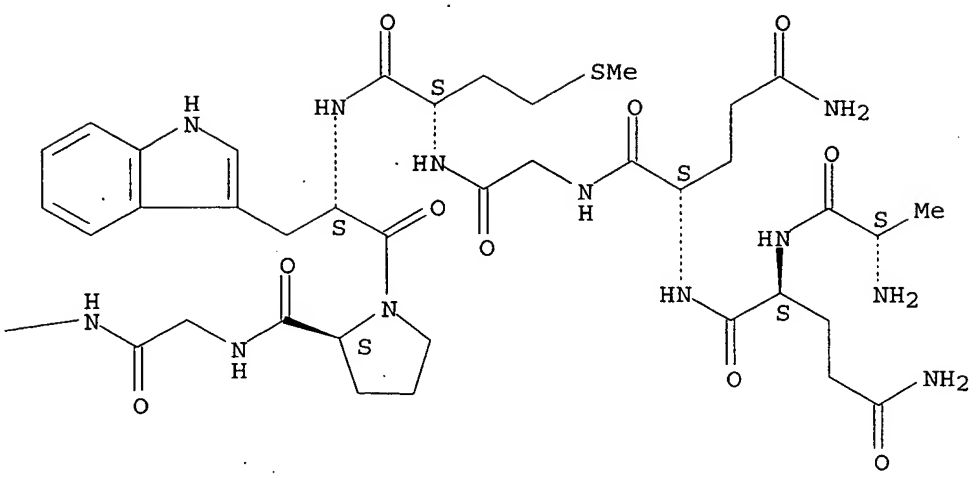

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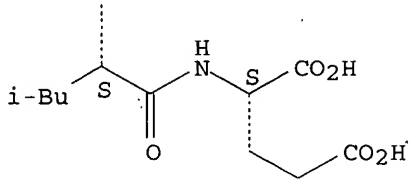

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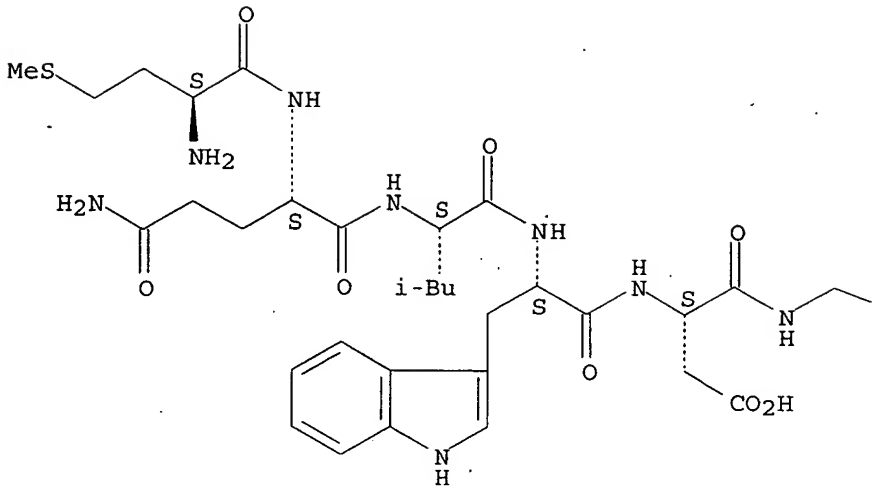
Absolute stereochemistry.

PAGE 1-A



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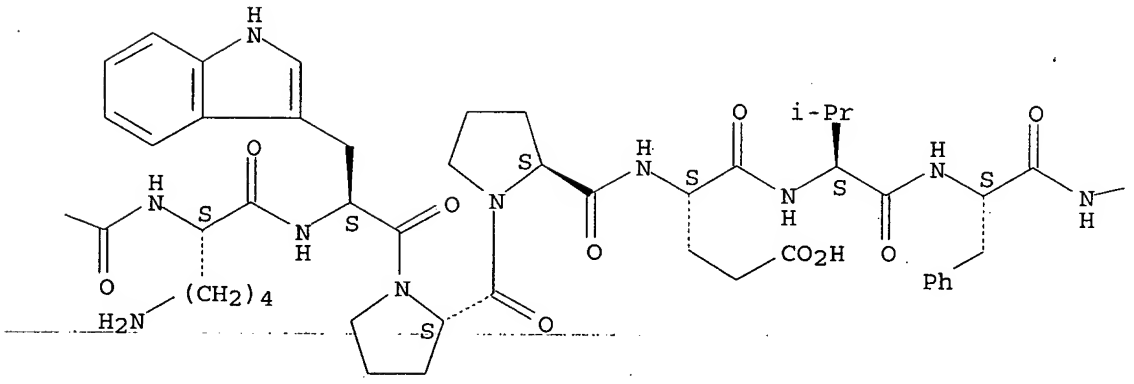

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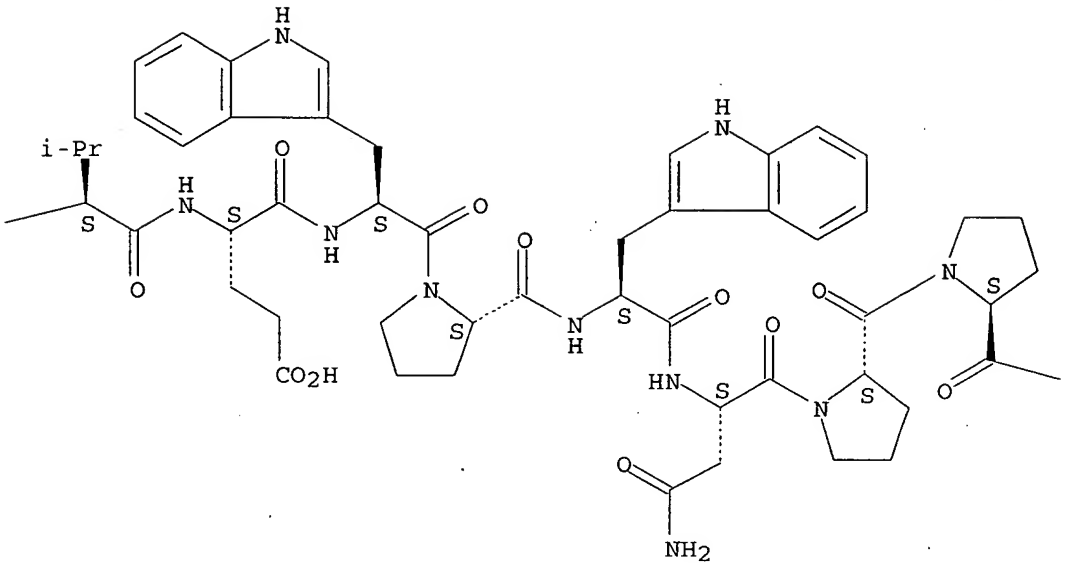

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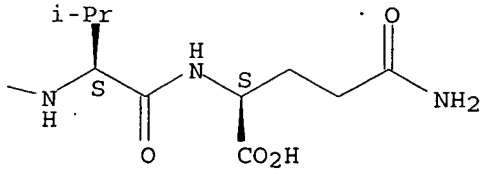

RN 676330-86-2 HCAPLUS
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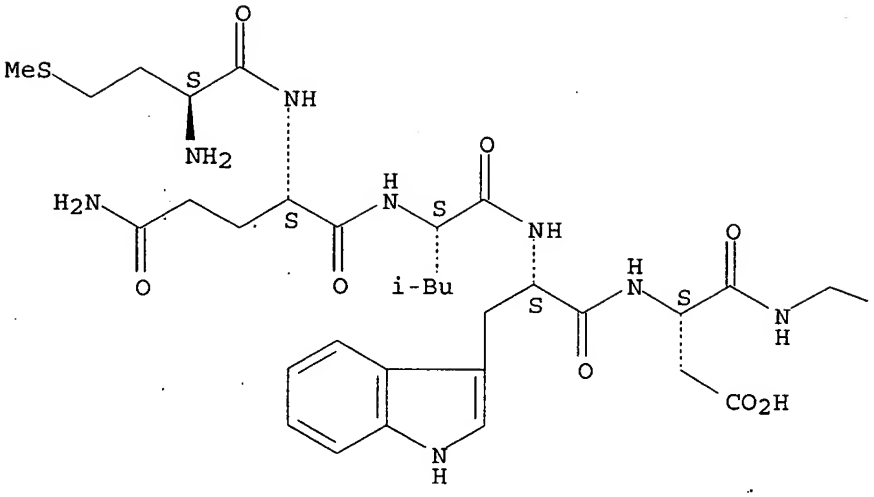
Absolute stereochemistry.

PAGE 1-A



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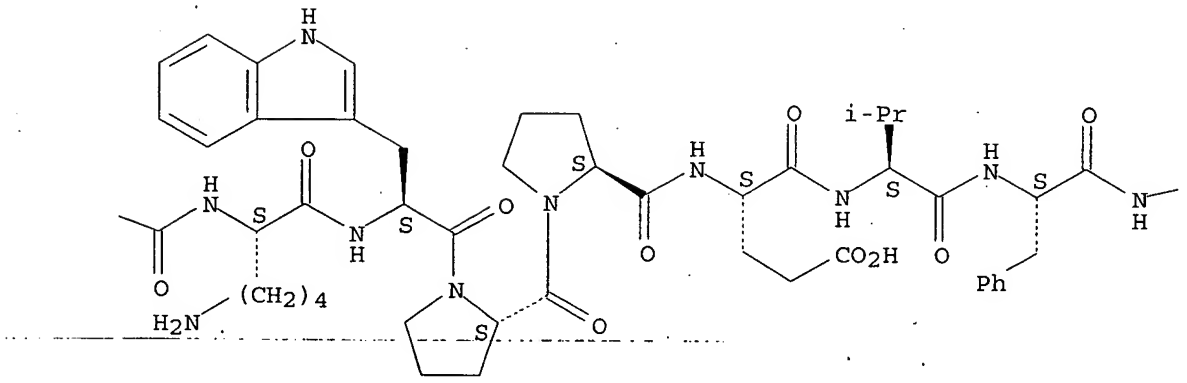

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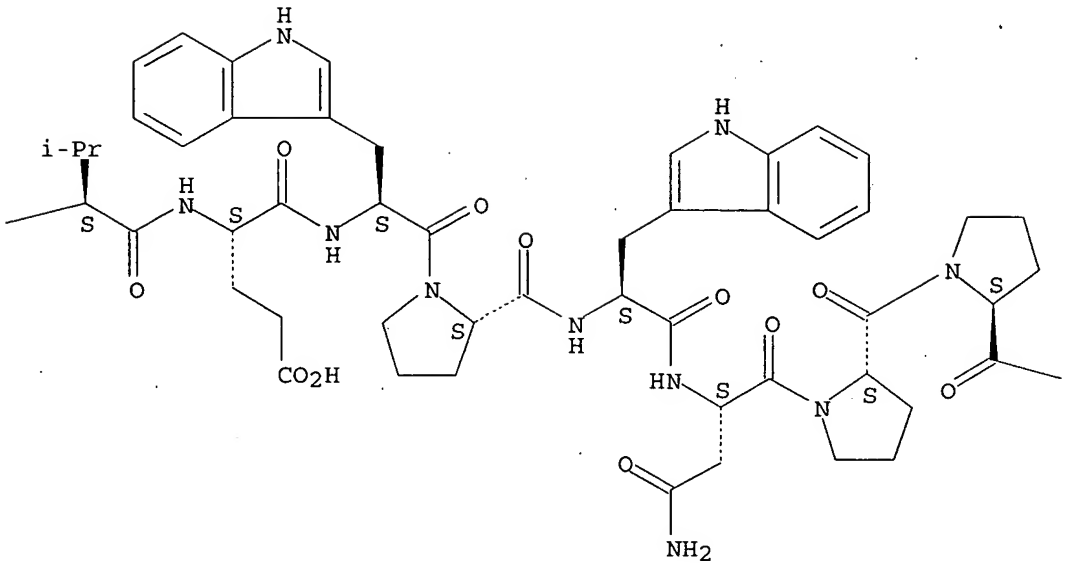

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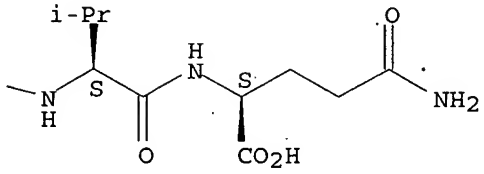

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Absolute stereochemistry.

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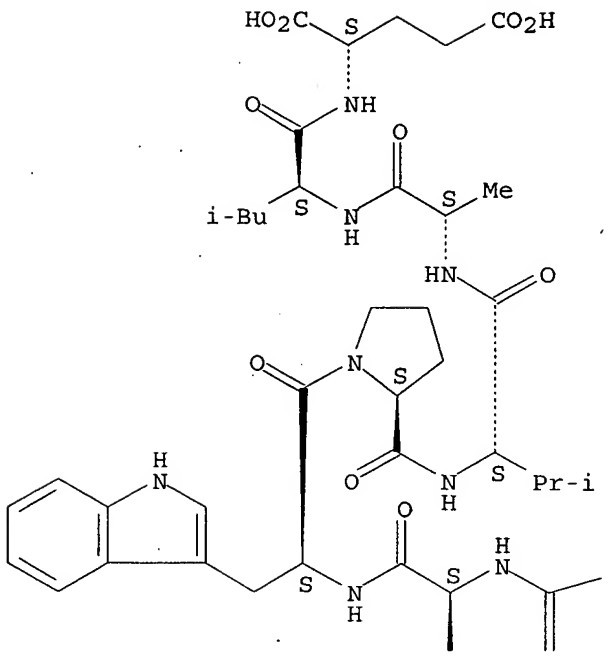

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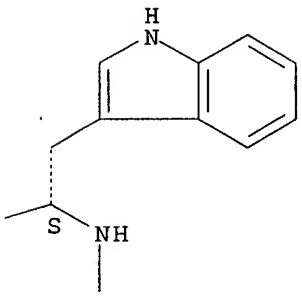

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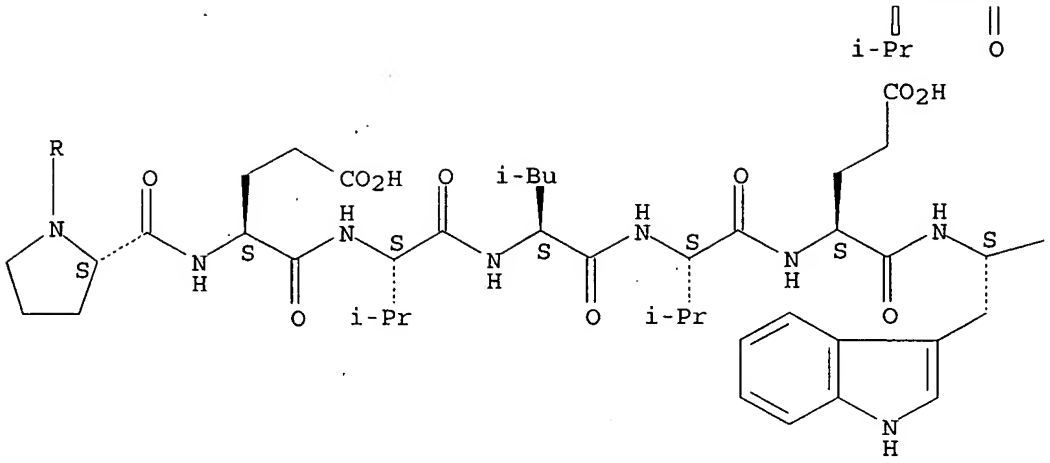

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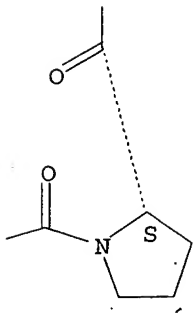

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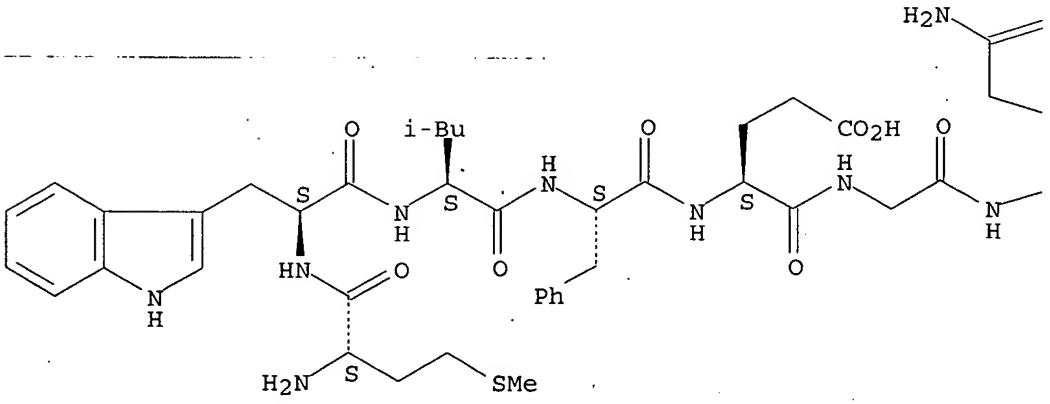

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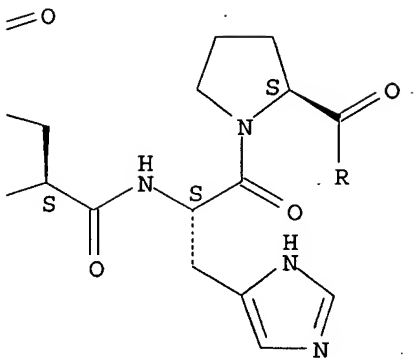

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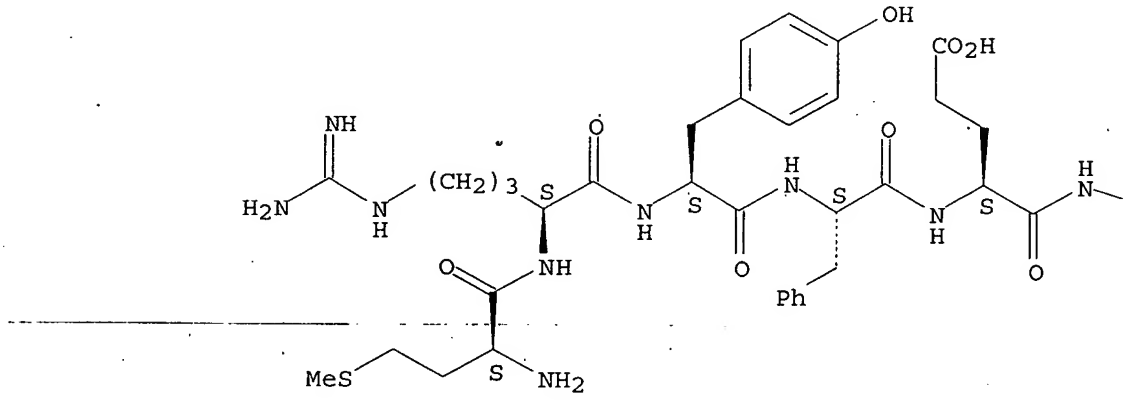
Absolute stereochemistry.

PAGE 1-A



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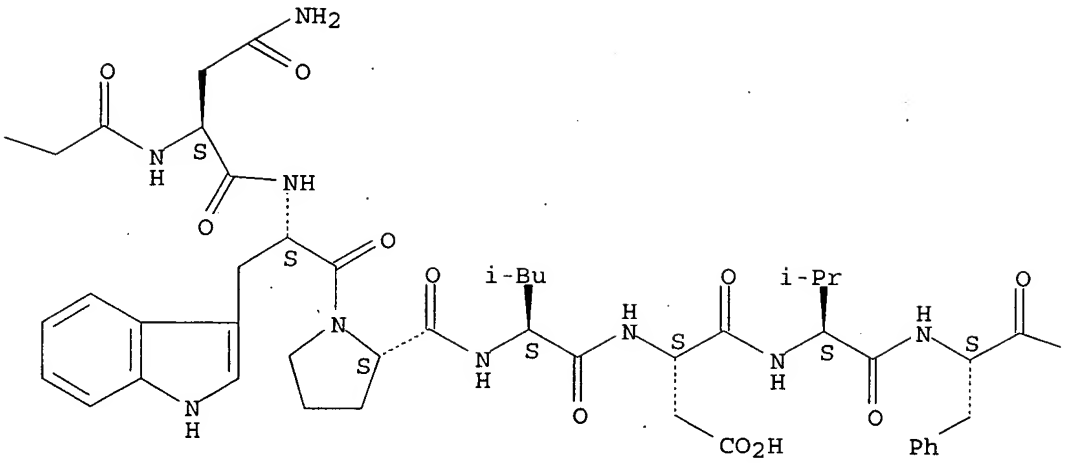

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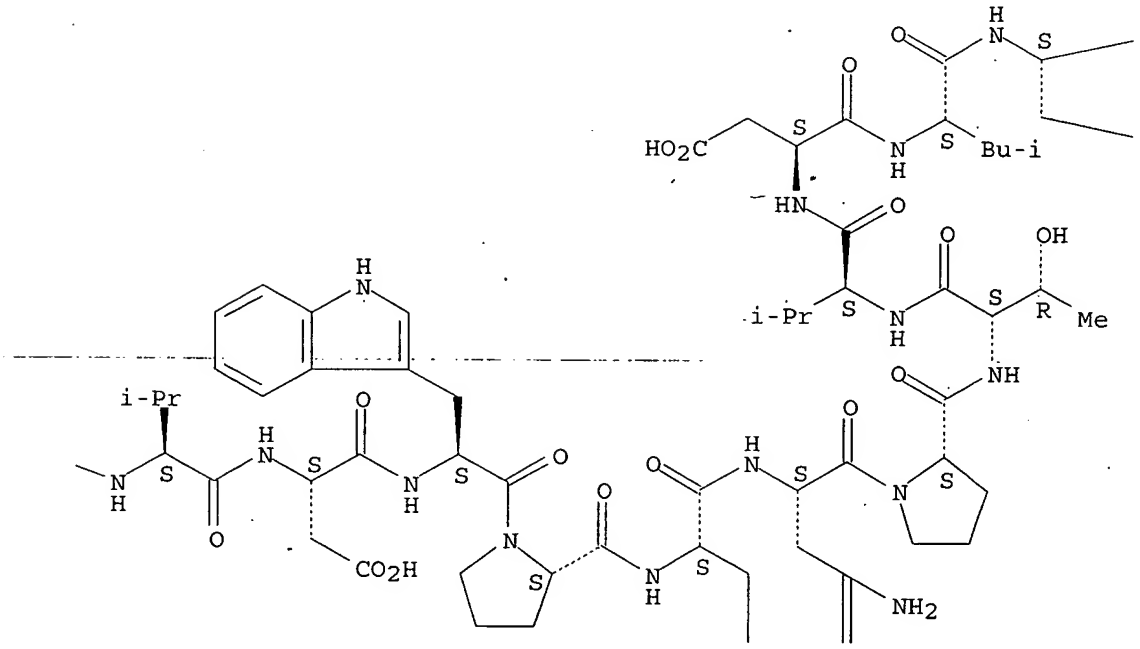

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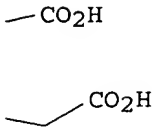

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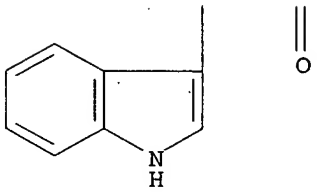

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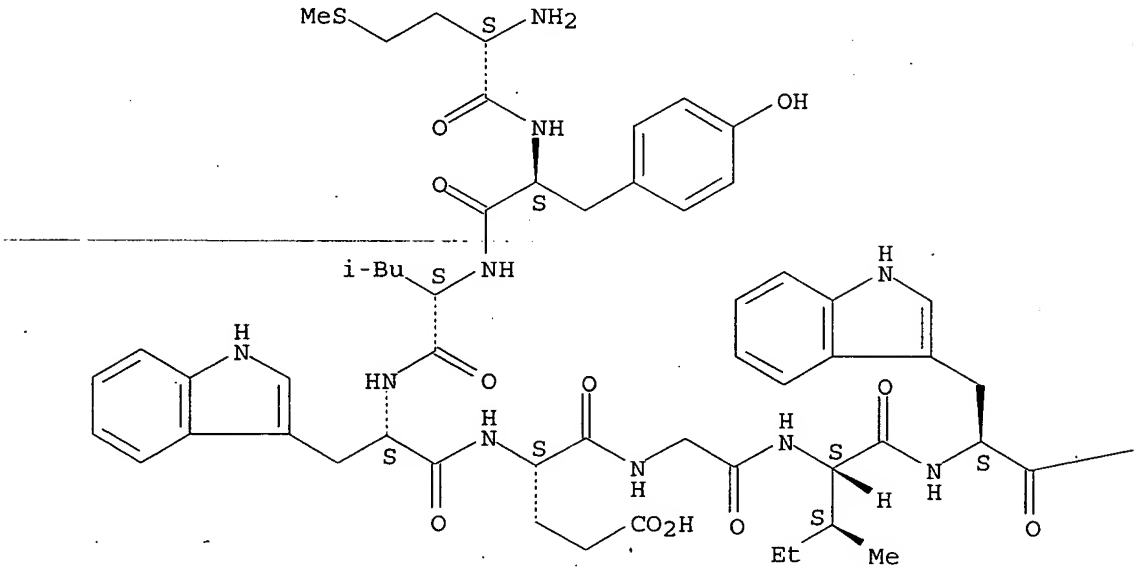
Absolute stereochemistry.

PAGE 1-A



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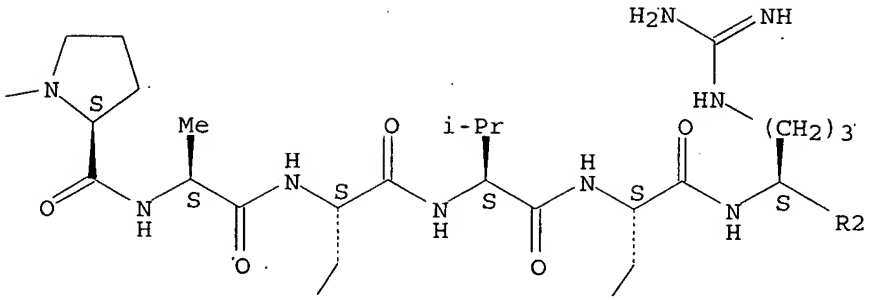

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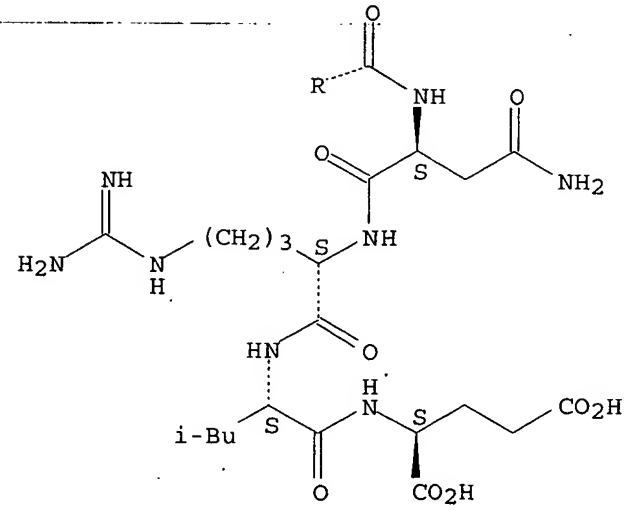
PAGE 3-A



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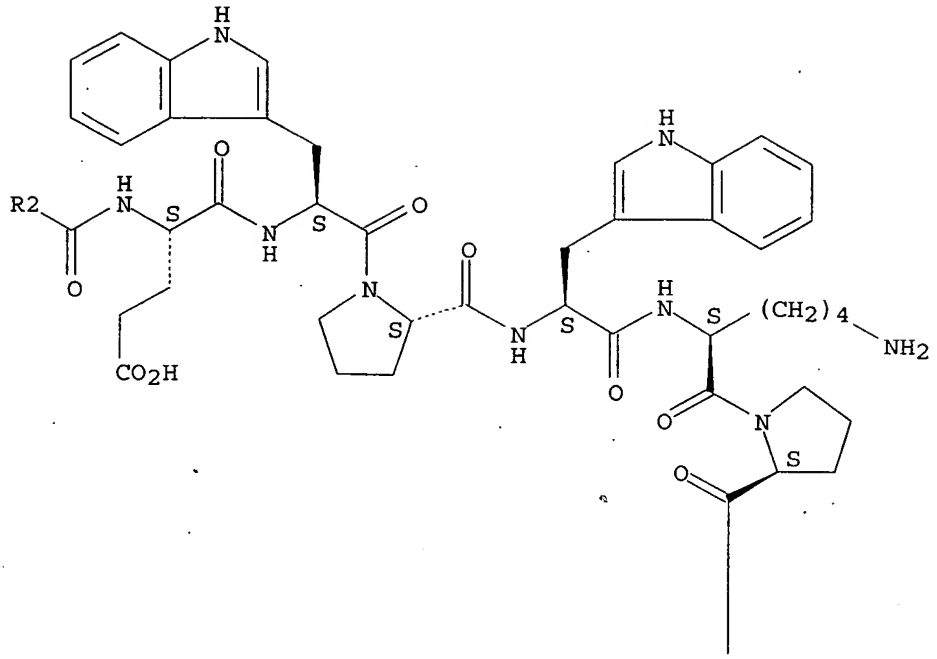

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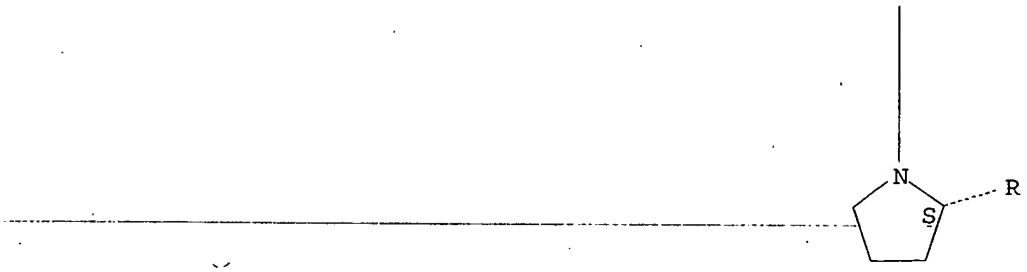


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:855962 HCAPLUS
DOCUMENT NUMBER: 139:334032
TITLE: Protein and nucleotide sequences of cation channel proteins
INVENTOR(S): Lobley, Anna Elizabeth; Michalovich, David; Allen, Kathryn Elizabeth; Reynolds, Lindsey; Pierron, Valerie Nathalie; Allen, Janet Marjorie
PATENT ASSIGNEE(S): Inpharmatica Limited, UK
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003089469	A2	20031030	WO 2003-GB1655	20030416
WO 2003089469	A3	20040108		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2002-8707 A 20020416

AB This invention relates to novel proteins, termed INPIONCH03 and INPIONCH04, herein identified as members of the PKD/REJ family of cation channels. and to the use of these proteins and nucleic acid sequences from the encoding genes in the diagnosis, prevention and treatment of disease. The invention also relates to use of these proteins and nucleic acid sequences from the encoding genes in the diagnosis, prevention and treatment of disease.

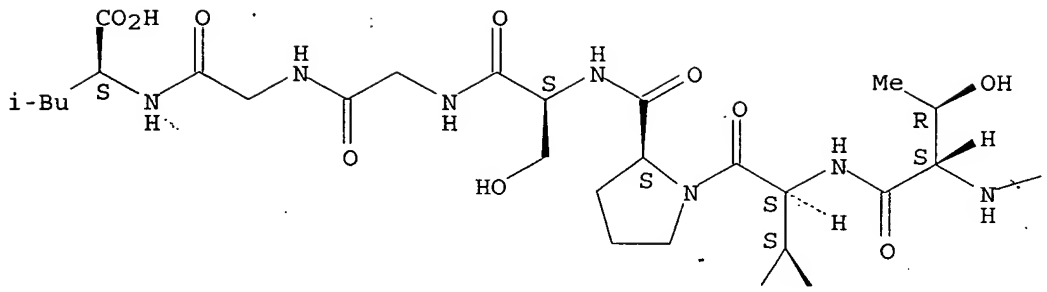
IT **616883-48-8**
RL: DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(amino acid sequence; protein and nucleotide sequences of cation channel proteins)

RN 616883-48-8 HCAPLUS

CN L-Leucine, glycyl-L-cysteinyl-L-glutaminyl-L-threonyl-L-prolyl-L-alanylglycyl-L-valyl-L-histidyl-L-prolyl-L-prolyl-L-alanyl-L-prolyl-L-glutaminyl-L-leucyl-L-α-glutamyl-L-α-glutamyl-L-alanylglycyl-L-threonyl-L-isoleucyl-L-prolyl-L-serylglycylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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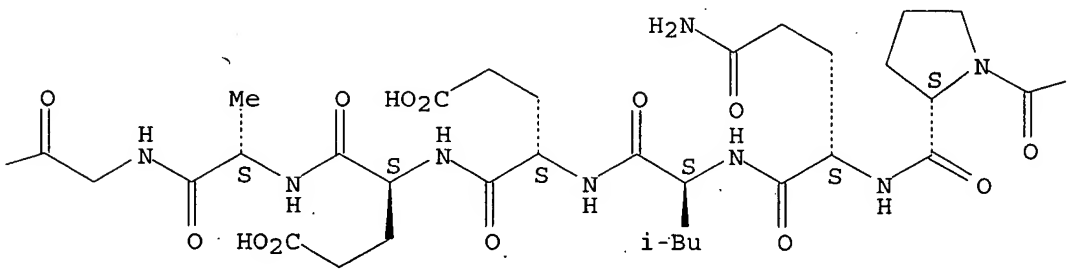

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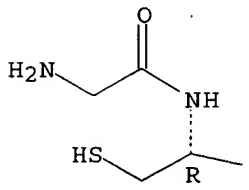
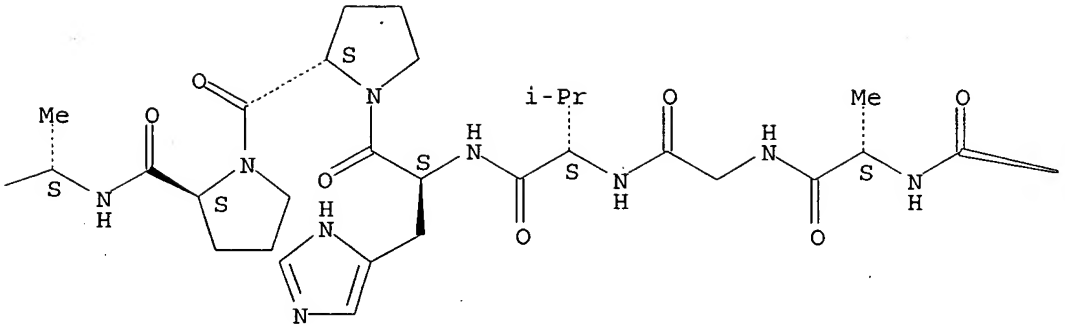
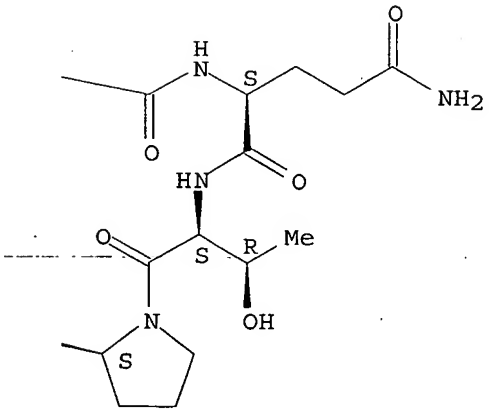




PAGE 1-D



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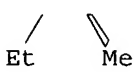

L21 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:610660 HCAPLUS
DOCUMENT NUMBER: 139:160766

TITLE: A method for correlating the preprotachykinin gene (NKNA) polymorphisms with the efficacy and compatibility of a pharmaceutically active compounds, such as NK-1 receptor antagonists

INVENTOR(S): Foernzler, Dorothee; Hashimoto, Lara; Li, Jia; Luedin, Eric; Sleight, Andrew; Vankan, Pierre

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064685	A2	20030807	WO 2003-EP630	20030123
WO 2003064685	A3	20031224		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1472377	A2	20041103	EP 2003-734685	20030123
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JP 2005515788	T2	20050602	JP 2003-564275	20030123
US 2003158187	A1	20030821	US 2003-354693	20030130
PRIORITY APPLN. INFO.:			EP 2002-1937 A	20020131
			WO 2003-EP630 W	20030123

AB The present invention relates to a method for correlating single nucleotide polymorphisms in the preprotachykinin (NKNA) gene with the efficacy and compatibility of a pharmaceutically active compound administered to a human being. The invention further relates to a method for determining the efficacy and compatibility of a pharmaceutically active compound administered to a human being which method comprises determining at least one single nucleotide polymorphism in the NKNA gene. Said methods are based on determining specific single nucleotide polymorphisms in the NKNA gene and determining the efficacy and compatibility of a pharmaceutically active compound in the human by reference to polymorphism in NKNA. The invention further relates to isolated nucleic acids comprising within their sequence the polymorphisms as defined herein, to nucleic acid primers and oligonucleotide probes capable of hybridizing to such nucleic acids and to a diagnostic kit comprising one or more of such primers and probes for detecting a polymorphism in the NKNA gene, to a pharmaceutical pack comprising neurokinin-1 (NK-1) receptor antagonists and instructions for administration of the drug to human beings tested for the polymorphisms as well as to a computer readable medium with the stored sequence information for the polymorphisms in the NKNA gene.

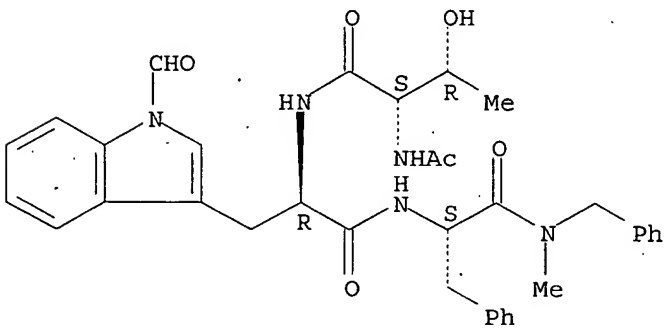
IT **126088-92-4**, R 544
RL: ANT (Analyte); PAC (Pharmacological activity); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)

(NK-1 receptor antagonist; method for correlating preprotachykinin gene (NKNA) polymorphisms with efficacy and compatibility of pharmaceutically active compds., such as NK-1 receptor antagonists)

RN 126088-92-4 HCAPLUS

CN L-Phenylalaninamide, N-acetyl-L-threonyl-1-formyl-D-tryptophyl-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:695727 HCAPLUS

DOCUMENT NUMBER: 137:226646

TITLE: Co-administration of melanocortin receptor agonist and phosphodiesterase inhibitor for treatment of cyclic-AMP associated disorders

INVENTOR(S): Macor, John E.; Carlson, Kenneth E.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002069905	A2	20020912	WO 2002-US6805	20020304
WO 2002069905	A3	20031009		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2439691	AA	20020912	CA 2002-2439691	20020304
US 2003069169	A1	20030410	US 2002-90258	20020304
EP 1370211	A2	20031217	EP 2002-713772	20020304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005506286	T2	20050303	JP 2002-569083	20020304
US 2004229882	A1	20041118	US 2003-696761	20031029

PRIORITY APPLN. INFO.:

US 2001-273206P	P	20010302
US 2001-273291P	P	20010302
US 2001-289719P	P	20010509
US 2002-90288	A3	20020304
WO 2002-US6805	W	20020304

OTHER SOURCE(S): MARPAT 137:226646

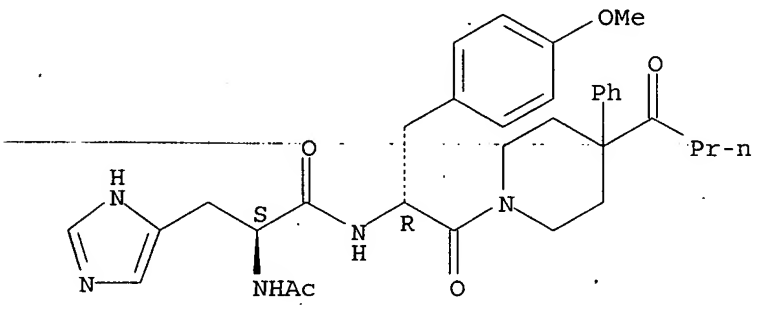
AB Co-administration of a melanocortin receptor agonist, particularly an MC-1R or MC-4R agonist, and a cAMP phosphodiesterase inhibitor is described for modulating levels of cyclic adenosine 3',5' monophosphate (cAMP) in a mammal. The inventive co-administration is useful in the treatment of diseases affected by activity of cAMP-PDE, including without limitation, inflammatory bowel disease, irritable bowel syndrome, rheumatoid arthritis, osteoarthritis, pancreatitis, psoriasis, **migraine**, Alzheimer's Disease, Parkinson's disease, transplant rejection, asthma, acute respiratory distress syndrome, chronic obstructive pulmonary disease, stroke, and neurodegeneration of, and consequences of traumatic brain injury.

IT **457893-85-5P 457894-20-1P 457894-23-4P**
457894-25-6P 457894-27-8P 457894-29-0P
457894-32-5P 457894-51-8P 457894-52-9P
457894-53-0P 457894-54-1P 457894-55-2P
457894-56-3P 457894-57-4P 457894-58-5P
457894-59-6P 457894-60-9P 457894-61-0P
457898-65-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Co-administration of melanocortin receptor agonist and cAMP phosphodiesterase inhibitor for treatment of cAMP-associated disorders)

RN 457893-85-5 HCAPLUS

CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, (αS)- (9CI) (CA INDEX NAME)

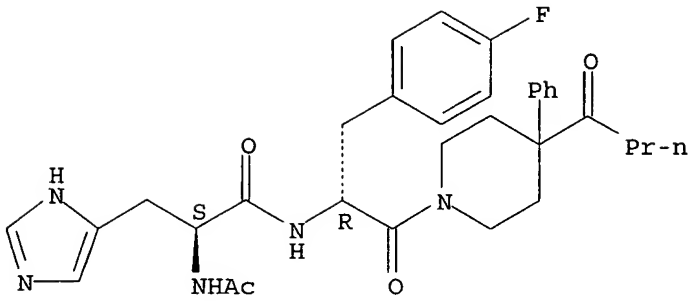
Absolute stereochemistry.



RN 457894-20-1 HCAPLUS

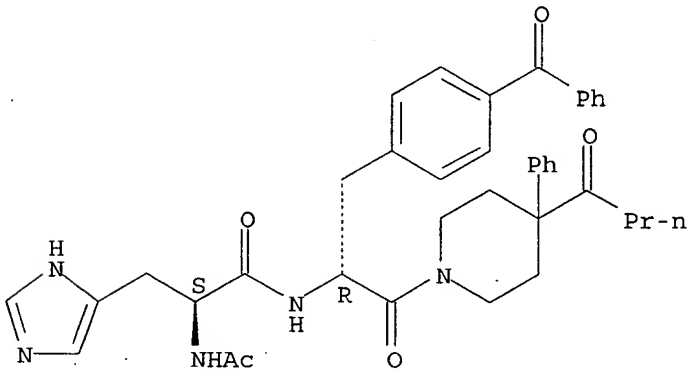
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-1-[(4-fluorophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



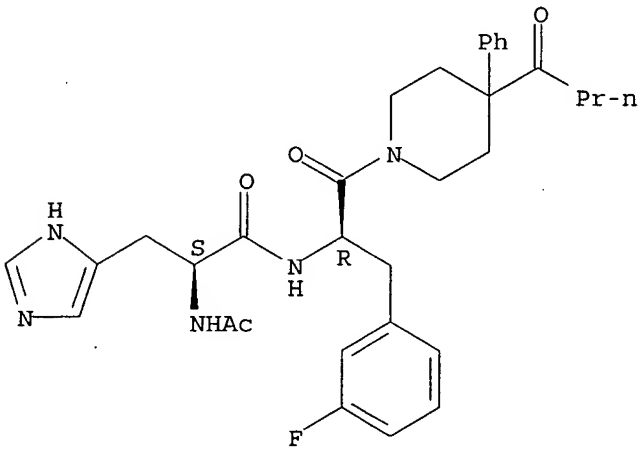
RN 457894-23-4 HCAPLUS
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-1-[(4-benzoylphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



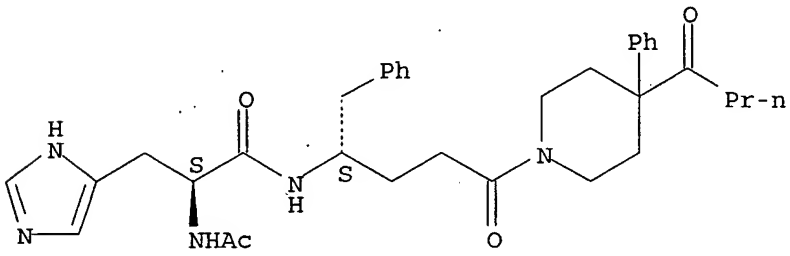
RN 457894-25-6 HCAPLUS
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-1-[(3-fluorophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



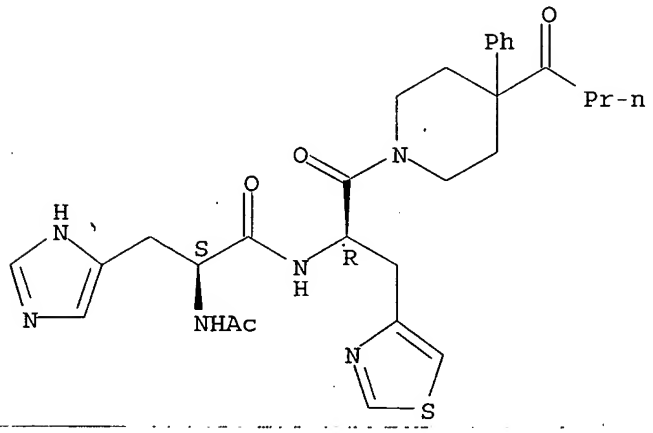
RN 457894-27-8 HCAPLUS
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1S)-4-oxo-4-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]-1-(phenylmethyl)butyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



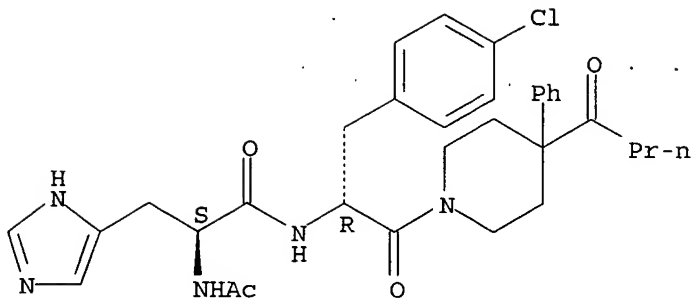
RN 457894-29-0 HCAPLUS
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]-1-(4-thiazolylmethyl)ethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



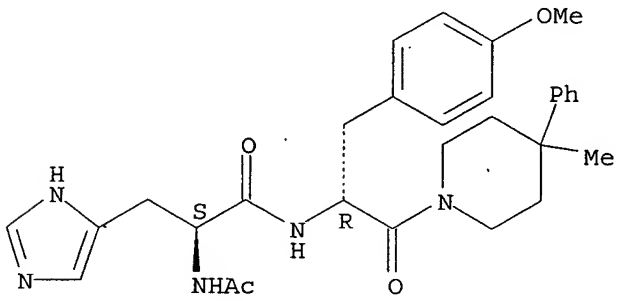
RN 457894-32-5 HCAPLUS
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



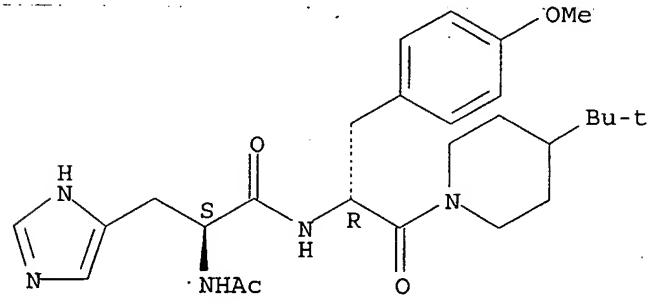
RN 457894-51-8 HCAPLUS
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-(4-methyl-4-phenyl-1-piperidinyl)-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



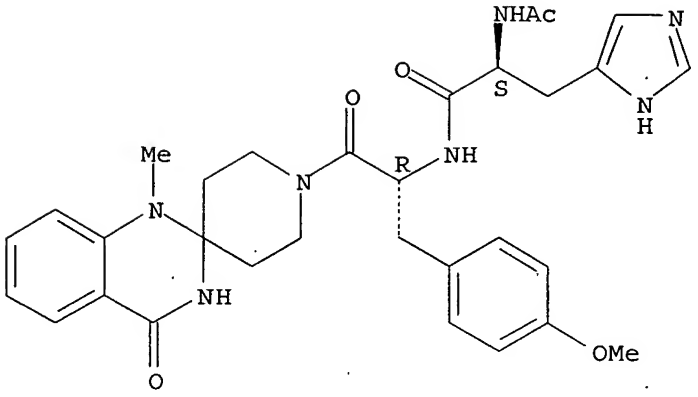
RN 457894-52-9 HCAPLUS
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-2-[4-(1,1-dimethylethyl)-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



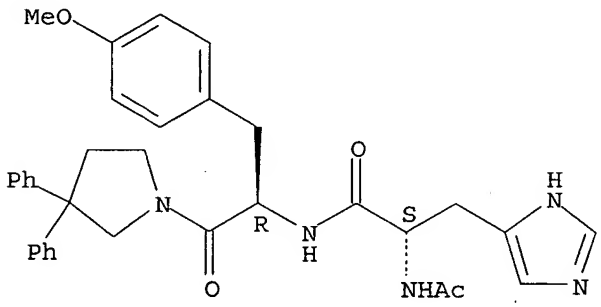
RN 457894-53-0 HCAPLUS
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-2-(3',4'-dihydro-1'-methyl-4'-oxospiro[piperidine-4,2'(1'H)-quinazolin]-1-yl)-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



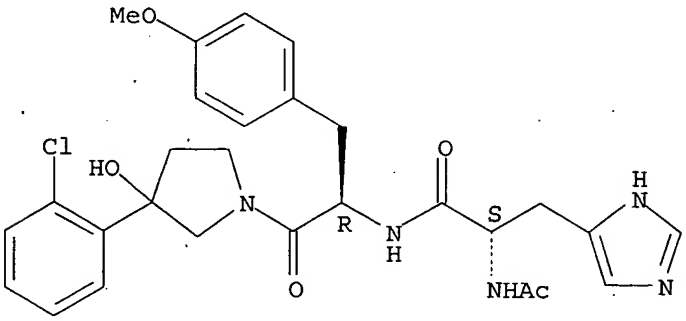
RN 457894-54-1 HCAPLUS
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-2-(3,3-diphenyl-1-pyrrolidinyl)-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 457894-55-2 HCAPLUS
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-2-[3-(2-chlorophenyl)-3-hydroxy-1-pyrrolidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)

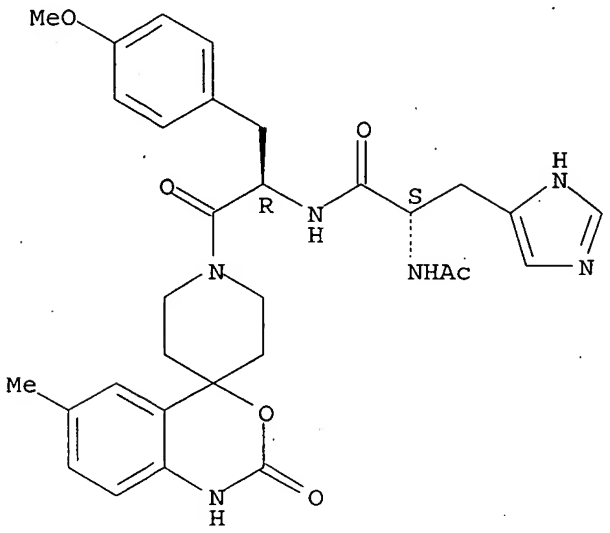
Absolute stereochemistry.



RN 457894-56-3 HCAPLUS
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-2-(1,2-dihydro-6-methyl-2-oxospiro[4H-3,1-benzoxazine-4,4'-piperidin]-1'-yl)-1-[(4-

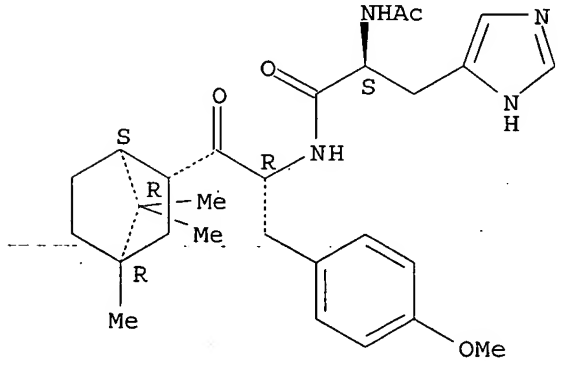
methoxyphenyl)methyl]-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



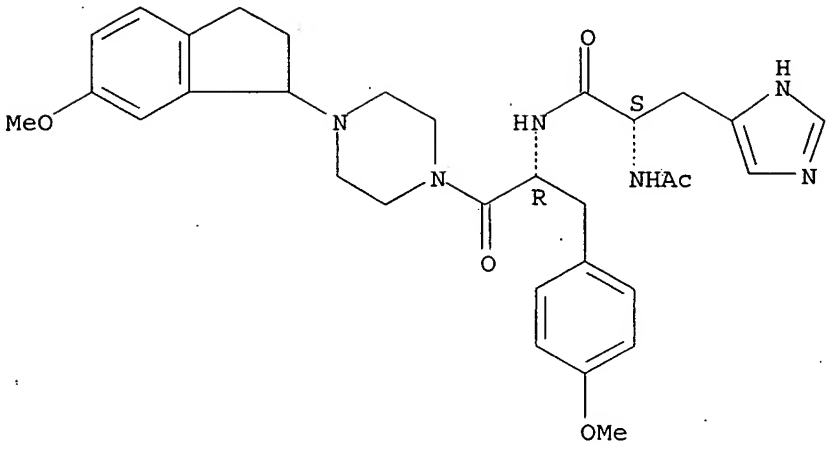
RN 457894-57-4 HCAPLUS
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[(1S,2R,4R)-4,7,7-trimethylbicyclo[2.2.1]hept-2-yl]ethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



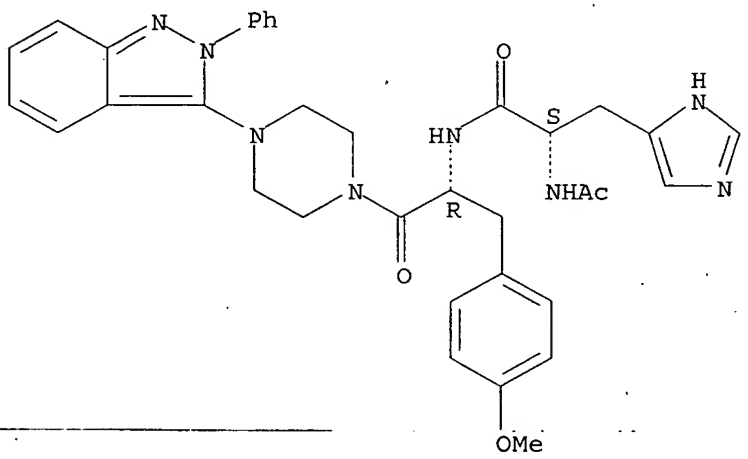
RN 457894-58-5 HCAPLUS
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-2-[4-(2,3-dihydro-6-methoxy-1H-inden-1-yl)-1-piperazinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



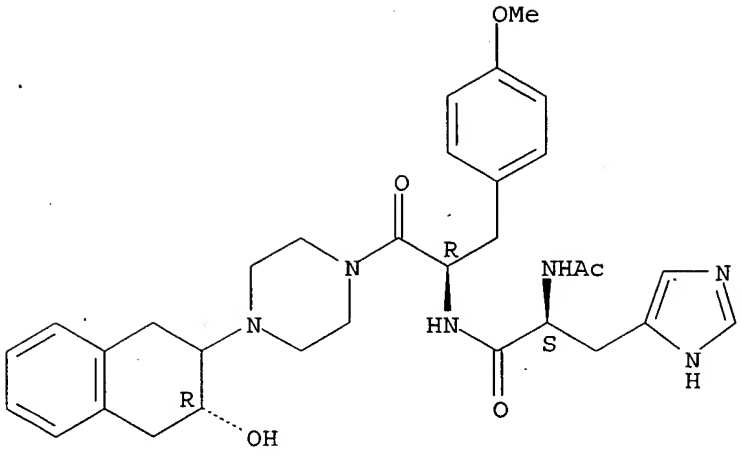
RN 457894-59-6 HCAPLUS
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(2-phenyl-2H-indazol-3-yl)-1-piperazinyl]ethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



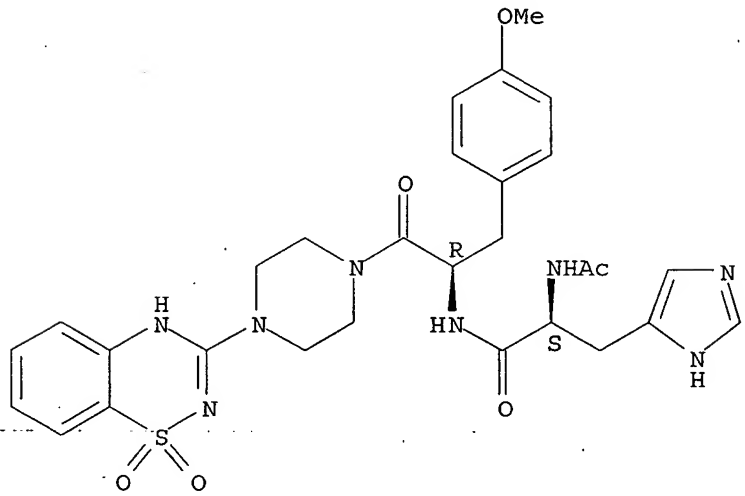
RN 457894-60-9 HCAPLUS
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-[(3R)-1,2,3,4-tetrahydro-3-hydroxy-2-naphthalenyl]-1-piperazinyl]ethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



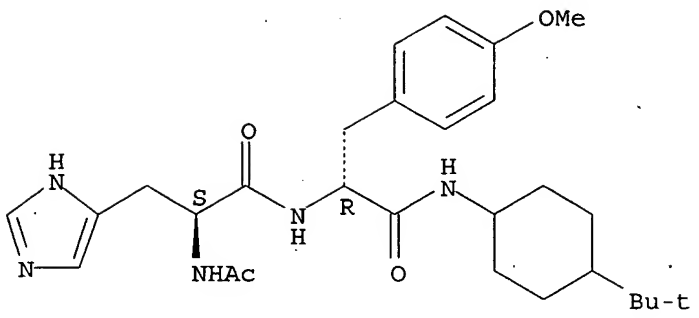
RN 457894-61-0 HCAPLUS
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-2-[4-(1,1-dioxido-2H-1,2,4-benzothiadiazin-3-yl)-1-piperazinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 457898-65-6 HCAPLUS
CN D-Tyrosinamide, N-acetyl-L-histidyl-N-[4-(1,1-dimethylethyl)cyclohexyl]-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:487583 HCAPLUS
DOCUMENT NUMBER: 137:57556
TITLE: Anti-allergic peptide and peptidomimetic conjugates containing a cell penetration portion and a mast cell degranulation-inhibiting portion
INVENTOR(S): Eisenberg, Ronit; Raz, Tamar
PATENT ASSIGNEE(S): Allergene Ltd., Israel
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050097	A2	20020627	WO 2001-IL1186	20011220
WO 2002050097	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2432879	AA	20020627	CA 2001-2432879	20011220
AU 2002017384	A5	20020701	AU 2002-17384	20011220
EP 1478378	A2	20041124	EP 2001-271384	20011220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005506276	T2	20050303	JP 2002-551990	20011220
US 2004137006	A1	20040715	US 2003-465826	20030620
PRIORITY APPLN. INFO.:			IL 2000-140473 A	20001221
			WO 2001-IL1186 W	20011220

AB The invention discloses anti-allergic complex mols., in particular, peptidic or peptidomimetic mols., comprising a first part which is competent for cell penetration and a second part which is able to reduce or abolish mast cell degranulation, in particular to reduce or abolish allergy mediators, including histamine secretion from mast cells and protein kinase activation, wherein the first part is connected to the second part via a linker or a direct bond that creates a conformational

constraint by forming a bend or turn.

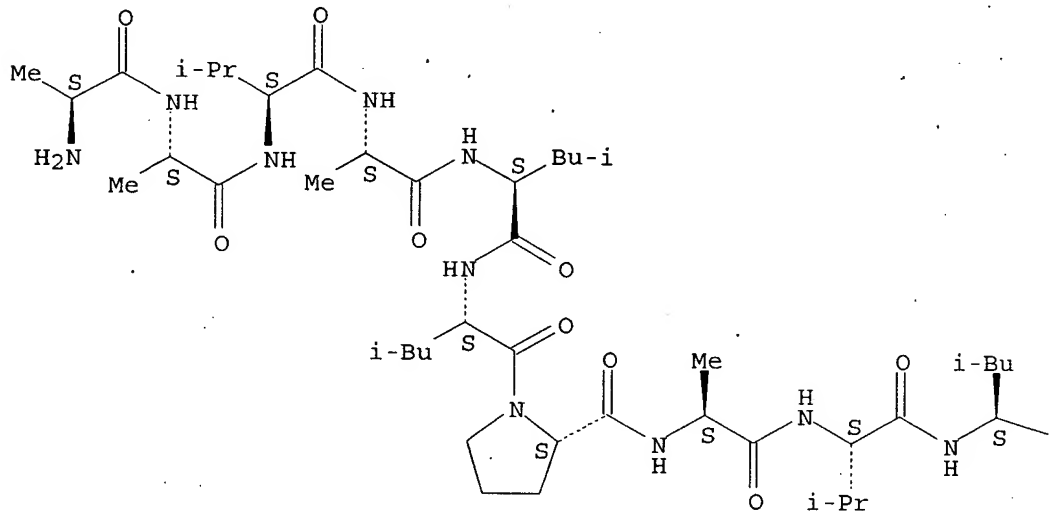
IT **439077-04-0 439077-05-1**
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(anti-allergic peptide and peptidomimetic conjugates containing cell penetration portion and mast cell degranulation-inhibiting portion)

RN 439077-04-0 HCAPLUS

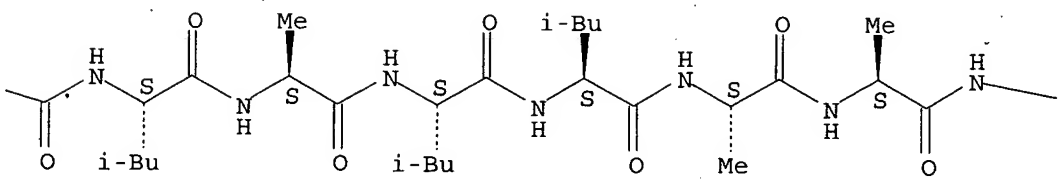
CN L-Tyrosine, L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-alanyl-L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

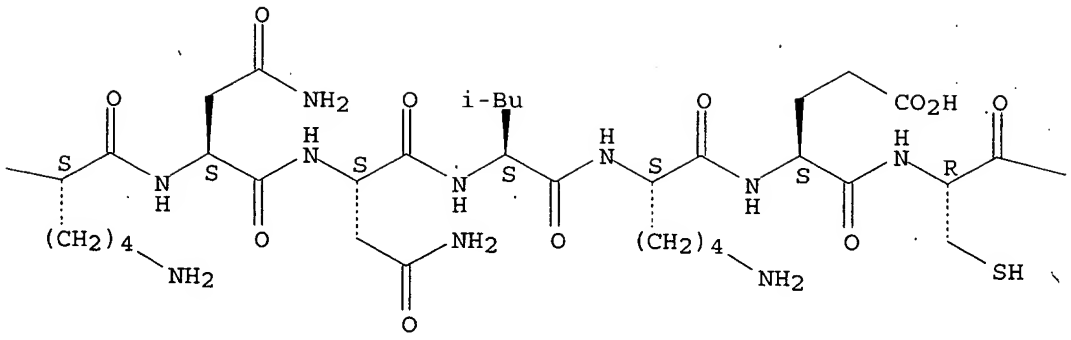
PAGE 1-A



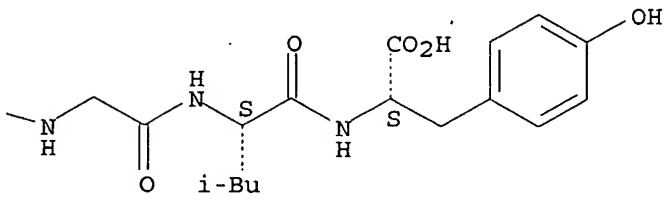
PAGE 1-B



PAGE 1-C



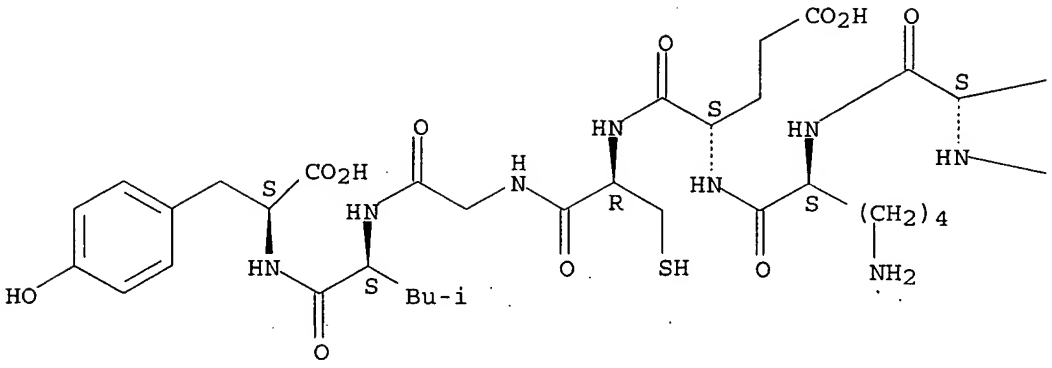
PAGE 1-D



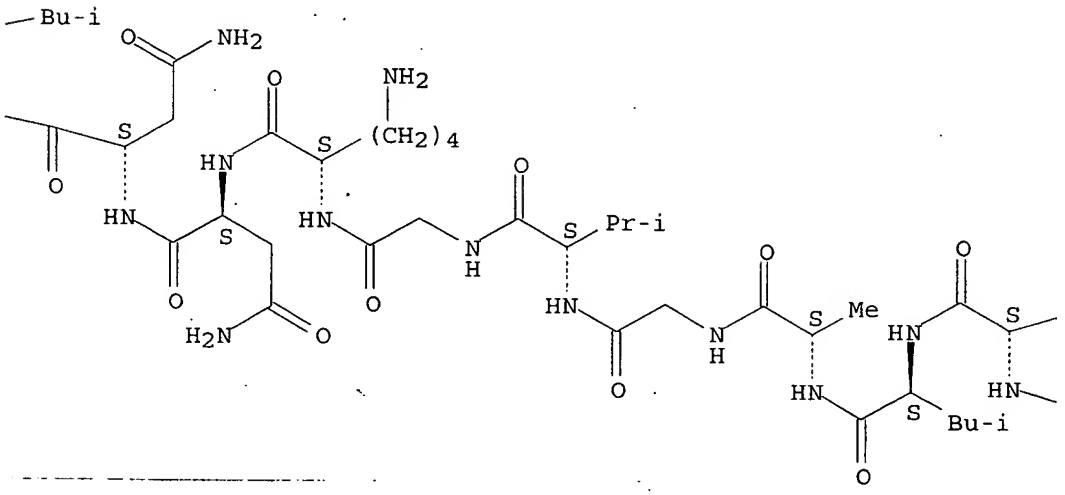
RN 439077-05-1 HCAPLUS
CN L-Tyrosine, L-valyl-L-threonyl-L-valyl-L-leucyl-L-alanyl-L-leucylglycyl-L-alanyl-L-leucyl-L-alanylglycyl-L-valylglycyl-L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

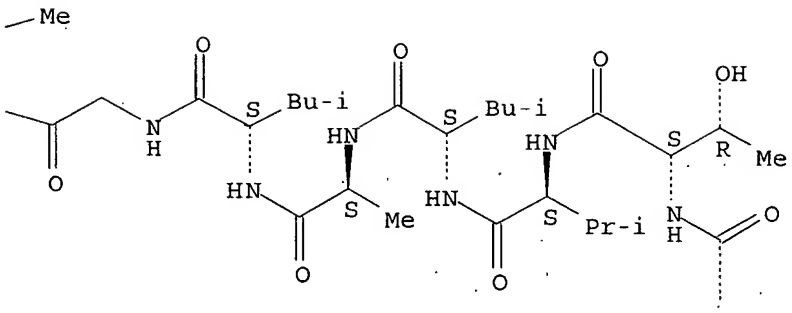
PAGE 1-A



PAGE 1-B



PAGE 1-C



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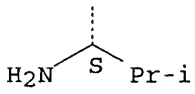
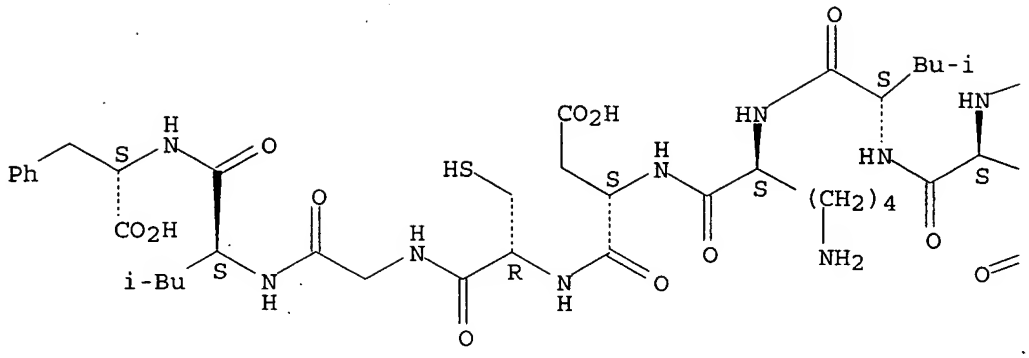


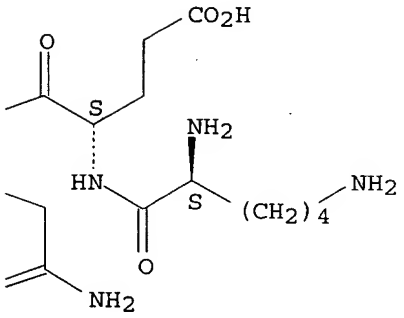
IT **111863-81-1D**, conjugates **145851-80-5D**, conjugates **313946-99-5 313947-13-6 439076-78-5D**, conjugates **439076-80-9D**, conjugates **439076-82-1D**, conjugates **439076-84-3D**, conjugates **439076-86-5 439076-88-7 439076-90-1 439076-92-3 439076-94-5 439076-96-7 439076-98-9 439077-01-7 439077-02-8**
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-allergic peptide and peptidomimetic conjugates containing cell penetration portion and mast cell degranulation-inhibiting portion)
RN 111863-81-1 HCAPLUS
CN L-Phenylalanine, L-lysyl-L-α-glutamyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-aspartyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



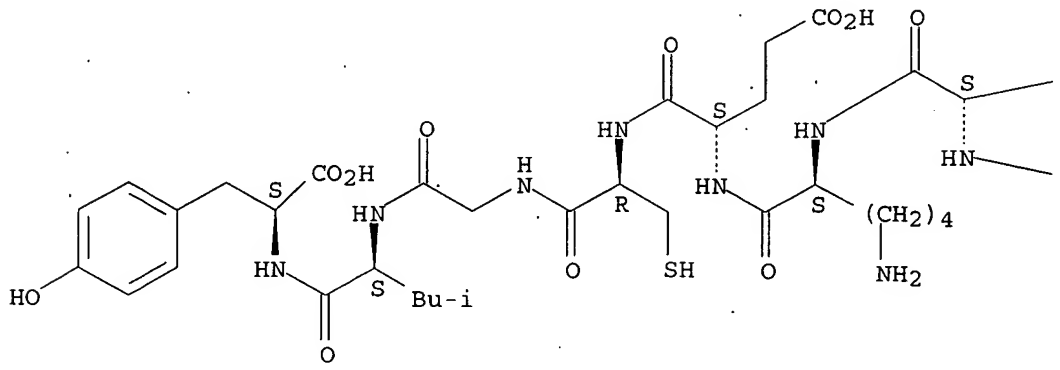
PAGE 1-B



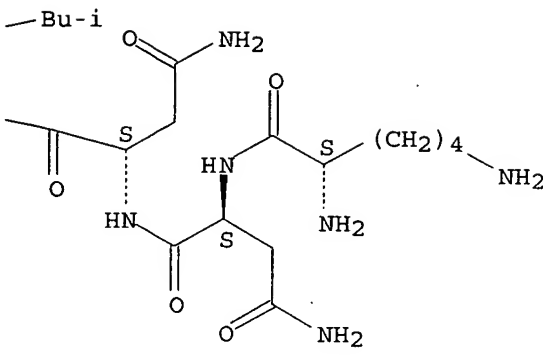
RN 145851-80-5 HCAPLUS
CN L-Tyrosine, L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



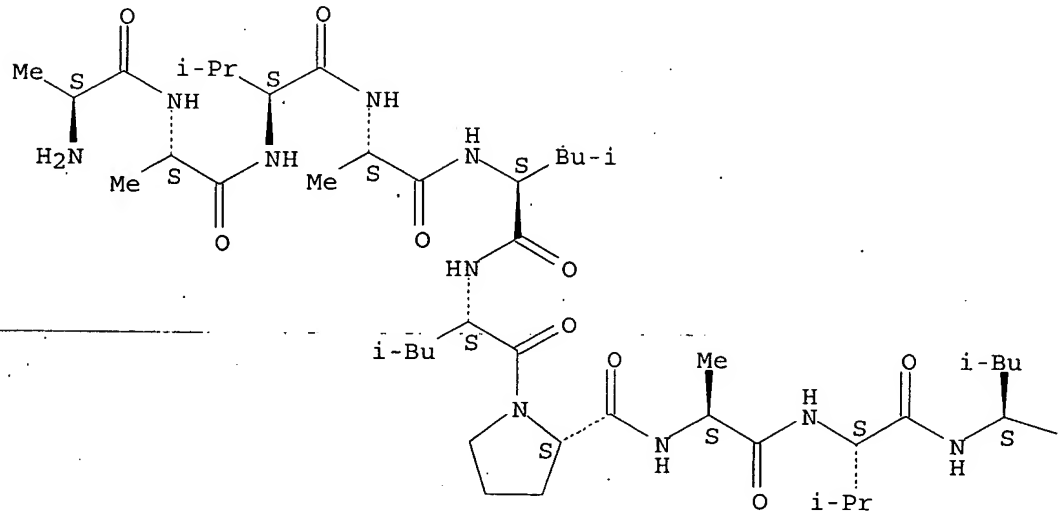
PAGE 1-B



RN 313946-99-5 HCAPLUS
CN L-Tyrosine, L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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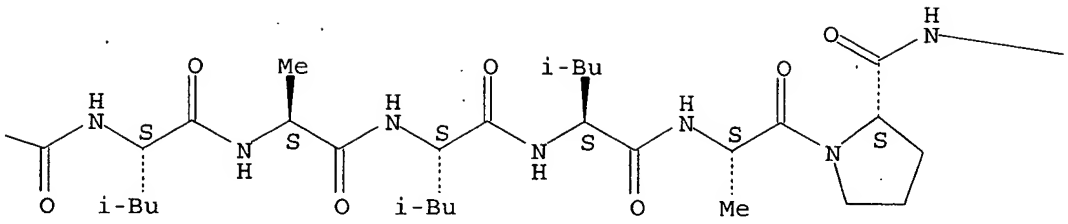

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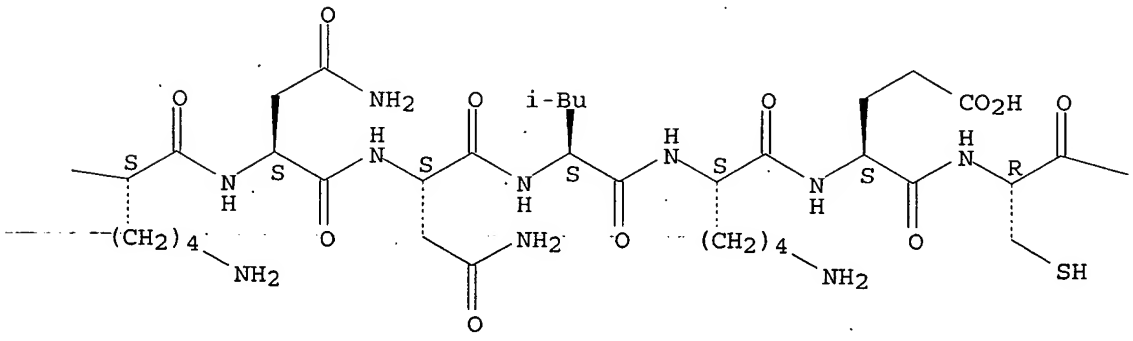

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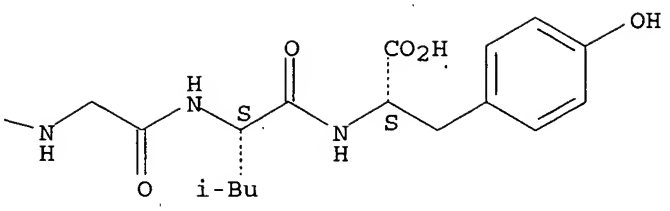
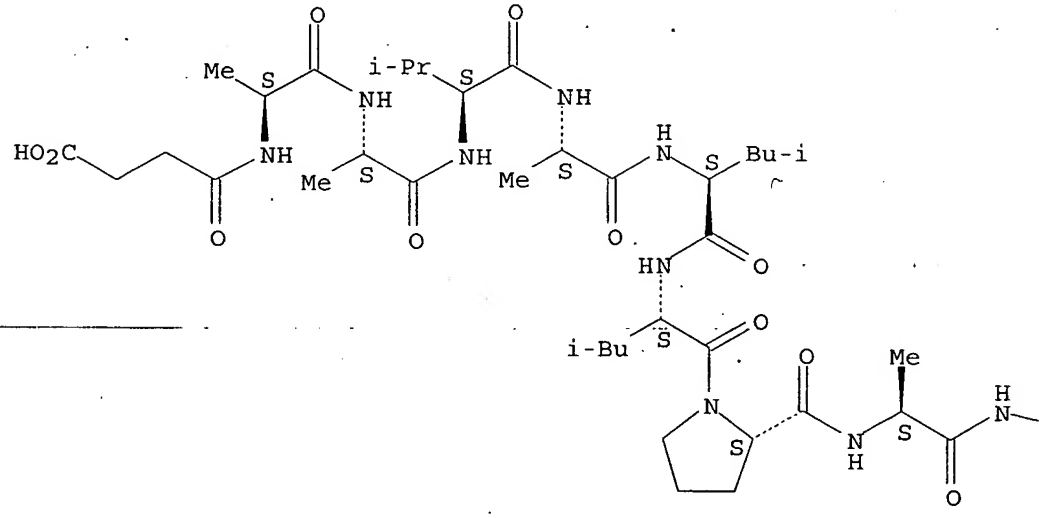


RN 313947-13-6 HCAPLUS
CN L-Tyrosine, N-(3-carboxy-1-oxopropyl)-L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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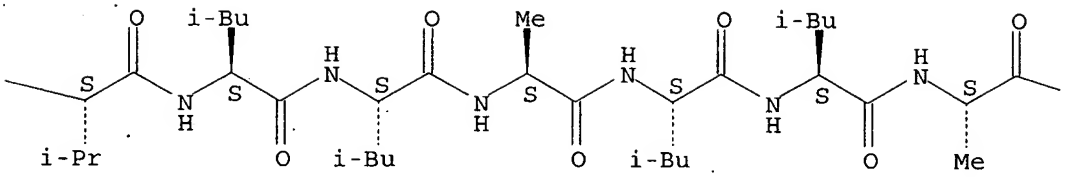

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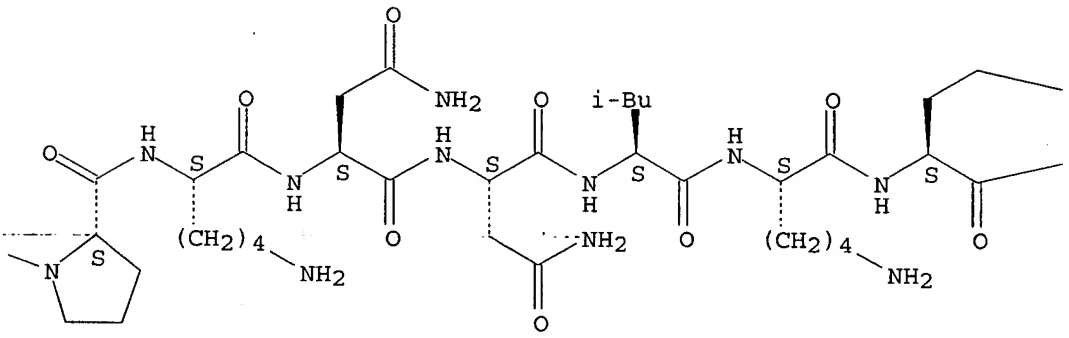

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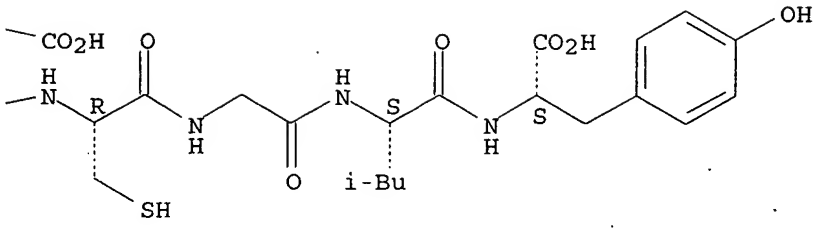
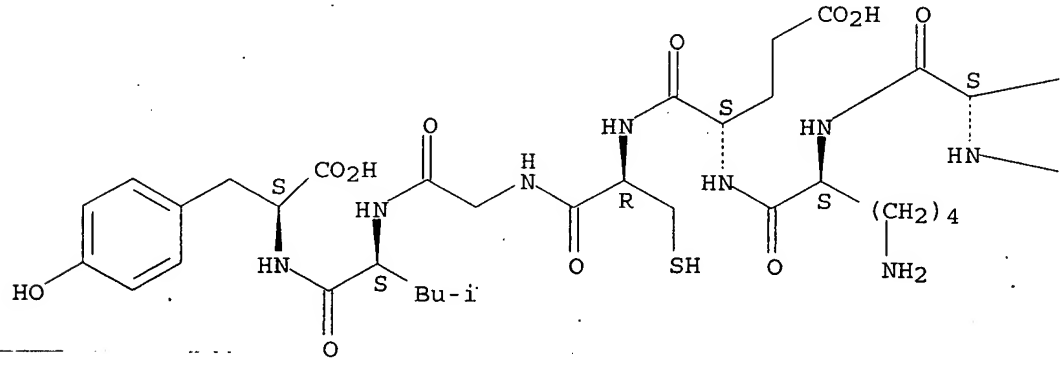


RN 439076-78-5 HCAPLUS
CN L-Tyrosine, L-lysyl-L-glutaminyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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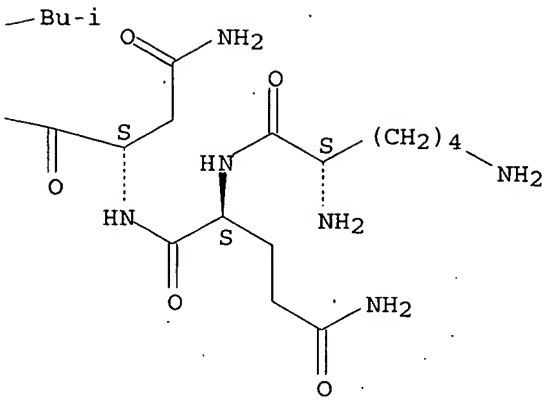
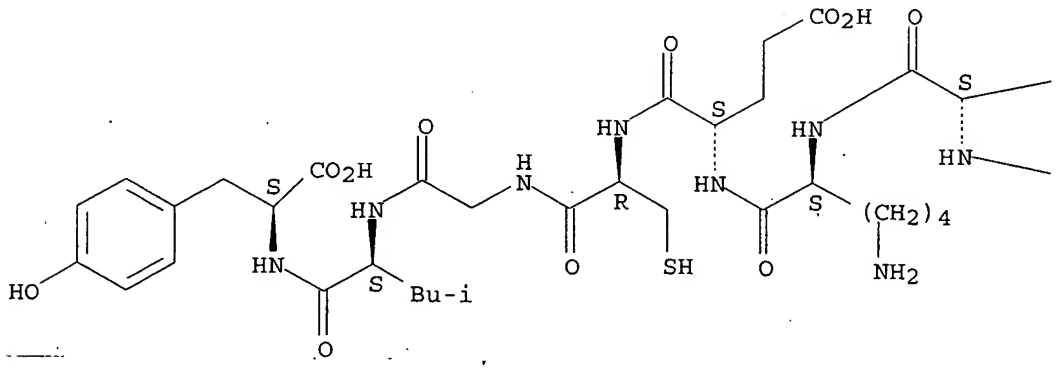


RN 439076-80-9 HCAPLUS
CN L-Tyrosine, L-lysyl-L-seryl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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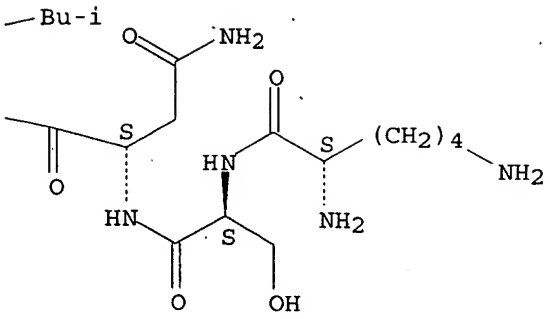
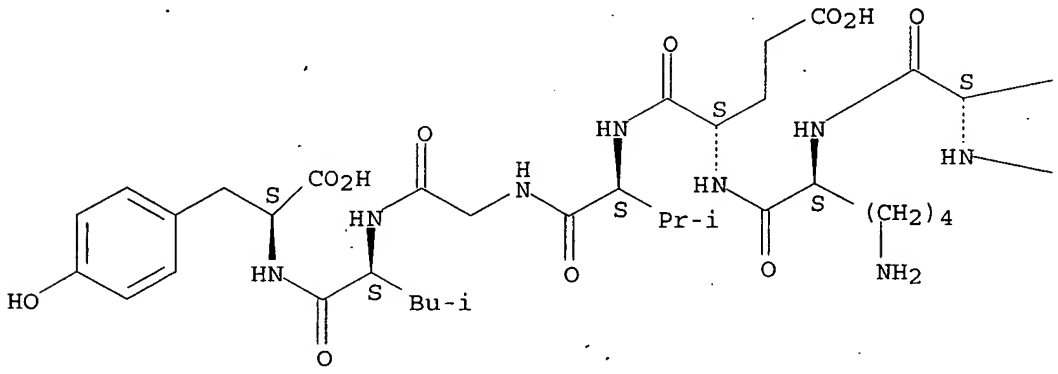


RN 439076-82-1 HCAPLUS
CN L-Tyrosine, L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-valylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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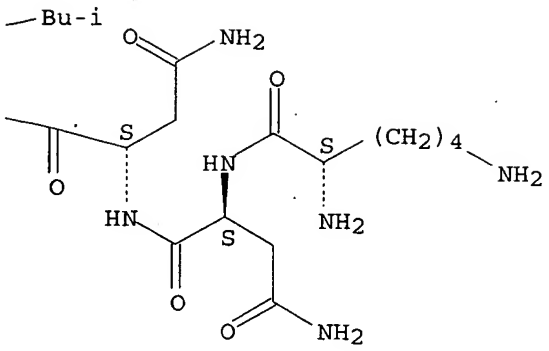
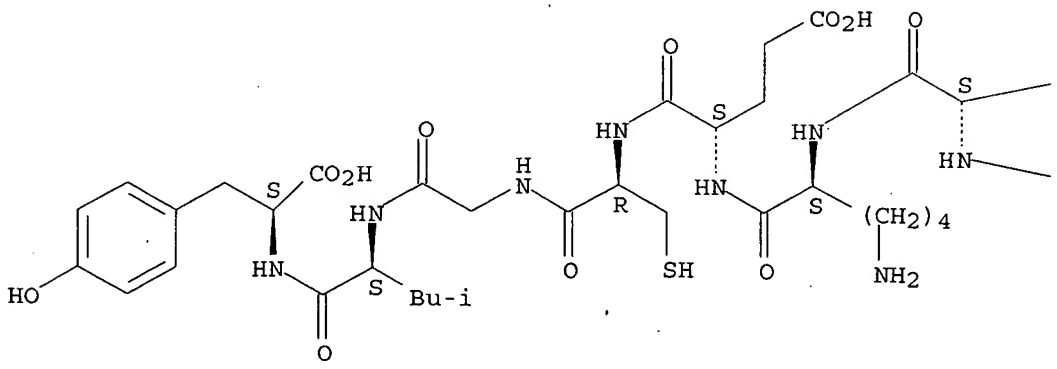


RN 439076-84-3 HCAPLUS
CN L-Tyrosine, L-lysyl-L-α-glutamyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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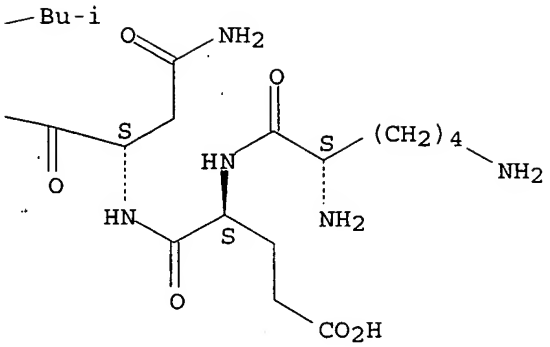
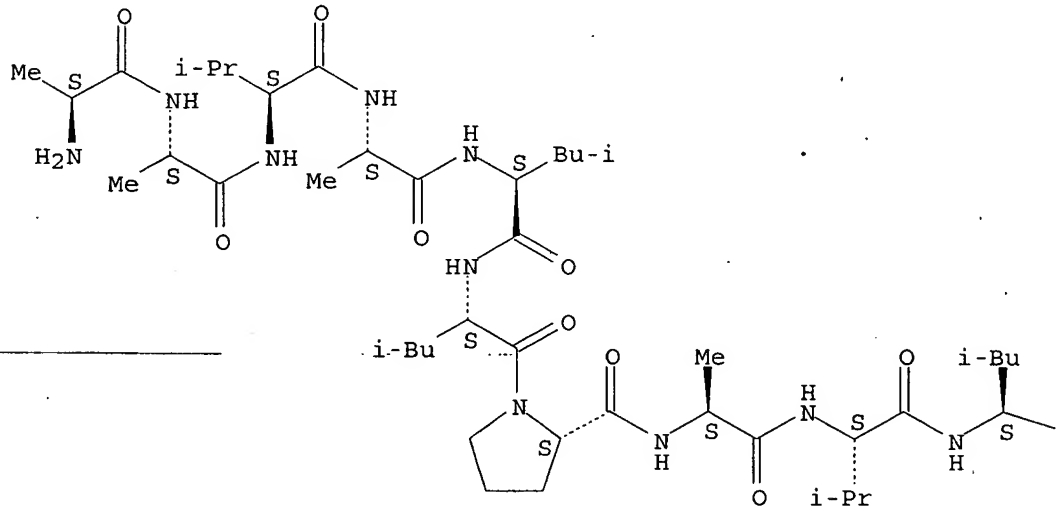


RN 439076-86-5 HCAPLUS
CN L-Tyrosine, L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-glutaminyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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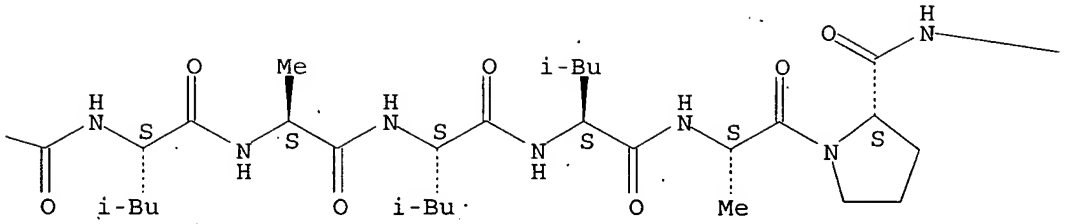

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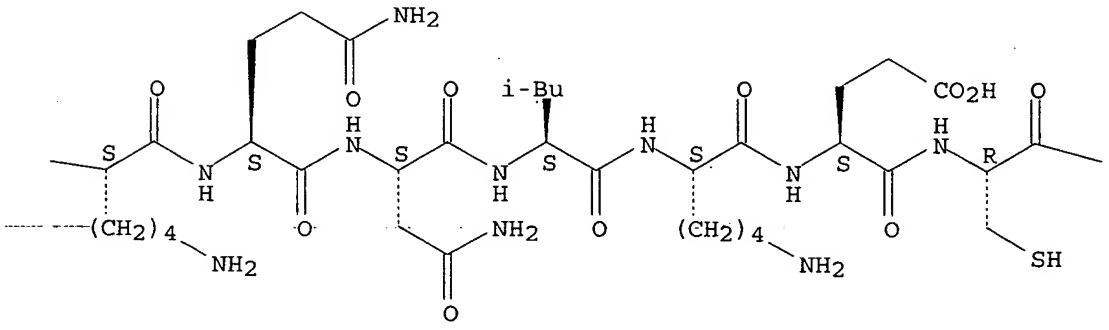

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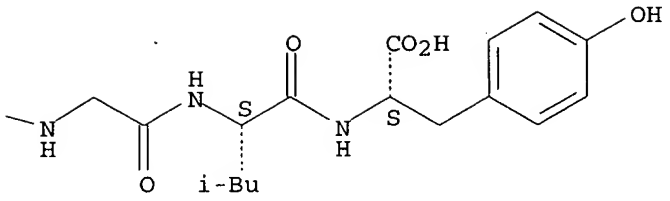
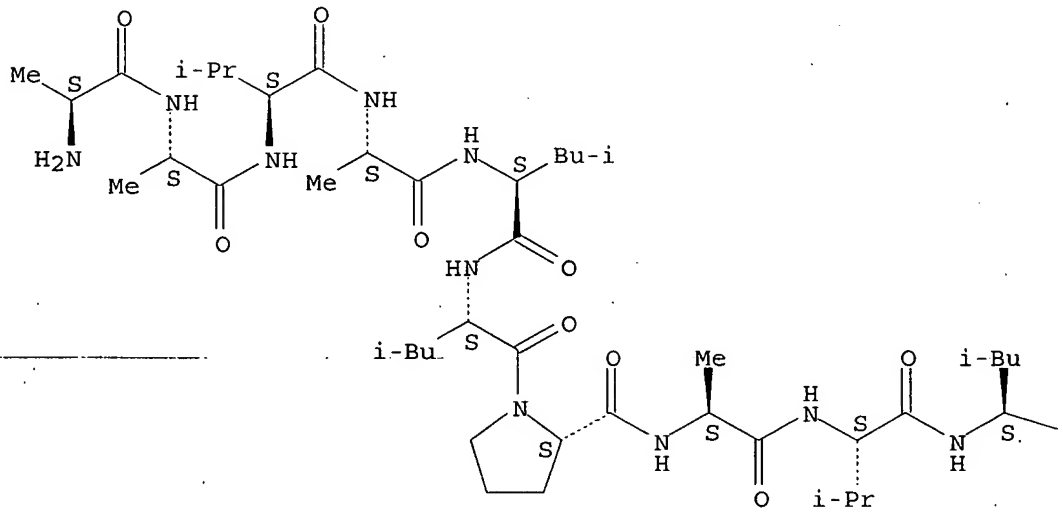


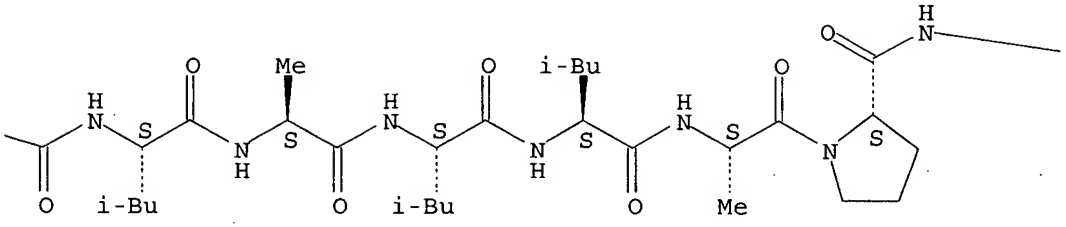
RN 439076-88-7 HCAPLUS
CN L-Tyrosine, L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-valylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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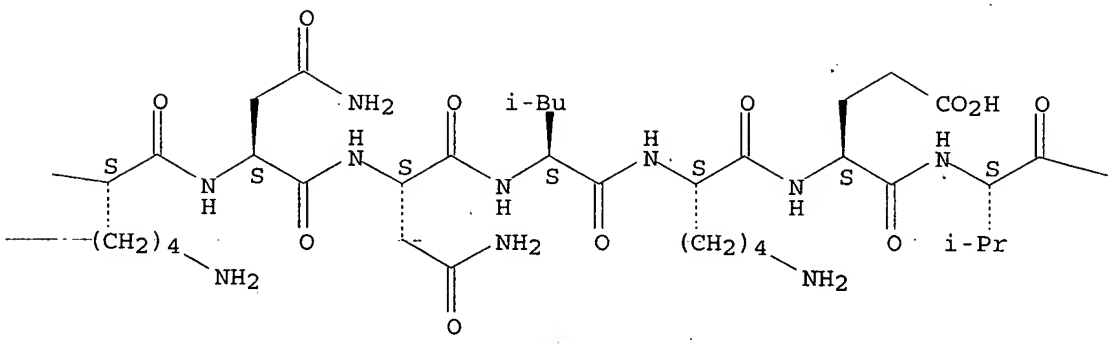

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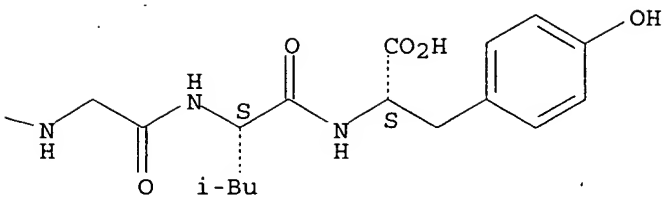
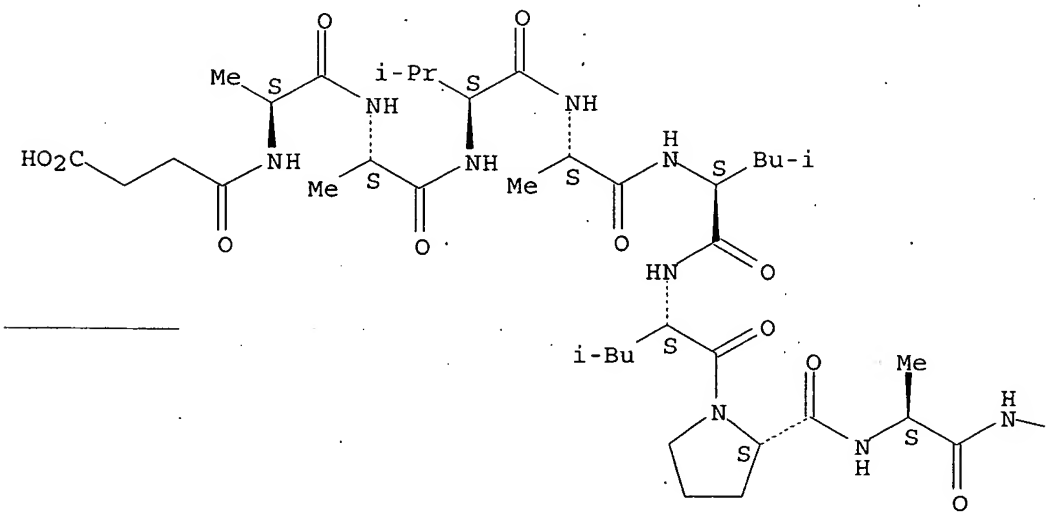


RN 439076-90-1 HCAPLUS
CN L-Tyrosine, N-(3-carboxy-1-oxopropyl)-L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-N-methylglycyl-L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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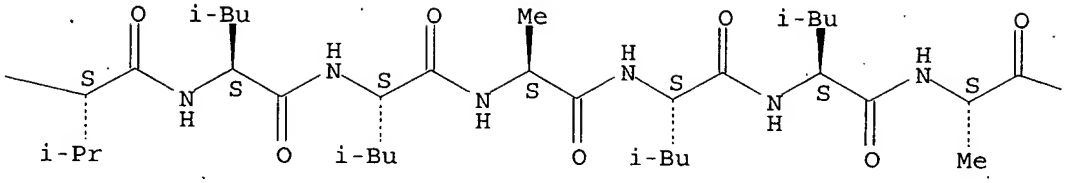

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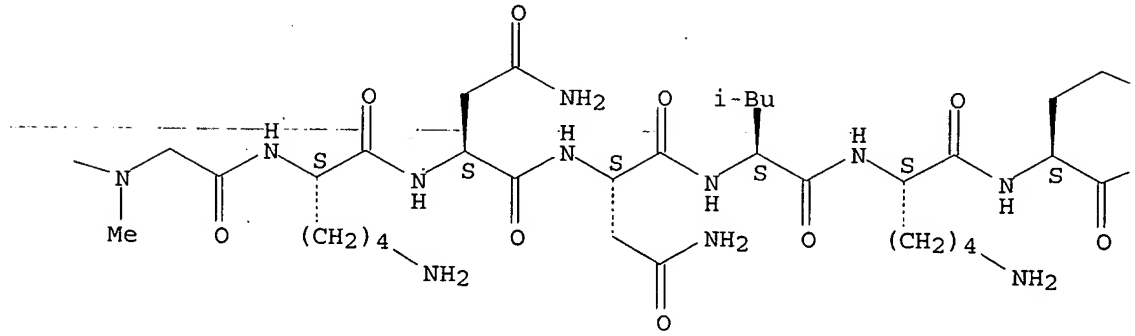

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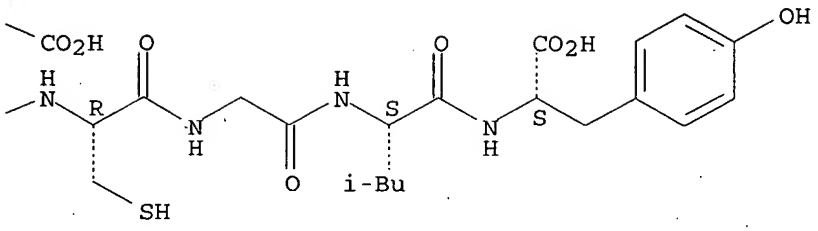

RN 439076-92-3 HCAPLUS
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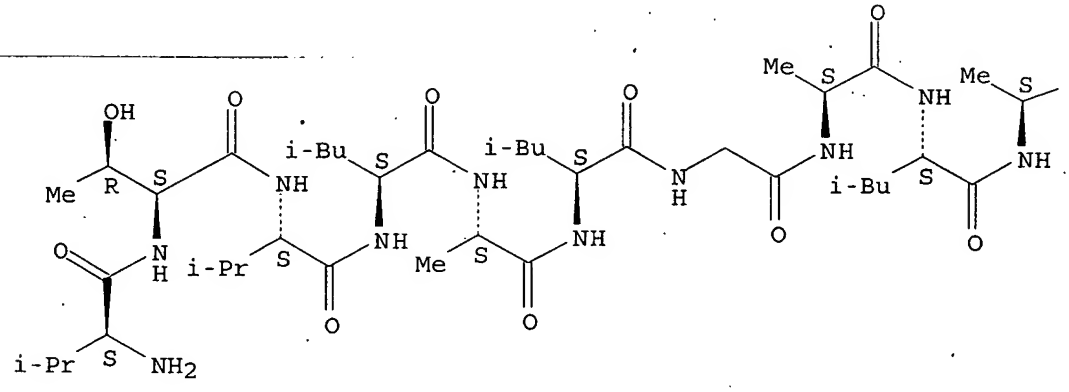
Absolute stereochemistry.

PAGE 1-A



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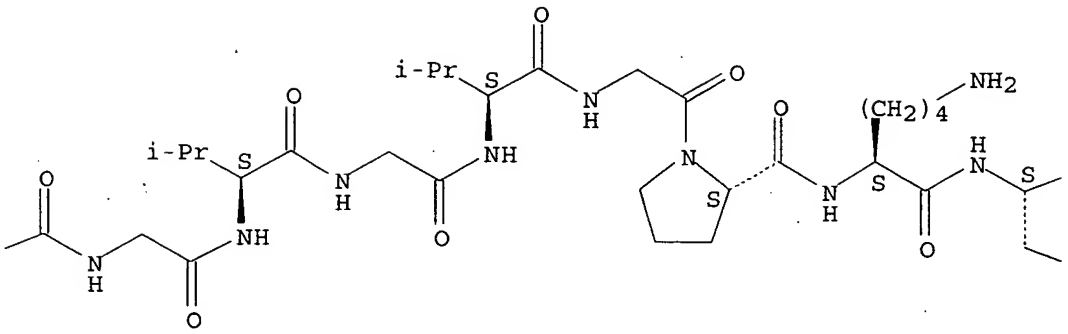

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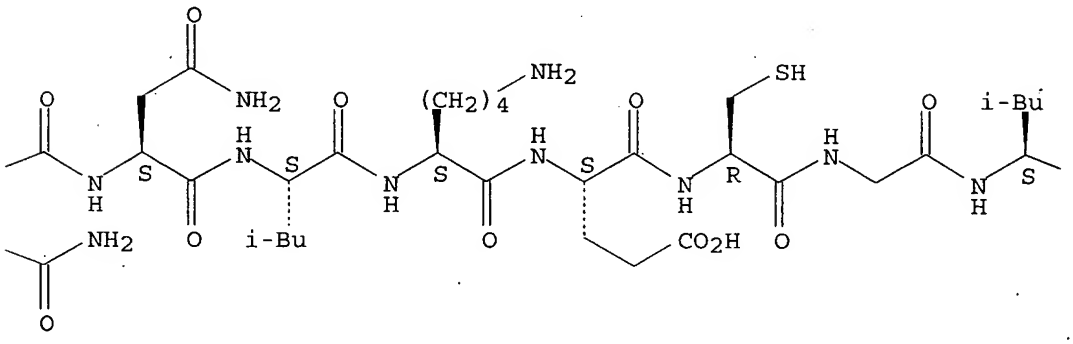

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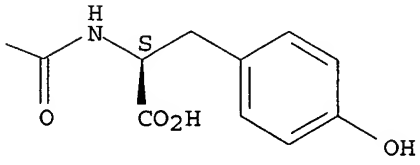
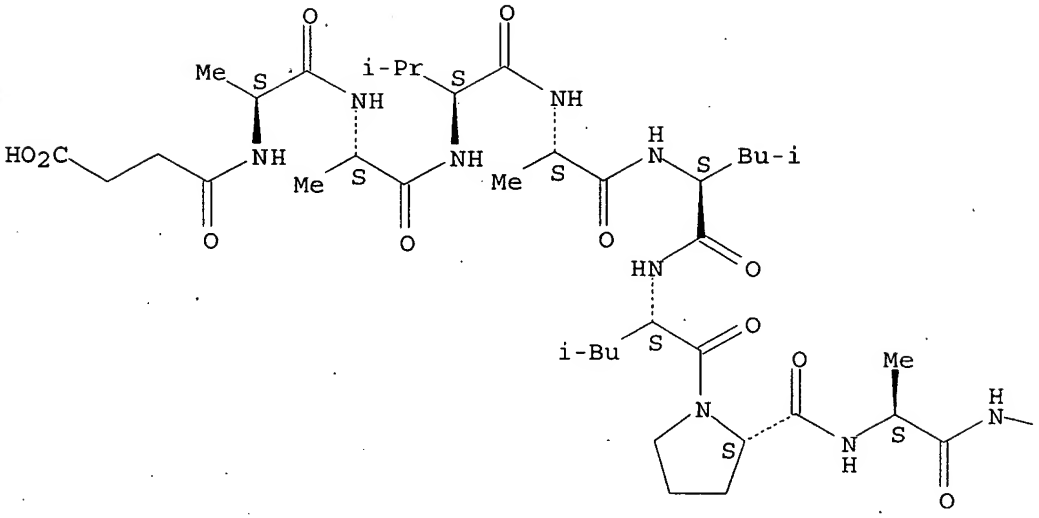


RN 439076-94-5 HCAPLUS

CN L-Tyrosine, N-(3-carboxy-1-oxopropyl)-L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-seryl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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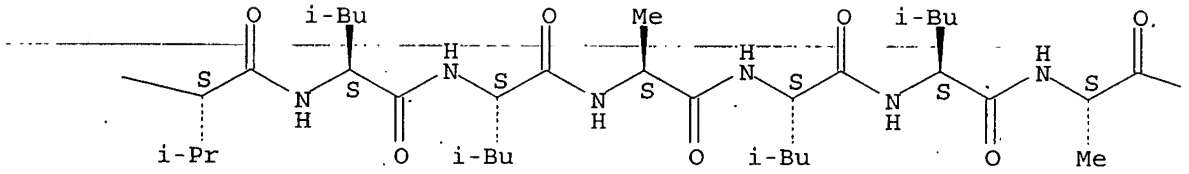

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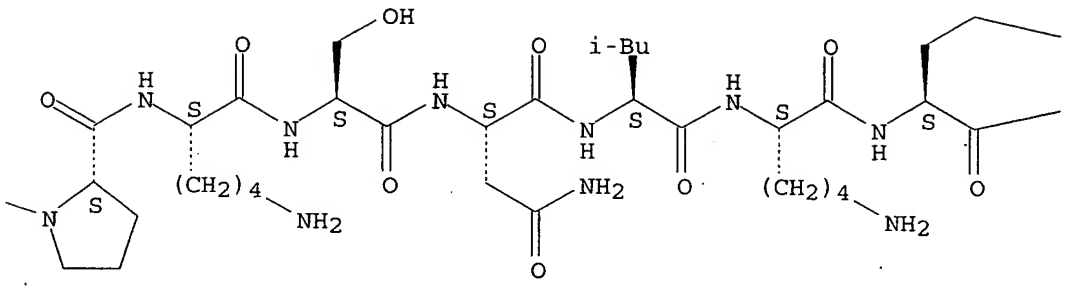

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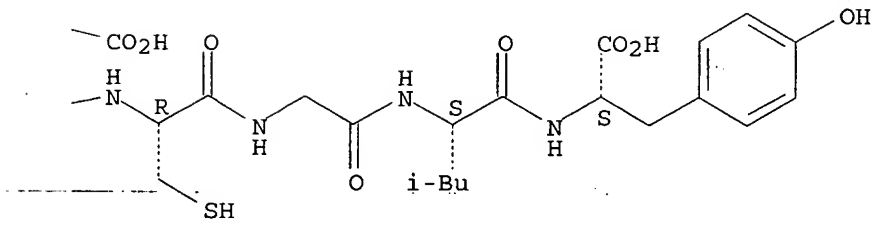
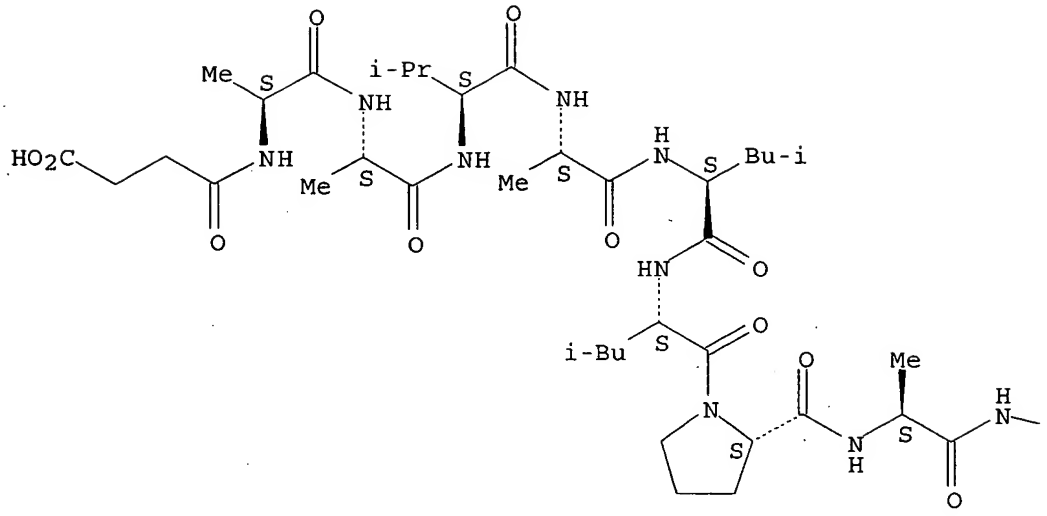


RN 439076-96-7 HCAPLUS

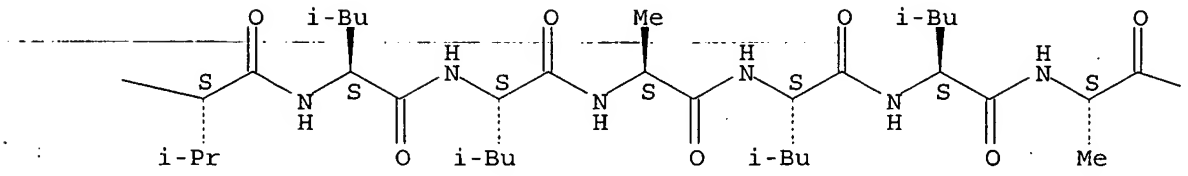
CN L-Tyrosine, N-(3-carboxy-1-oxopropyl)-L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-α-glutamyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

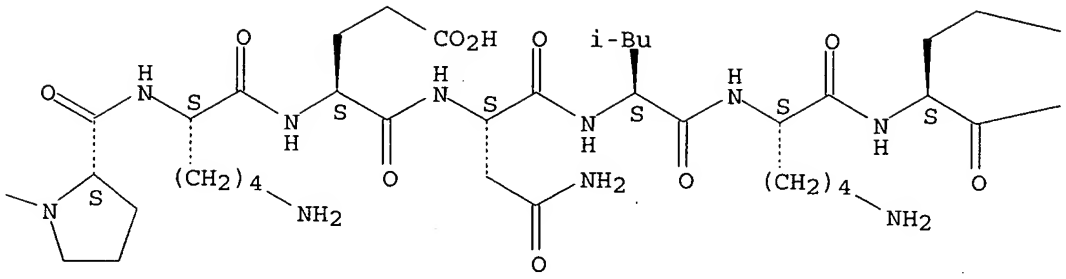
PAGE 1-A



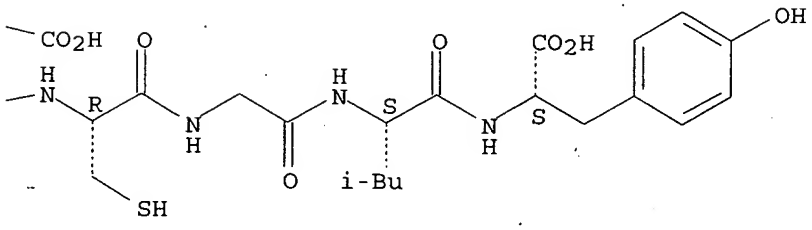
PAGE 1-B



PAGE 1-C



PAGE 1-D

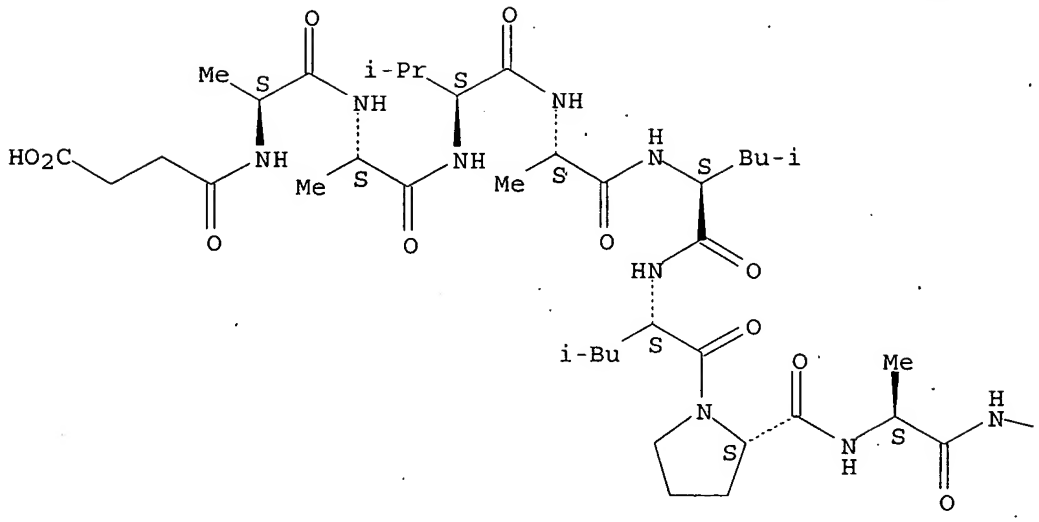


RN 439076-98-9 HCAPLUS

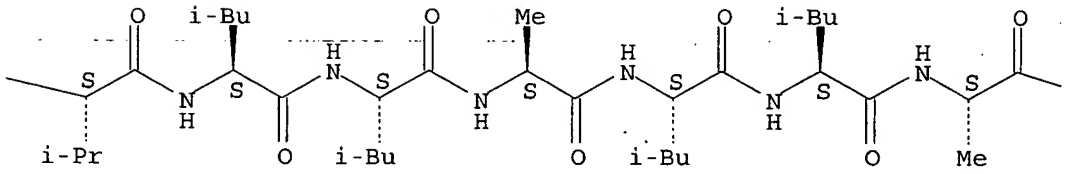
CN L-Tyrosine, N-(3-carboxy-1-oxopropyl)-L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-alanyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

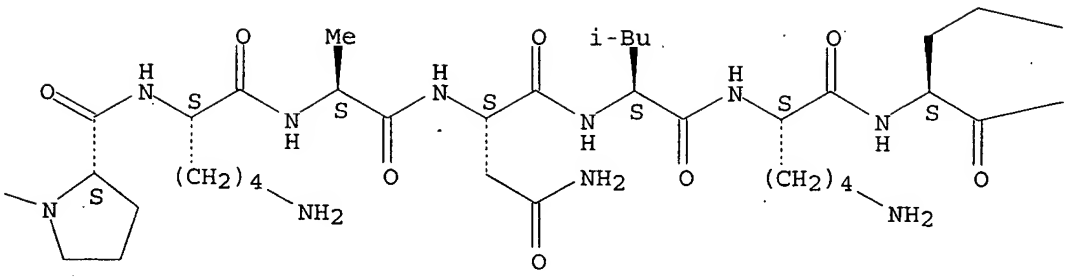
PAGE 1-A



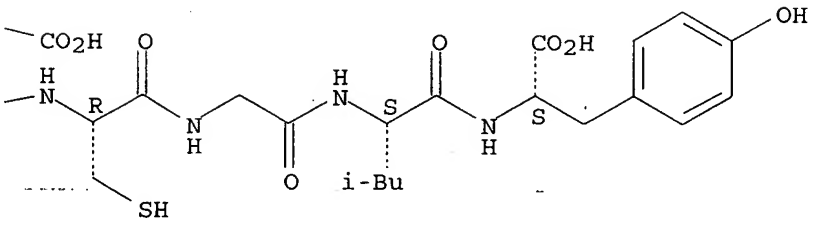
PAGE 1-B



PAGE 1-C



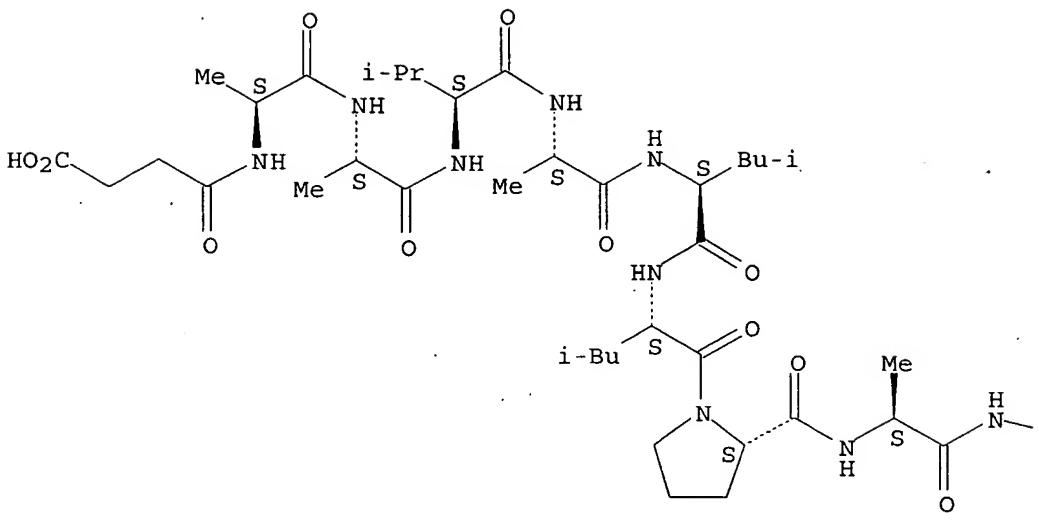
PAGE 1-D



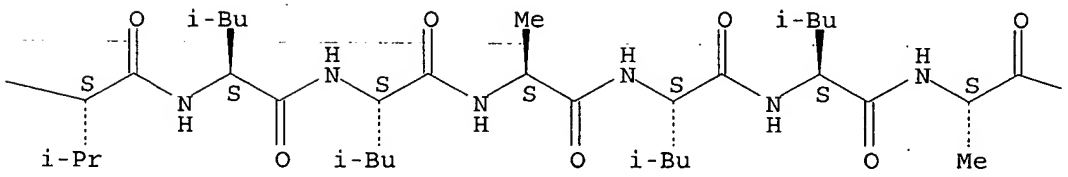
RN 439077-01-7 HCAPLUS
CN L-Tyrosine, N-(3-carboxy-1-oxopropyl)-L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-glutaminyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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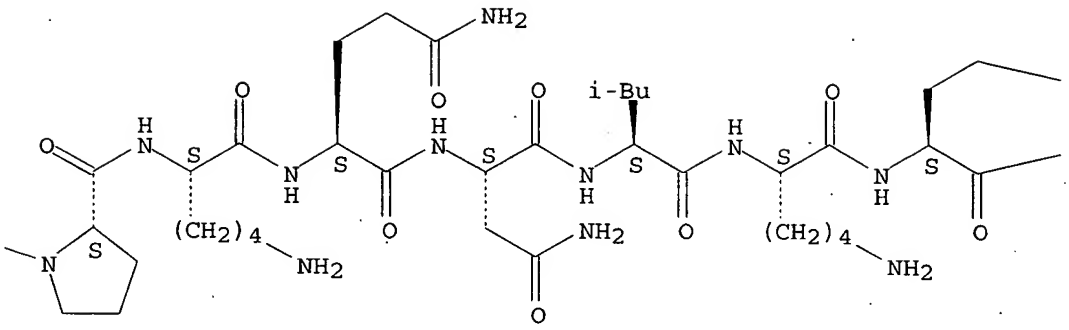

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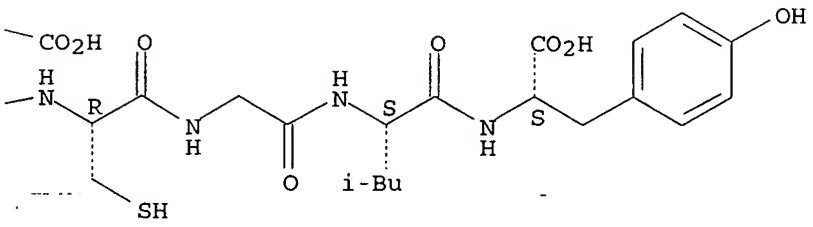
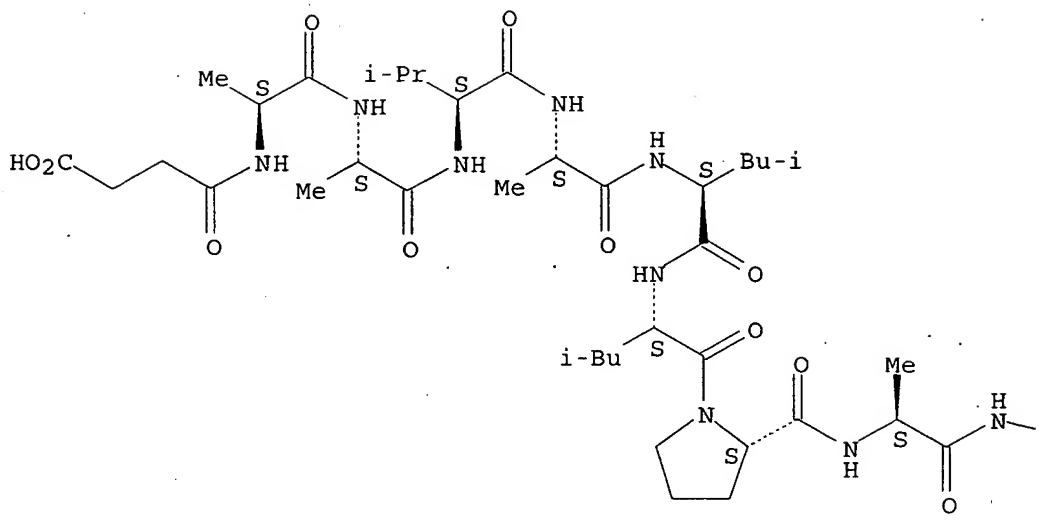


RN 439077-02-8 HCAPLUS

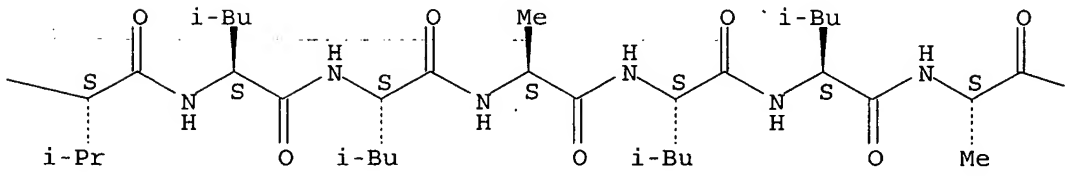
CN L-Tyrosine, N-(3-carboxy-1-oxopropyl)-L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-valylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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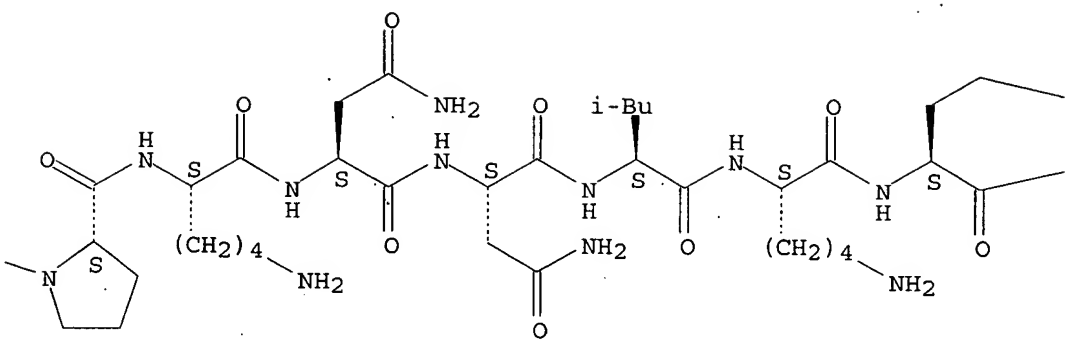

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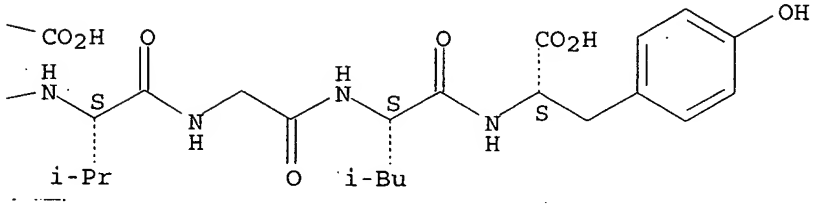


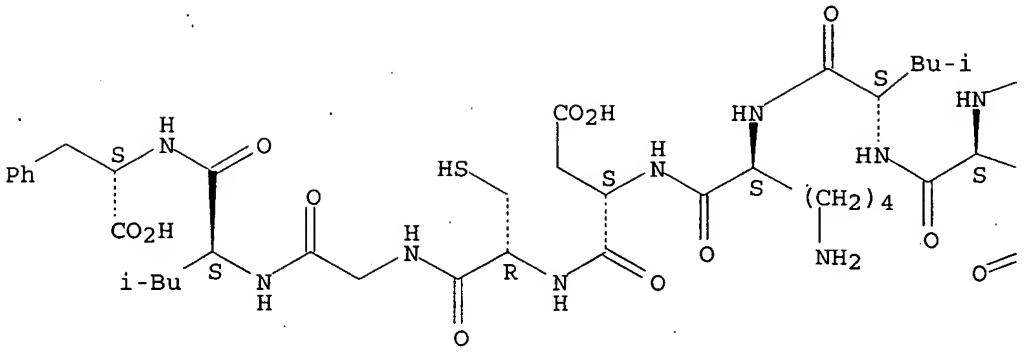
IT **111863-82-2 313946-98-4 313947-02-3**
439223-16-2 439223-17-3 439223-18-4
439223-20-8 439223-21-9 439223-22-0
439223-23-1 439223-24-2
RL: PRP (Properties)
(unclaimed sequence; anti-allergic peptide and peptidomimetic conjugates containing a cell penetration portion and a mast cell degranulation-inhibiting portion)

RN 111863-82-2 HCAPLUS

CN L-Phenylalanine, L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-aspartyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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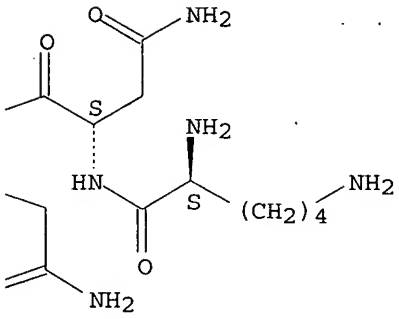
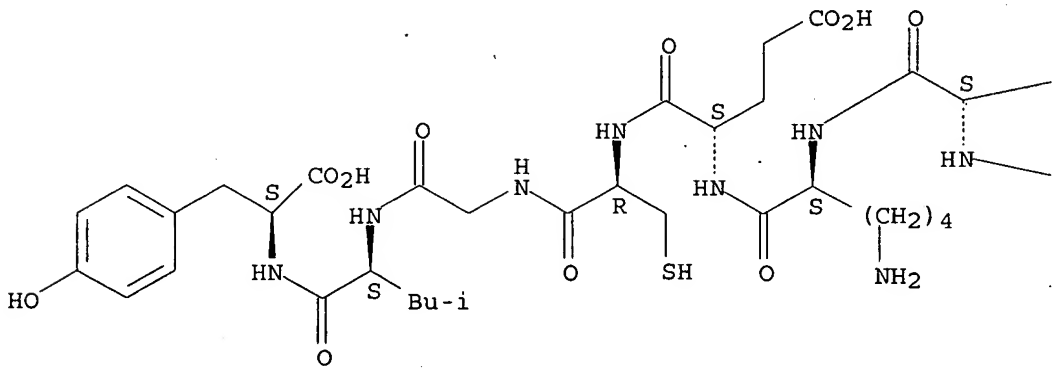


RN 313946-98-4 HCAPLUS
CN L-Tyrosine, L-valyl-L-threonyl-L-valyl-L-leucyl-L-alanyl-L-leucylglycyl-L-alanyl-L-leucyl-L-alanylglycyl-L-valylglycyl-L-valylglycyl-L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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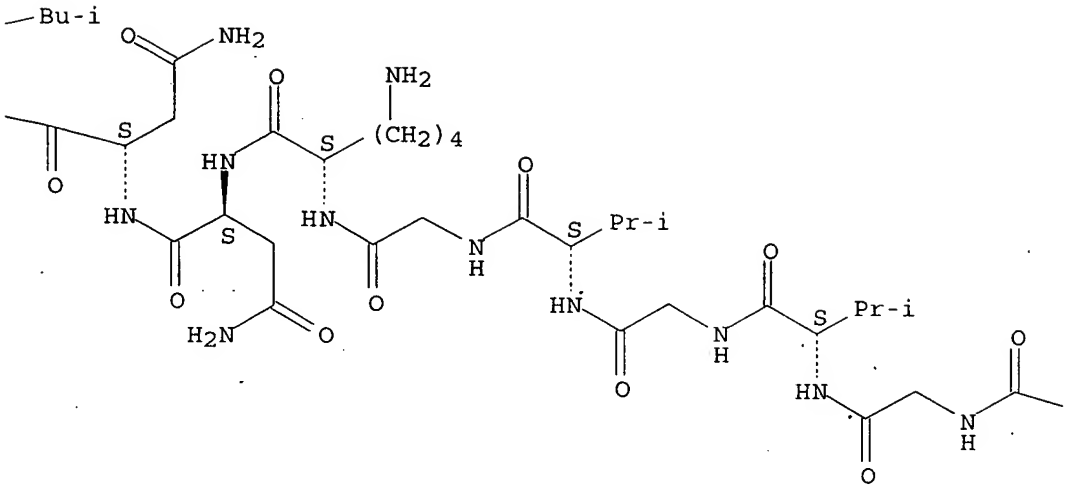
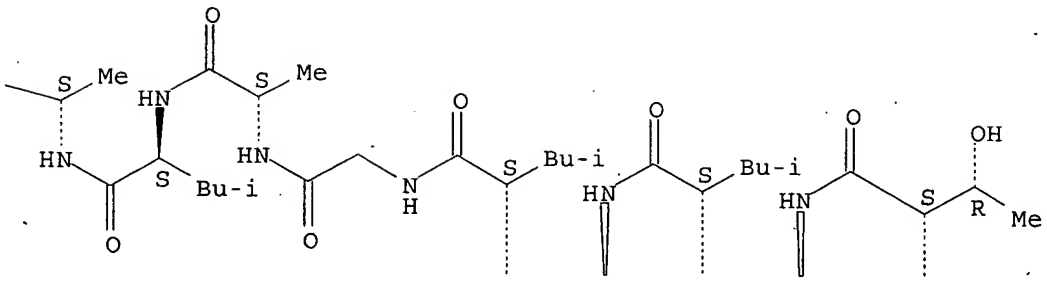
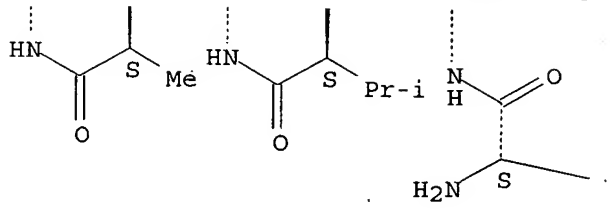


PAGE 1-C



PAGE 2-C



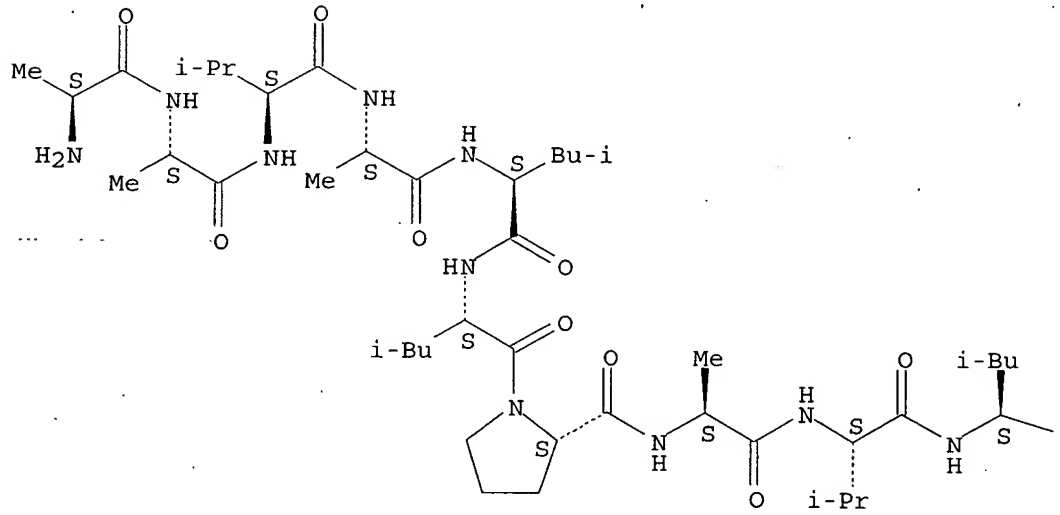
PAGE 2-D

—Pr-i

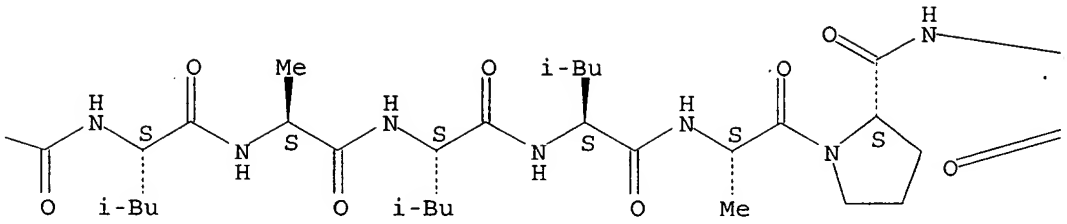
RN 313947-02-3 HCAPLUS
CN L-Phenylalanine, L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-α-glutamyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-aspartyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

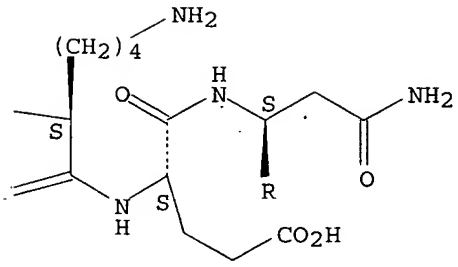
PAGE 1-A



PAGE 1-B



PAGE 1-C



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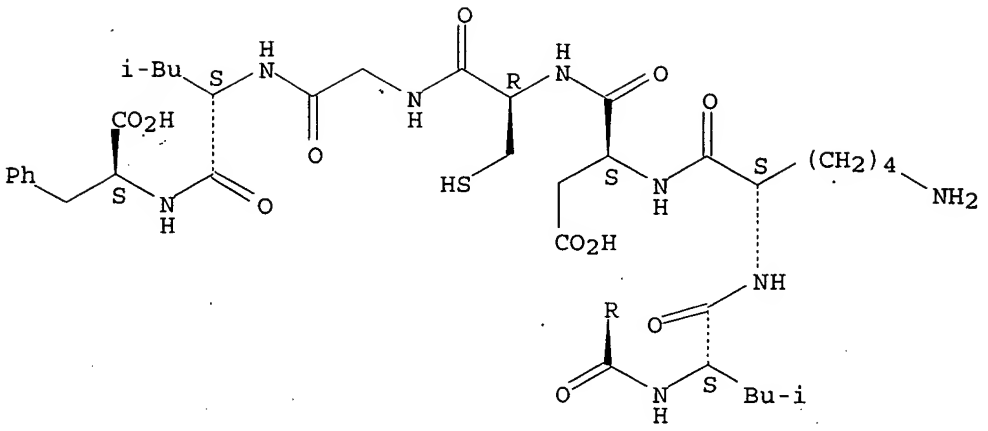
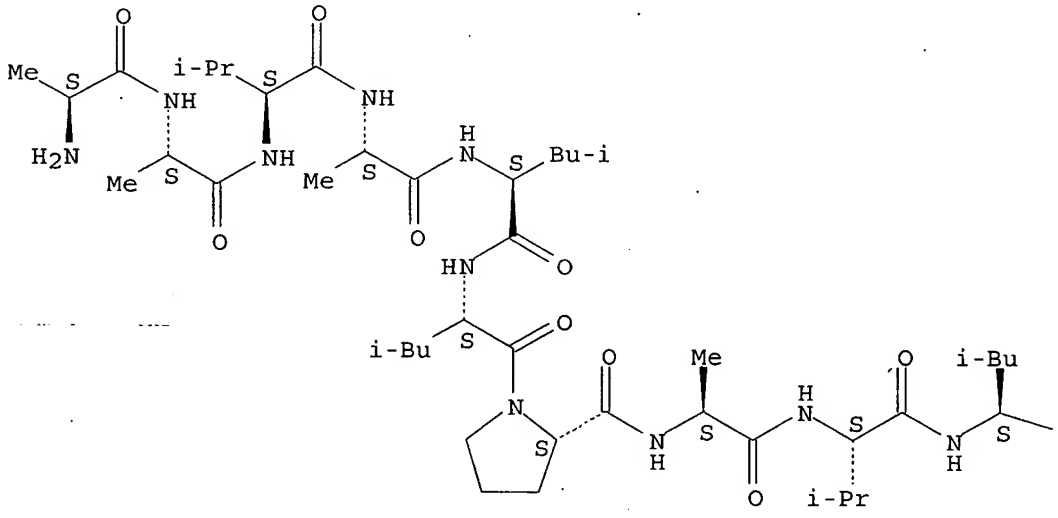


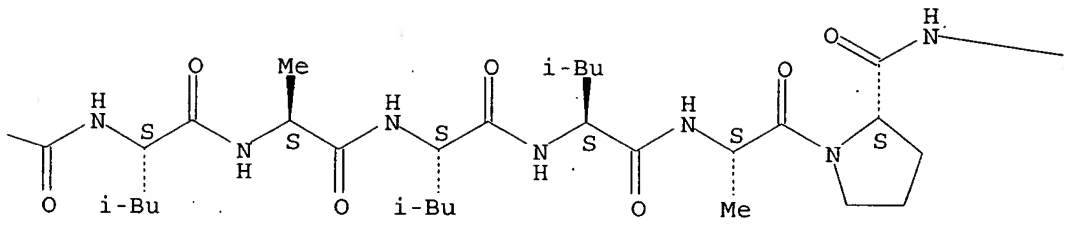
RN 439223-16-2 HCAPLUS
CN L-Tyrosine, L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-seryl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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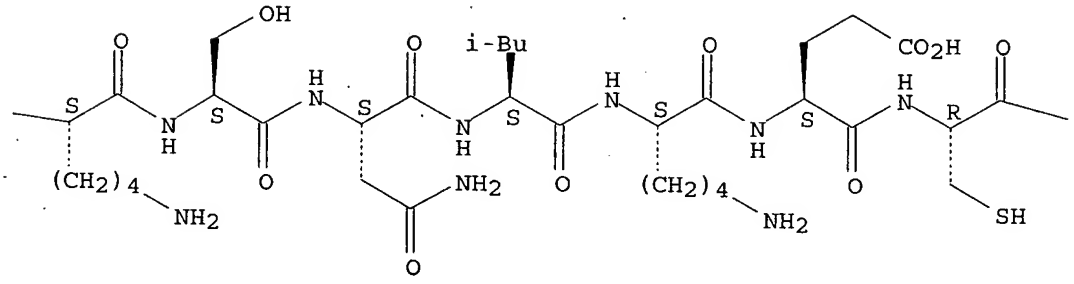
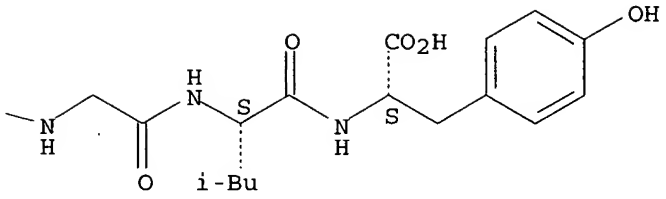


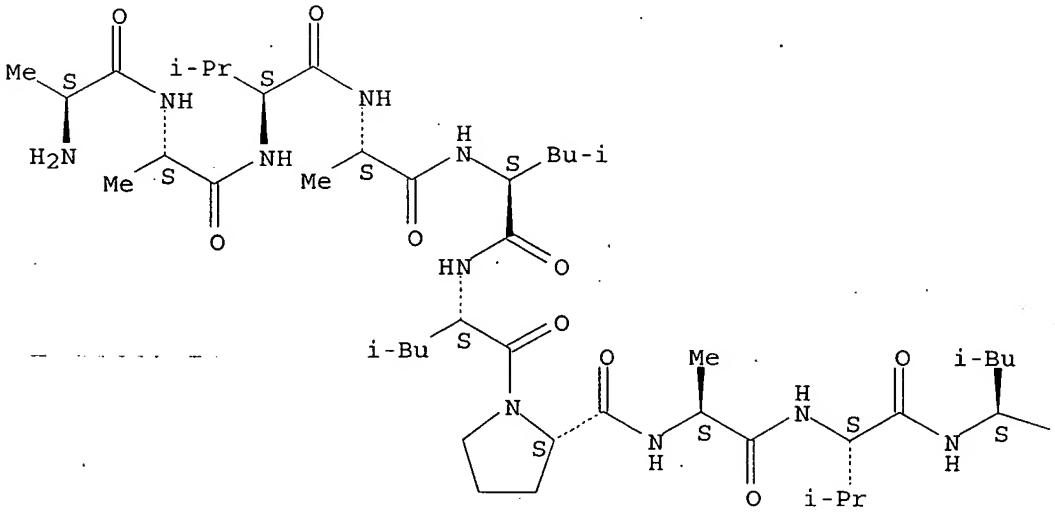
PAGE 1-D



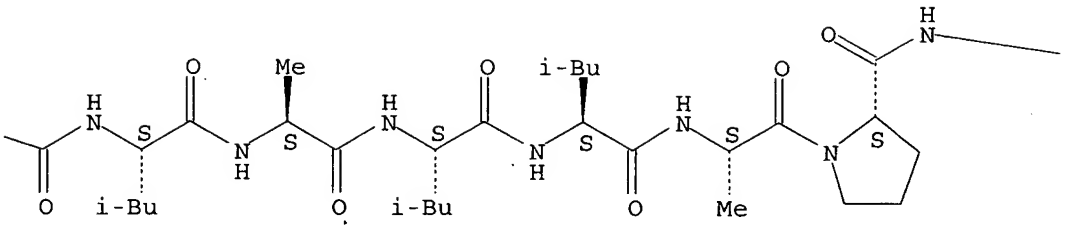
RN 439223-17-3 HCAPLUS
CN L-Tyrosine, L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-α-glutamyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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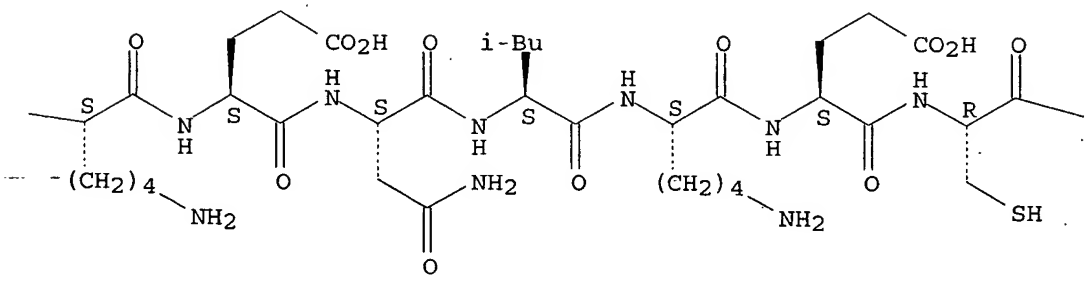
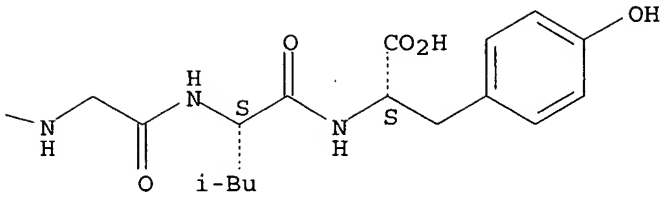


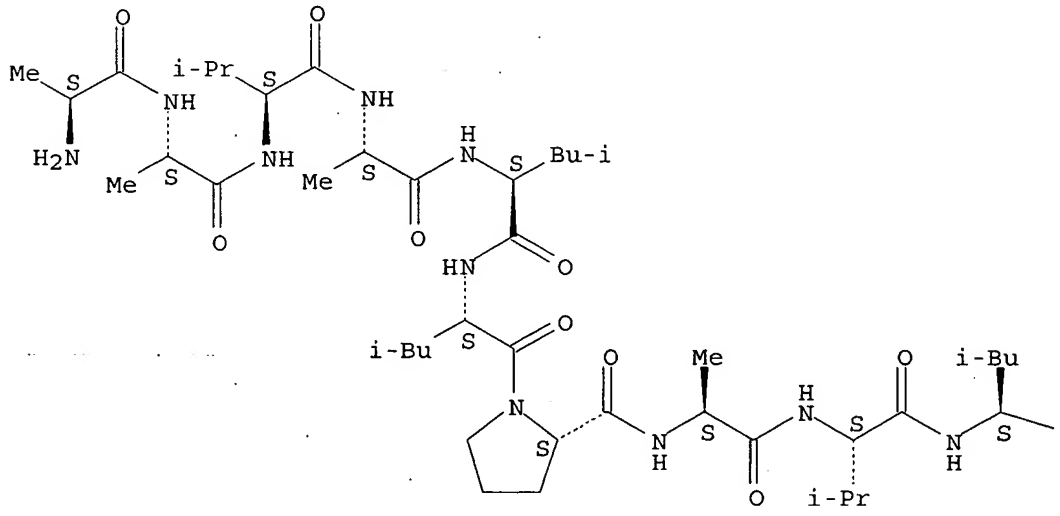
PAGE 1-D



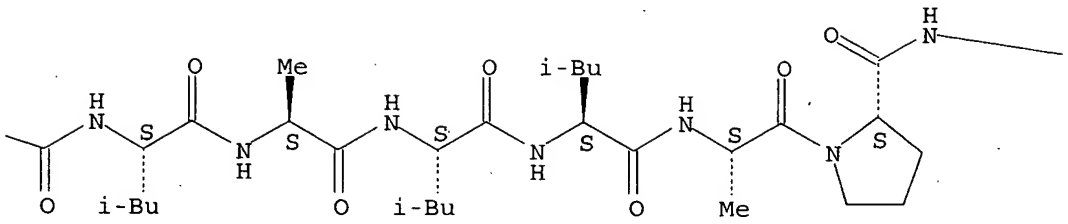
RN 439223-18-4 HCAPLUS
CN L-Tyrosine, L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-alanyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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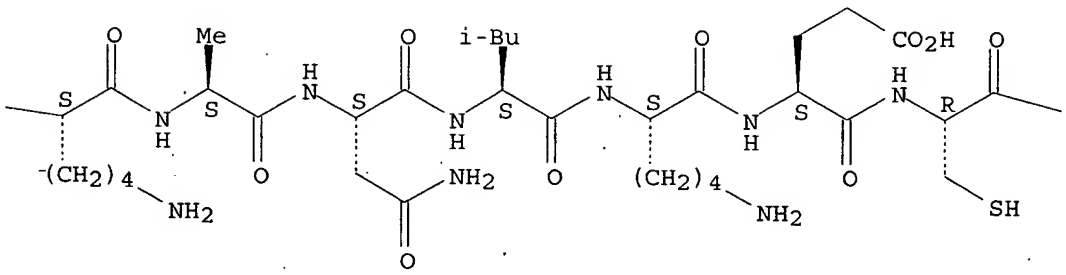

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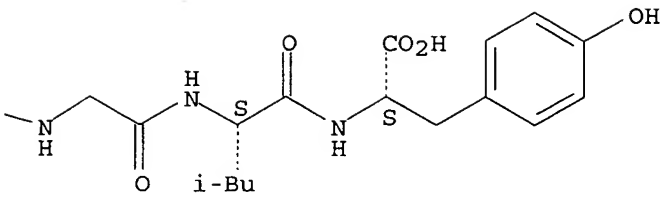
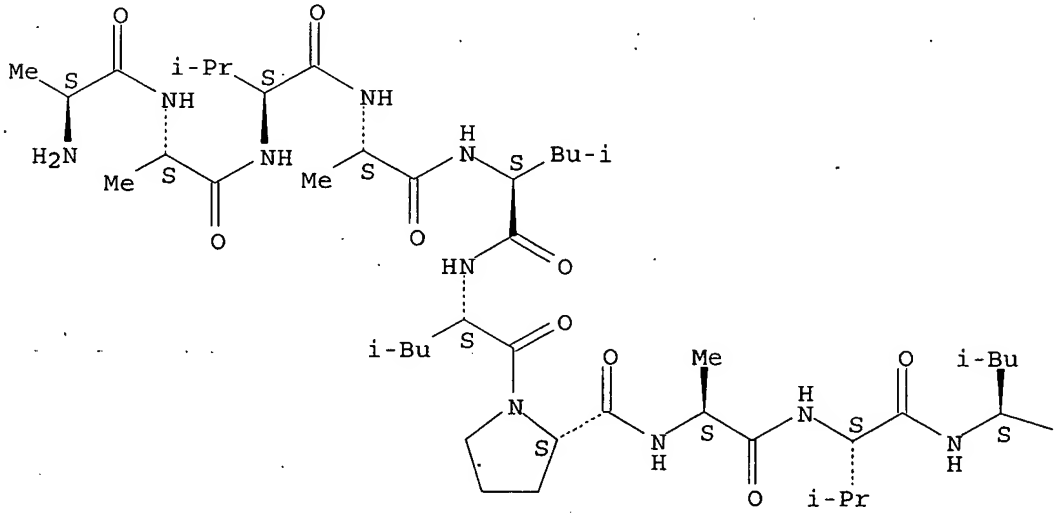


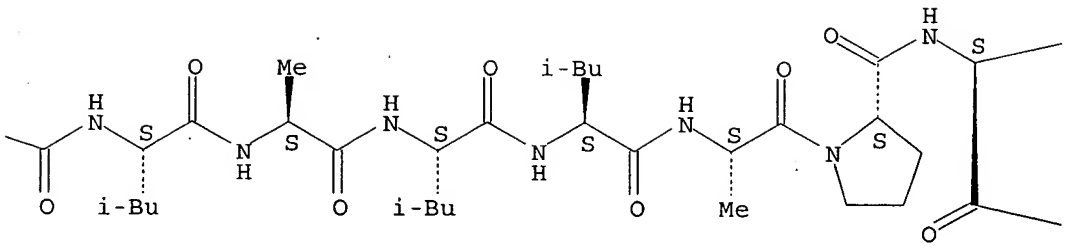
RN 439223-20-8 HCAPLUS
CN L-Phenylalanine, L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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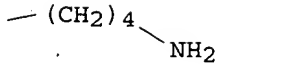

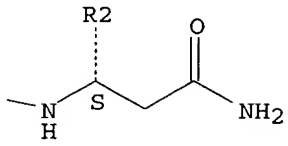

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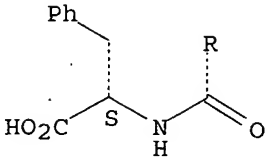
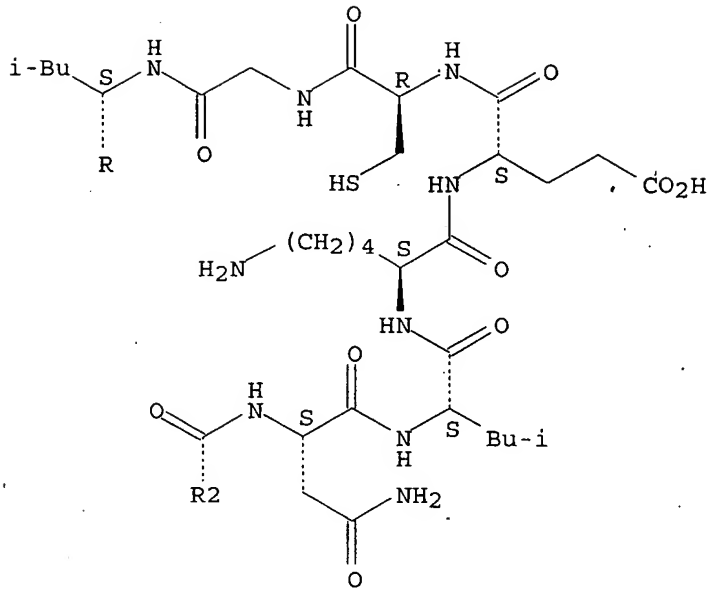


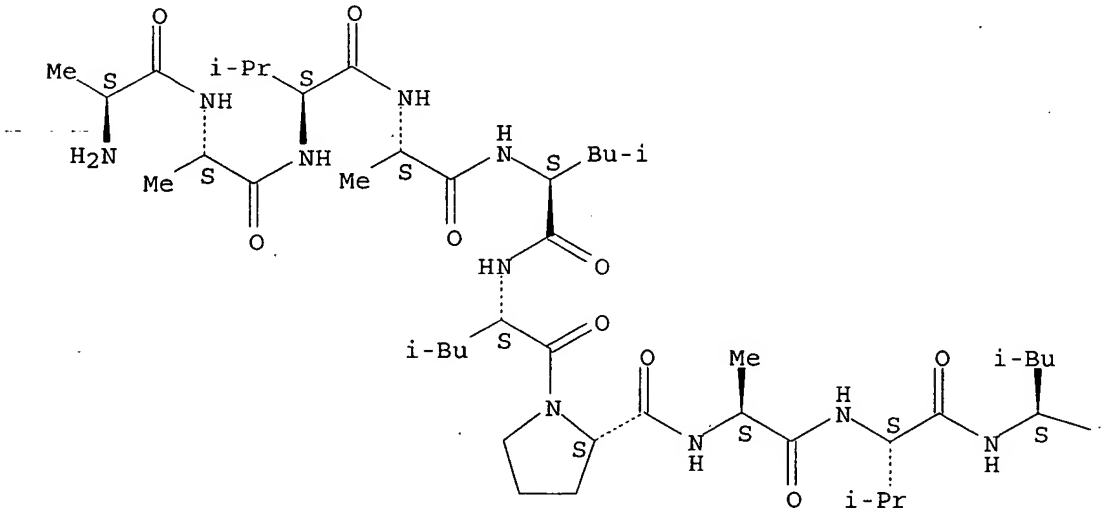
PAGE 3-A



RN 439223-21-9 HCAPLUS
CN L-Tyrosine, L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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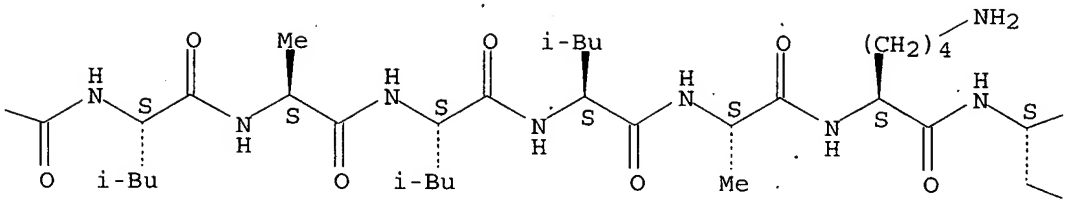

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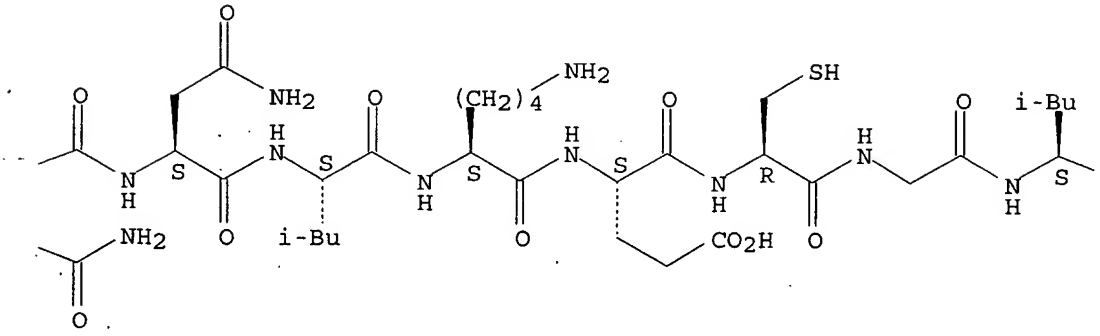

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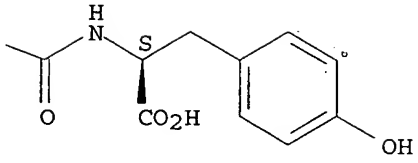

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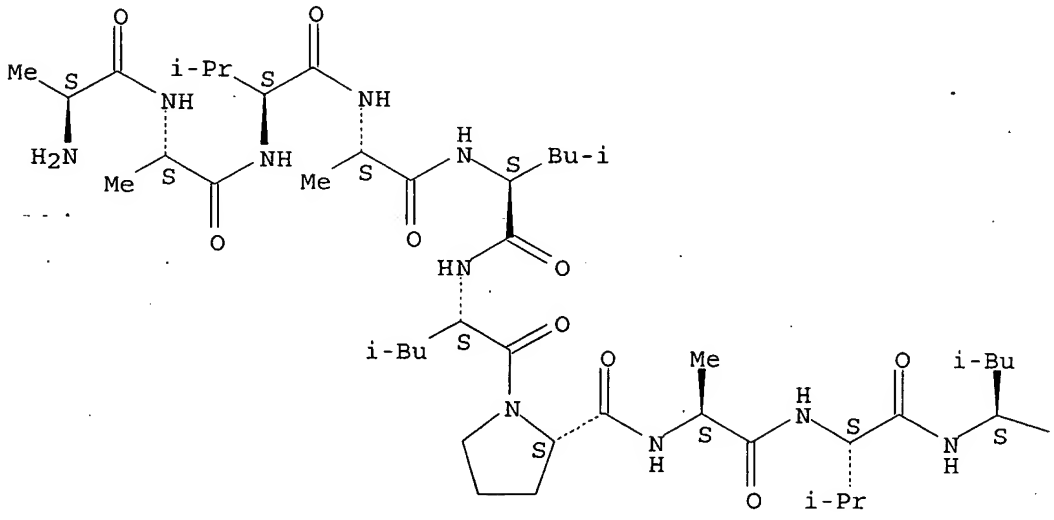
Absolute stereochemistry.

PAGE 1-A



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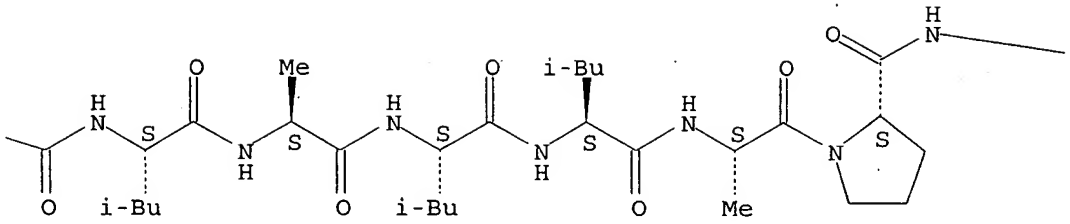

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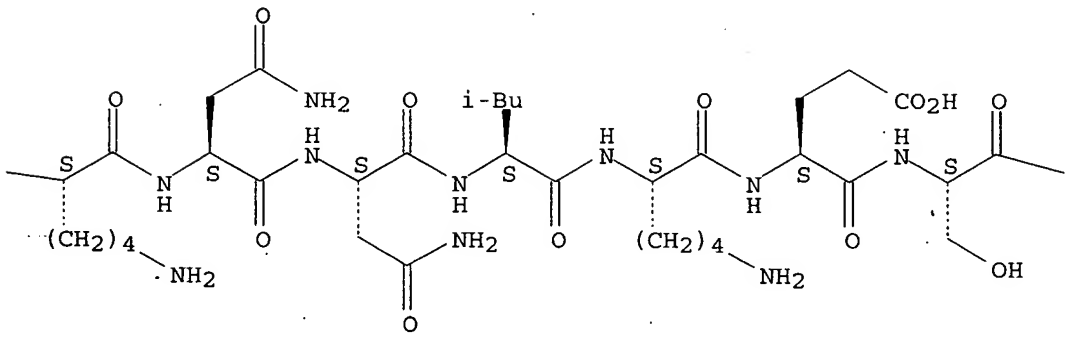
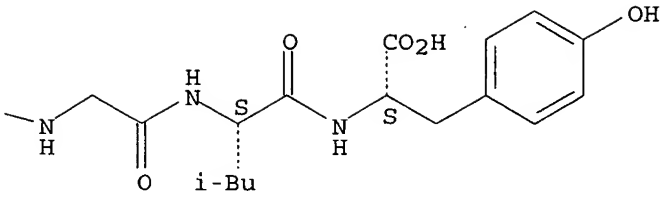


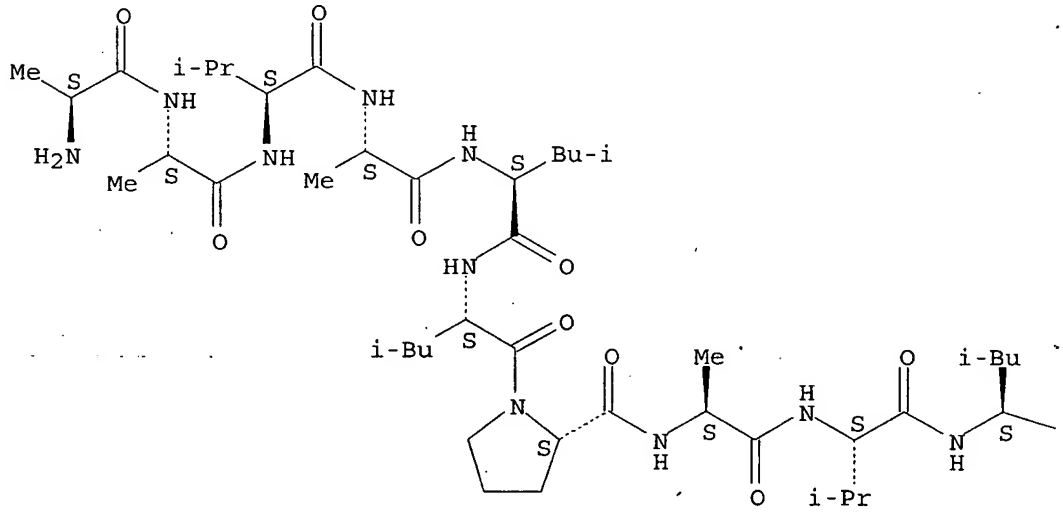
PAGE 1-D



RN 439223-23-1 HCAPLUS
CN L-Tyrosine, L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-alanyl-L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-valylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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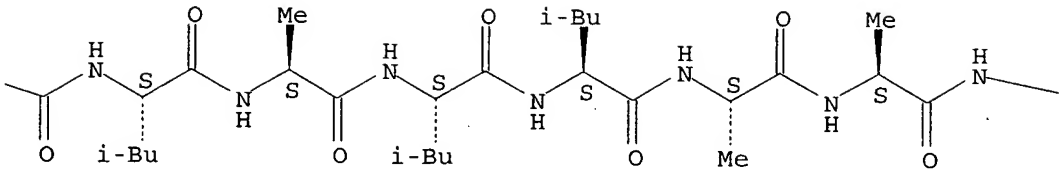
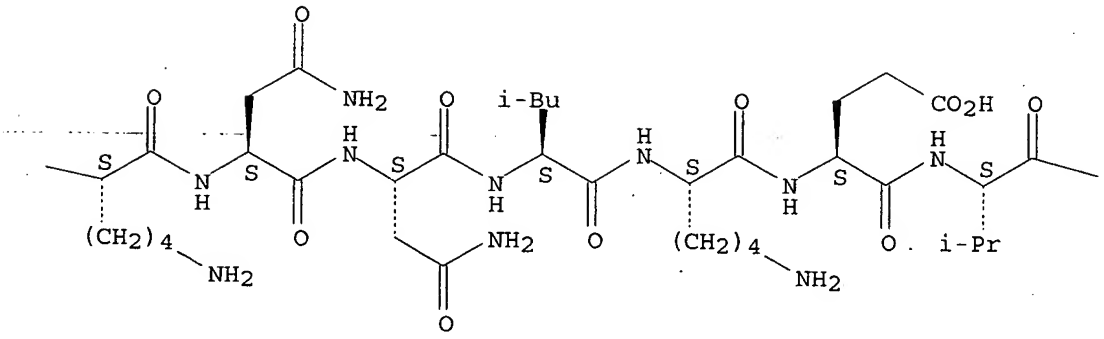
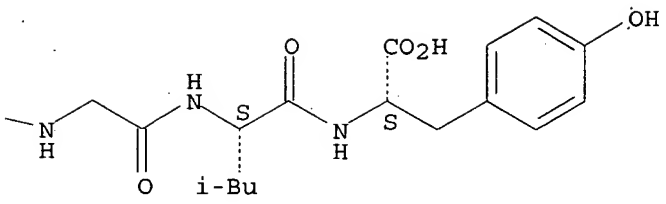


PAGE 1-C



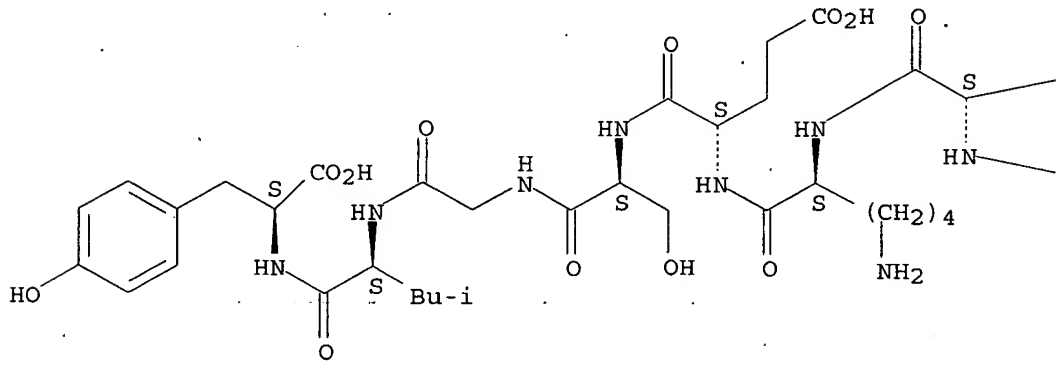
PAGE 1-D

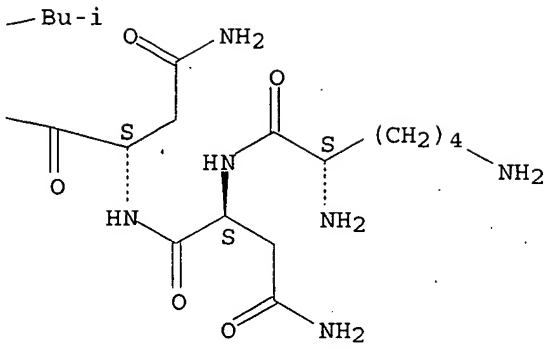


RN 439223-24-2 HCAPLUS
CN L-Tyrosine, L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-serylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





L21 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:157591 HCAPLUS
DOCUMENT NUMBER: 136:210582
TITLE: New uses for amino acid anticonvulsants in the treatment of pain and bipolar disease and **migraine** headaches
INVENTOR(S): Harris, Robert H.
PATENT ASSIGNEE(S): Research Corporation Technologies, Inc., USA
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002015922	A2	20020228	WO 2001-US26568	20010824
WO 2002015922	A3	20030925		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2419285	AA	20020228	CA 2001-2419285	20010824
AU 2001086763	A5	20020304	AU 2001-86763	20010824
US 2002086828	A1	20020704	US 2001-938677	20010824
US 6884910	B2	20050426		
EP 1365787	A2	20031203	EP 2001-966230	20010824
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004506692	T2	20040304	JP 2002-520843	20010824
EP 1486205	A1	20041215	EP 2004-21727	20010824
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				

EP 1486206	A1	20041215	EP 2004-21728		20010824
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US 2004087508	A1	20040506	US 2003-688709		20031017
US 2004097416	A1	20040520	US 2003-688638		20031017
PRIORITY APPLN. INFO.:			US 2000-228230P	P	20000825
			EP 2001-966230	A3	20010824
			US 2001-938677	A3	20010824
			WO 2001-US26568	W	20010824

OTHER SOURCE(S): MARPAT 136:210582

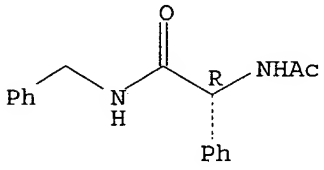
AB The present invention is directed to the use of compds. RNH[COC(R2)(R3)NH]nCOR1 for treating pain, in particular neuropathic pain, bipolar disease and **migraine** headaches. (R)-2-Acetamido-N-benzyl-3-methoxypropionamide, at 100 mg/kg PO, was effective in reducing pain in mice.

IT **117066-25-8 163957-97-9 163958-01-8 175481-36-4 196601-64-6 196601-65-7**
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(new uses for amino acid anticonvulsants in treatment of pain and bipolar disease and **migraine** headaches)

RN 117066-25-8 HCAPLUS

CN Benzeneacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)- (9CI) (CA INDEX NAME)

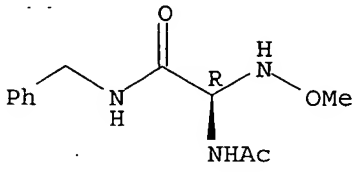
Absolute stereochemistry. Rotation (-).



RN 163957-97-9 HCAPLUS

CN Acetamide, 2-(acetylamino)-2-(methoxyamino)-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

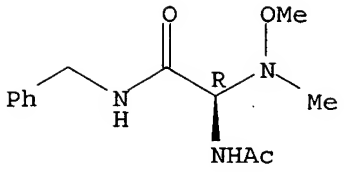
Absolute stereochemistry.



RN 163958-01-8 HCAPLUS

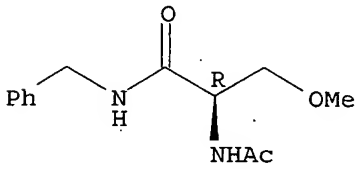
CN Acetamide, 2-(acetylamino)-2-(methoxymethylamino)-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



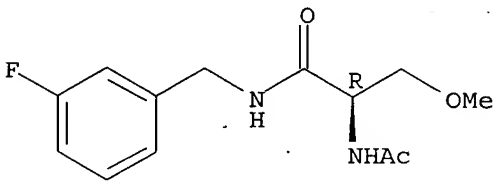
RN 175481-36-4 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-methoxy-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



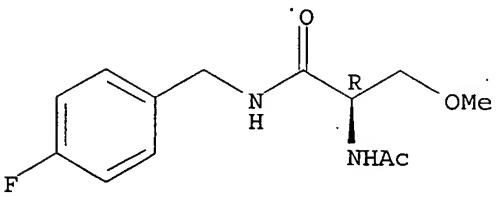
RN 196601-64-6 HCAPLUS
CN Propanamide, 2-(acetylamino)-N-[(3-fluorophenyl)methyl]-3-methoxy-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 196601-65-7 HCAPLUS
CN Propanamide, 2-(acetylamino)-N-[(4-fluorophenyl)methyl]-3-methoxy-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L21 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:89788 HCAPLUS
DOCUMENT NUMBER: 136:146437
TITLE: New members of the μ-conotoxin family for use in the treatment of disease associated with sodium channel function and cDNAs encoding them

INVENTOR(S): Olivera, Baldomero M.; McIntosh, J. Michael; Garrett, James E.; Watkins, Maren; Cruz, Lourdes J.; Shon, Ki-Joon; Jacobsen, Richard; Jones, Robert M.; Cartier, G. Edward; Shen, Gregory S.

PATENT ASSIGNEE(S): University of Utah Research Foundation, USA; Cognetix, Inc.

SOURCE: PCT Int. Appl., 231 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002007678	A2	20020131	WO 2001-US23125	20010723
WO 2002007678	A3	20031218		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2416544	AA	20020131	CA 2001-2416544	20010723
AU 2001082945	A5	20020205	AU 2001-82945	20010723
US 2003050234	A1	20030313	US 2001-910009	20010723
US 6727226	B2	20040427		
EP 1390054	A2	20040225	EP 2001-961699	20010723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004537253	T2	20041216	JP 2002-513416	20010723
US 2004192886	A1	20040930	US 2004-828478	20040421

PRIORITY APPLN. INFO.:

US 2000-219619P	P	20000721
US 2000-245157P	P	20001103
US 2001-264319P	P	20010129
US 2001-277270P	P	20010321
US 2001-910009	A3	20010723
WO 2001-US23125	W	20010723

AB The present invention is to μ-conopeptides, derivs. or pharmaceutically acceptable salts thereof. The present invention is further directed to the use of this peptide, derivs. thereof and pharmaceutically acceptable salts thereof for the treatment of disorders associated with voltage-gated sodium channels. Thus, the μ-conopeptides or derivs. are useful as neuromuscular blocking agents, local anesthetic agents, analgesic agents and neuroprotective agents. The μ-conopeptides are also useful for treating neuromuscular disorders. The invention is further directed to nucleic acid sequences encoding the μ-conopeptides and encoding propeptides, as well as the propeptides.

IT **395060-54-5 395060-91-0**
RL: PRP (Properties)
(unclaimed sequence; new members of the μ-conotoxin family for use in the treatment of disease associated with sodium channel function and cDNAs encoding them)

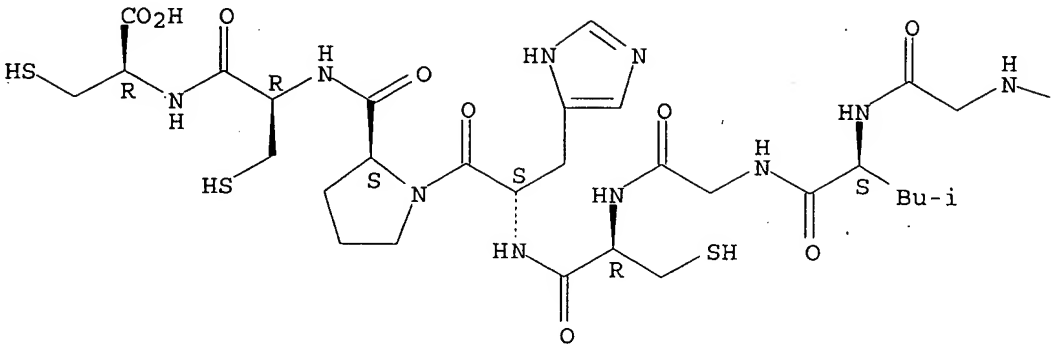
RN 395060-54-5 HCAPLUS

CN L-Cysteine, L-cysteinyl-L-cysteinyl-L-arginyl-L-leucyl-L-seryl-L-cysteinylglycyl-L-leucylglycyl-L-cysteinyl-L-histidyl-L-prolyl-L-cysteinyl-

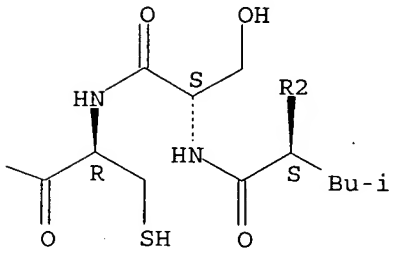
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

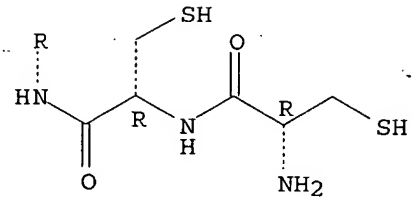
PAGE 1-A

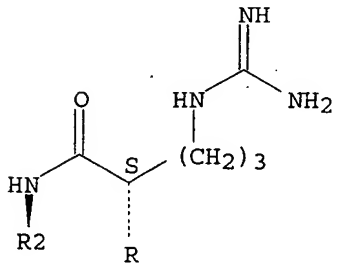


PAGE 1-B



PAGE 2-A

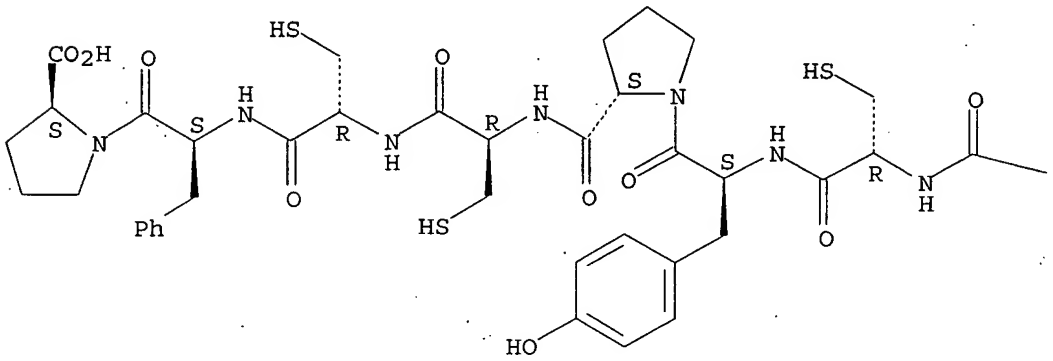




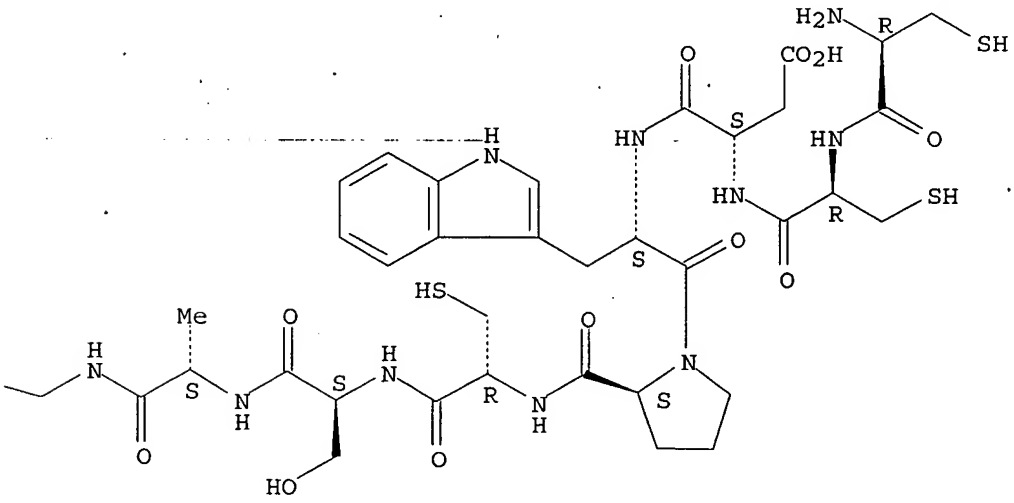
RN 395060-91-0 HCAPLUS
CN L-Proline, L-cysteinyl-L-cysteinyl-L-α-aspartyl-L-tryptophyl-L-prolyl-L-cysteinyl-L-seryl-L-alanylglycyl-L-cysteinyl-L-tyrosyl-L-prolyl-L-cysteinyl-L-cysteinyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L21 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:89785 HCAPLUS
DOCUMENT NUMBER: 136:146439

TITLE: Protein and cDNA sequences of novel ω-conopeptides from crude Conus venom extracts and their therapeutic uses

INVENTOR(S): Olivera, Baldomero M.; McIntosh, J. Michael; Watkins, Maren; Garrett, James E.; Shon, Ki-Joon; Jacobsen, Richard; Jones, Robert M.; Cartier, G. Edward

PATENT ASSIGNEE(S): University of Utah Research Foundation, USA; Cognetix, Inc.

SOURCE: PCT Int. Appl., 195 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002007675	A2	20020131	WO 2001-US23041	20010723
WO 2002007675	A3	20030306		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2416287	AA	20020131	CA 2001-2416287	20010723
AU 2001078982	A5	20020205	AU 2001-78982	20010723
EP 1311283	A2	20030521	EP 2001-957214	20010723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003119731	A1	20030626	US 2001-910082	20010723
JP 2004512025	T2	20040422	JP 2002-513413	20010723
US 2004132663	A1	20040708	US 2004-765926	20040129

PRIORITY APPLN. INFO.:

US 2000-219616P	P	20000721
US 2001-265888P	P	20010205
US 2001-910082	A1	20010723
WO 2001-US23041	W	20010723

AB The invention provides protein and cDNA sequences of 203 novel ω-conotoxin fregmants identified from crude Conus venom exts. The invention relates to ω-conopeptides, derivs. or pharmaceutically acceptable salts thereof, and uses thereof, including the treatment of neurol. and psychiatric disorders, such as anticonvulsant agents, as neuroprotective agents, as cardiovascular agents or for the management of pain. The invention further relates to nucleic acid sequences encoding the conopeptides and encoding propeptides, as well as the propeptides.

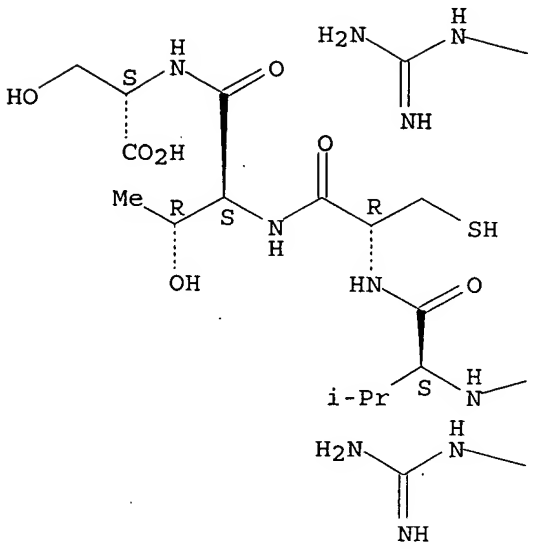
IT **393876-00-1**, ω-Conotoxin Lp6.2
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(amino acid sequence; protein and cDNA sequences of novel ω-conopeptides from crude Conus venom exts. and their therapeutic uses)

RN 393876-00-1 HCAPLUS

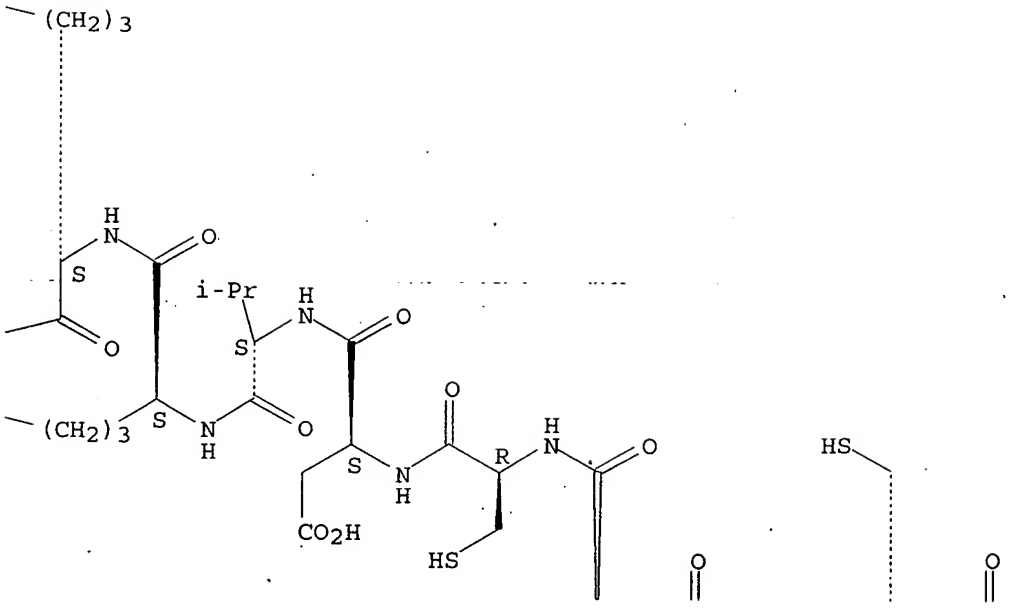
CN L-Serine, L-tryptophyl-L-prolyl-L-leucyl-L-α-aspartyl-L-cysteinyl-L-threonyl-L-alanyl-L-prolyl-L-seryl-L-glutaminyl-L-prolyl-L-cysteinylglycyl-L-tyrosyl-L-phenylalanyl-L-prolyl-L-arginyl-L-cysteinyl-L-cysteinylglycyl-L-histidyl-L-cysteinyl-L-α-aspartyl-L-valyl-L-arginyl-L-arginyl-L-valyl-L-cysteinyl-L-threonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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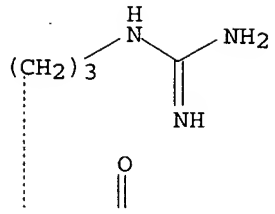

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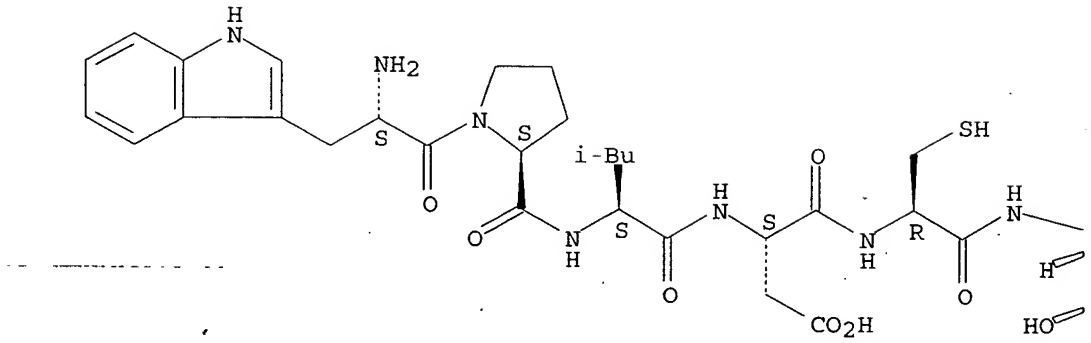

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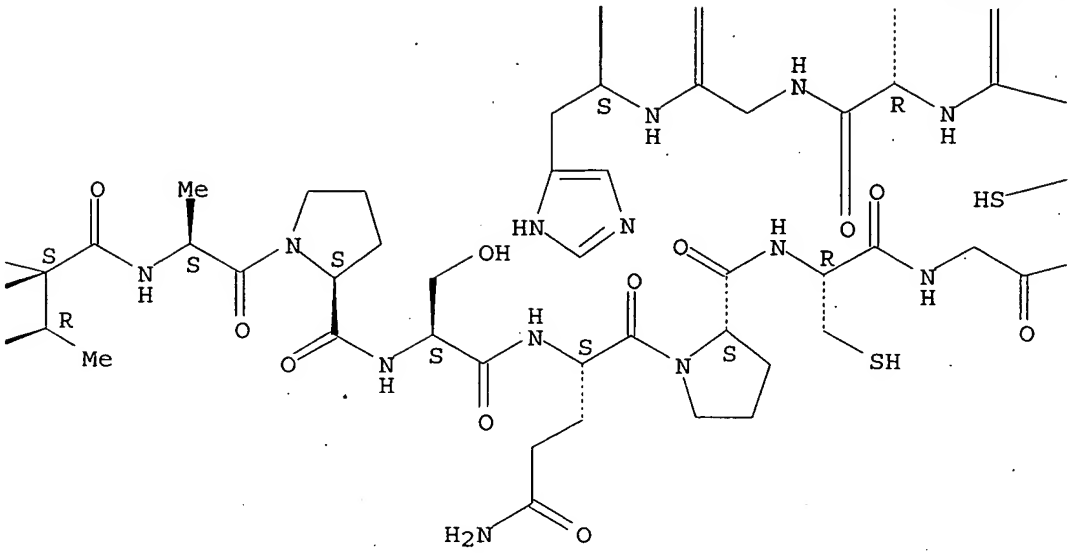

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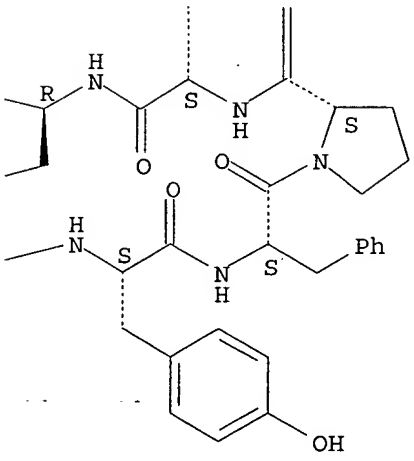


L21 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:868218 HCAPLUS
DOCUMENT NUMBER: 136:694
TITLE: Thromboxane inhibitors, compositions, and methods for therapeutic use
INVENTOR(S): Saenz de Tejada, Inigo
PATENT ASSIGNEE(S): Nitromed, Inc., USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001089519	A1	20011129	WO 2001-US16318		20010522
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
AU 2001064729	A5	20011203	AU 2001-64729		20010522
US 2003050305	A1	20030313	US 2002-285620		20021101
PRIORITY APPLN. INFO.:			US 2000-205536P	P	20000522
			WO 2001-US16318	W	20010522

OTHER SOURCE(S): MARPAT 136:694

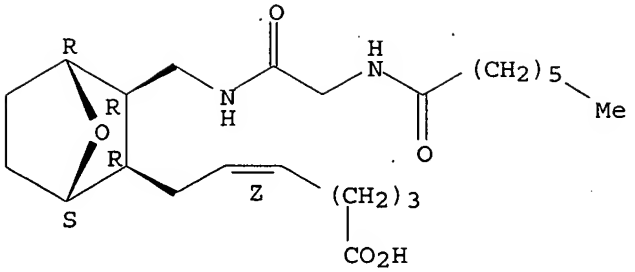
AB The invention describes methods for treating or preventing sexual dysfunctions in males and females, and for enhancing sexual responses in males and females, by administering a therapeutically effective amount of at least one thromboxane inhibitor, and, optionally, at least one compound that donates, transfers, or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide or is a substrate for nitric oxide synthase, and/or at least one vasoactive agent. The male or female may preferably be diabetic. The invention also provides compns. comprising at least one thromboxane inhibitor, and, at least one compound that donates, transfers or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide or is a substrate for nitric oxide synthase, and, optionally, at least one therapeutic agent, such as, vasoactive agents, nonsteroidal antiinflammatory compound (NSAIDs), selective cyclooxygenase-2 (COX-2) inhibitors, anticoagulants, angiotensin converting enzymes (ACE) inhibitors, angiotensin II receptor antagonists, renin inhibitors, and mixts. thereof. The invention further provides methods for treating or preventing ischemic heart disorders, myocardial infarction, angina pectoris, stroke, **migraine**, cerebral hemorrhage, cardiac fatalities, transient ischemic attacks, complications following organ transplants, coronary artery bypasses, angioplasty, endarterectomy, atherosclerosis, pulmonary embolism, bronchial asthma, bronchitis, pneumonia, circulatory shock of various organs, nephritis, graft rejection, cancerous metastases, pregnancy-induced hypertension, preeclampsia, eclampsia, thrombotic and thromboembolic disorders, intrauterine growth, gastrointestinal disorders, renal diseases and disorders, disorders resulting from elevated uric acid levels, and dysmenorrhea, and for inhibiting platelet aggregation or platelet adhesion or relaxing smooth muscles. The compds. and/or compns. of the present invention can also be provided in the form of a pharmaceutical kit.

IT **107332-47-8**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(thromboxane inhibitors, compns., and methods for therapeutic use)

RN 107332-47-8 HCAPLUS

CN 5-Heptenoic acid, 7-[(1S,2R,3R,4R)-3-[[[[(1-oxoheptyl)amino]acetyl]amino]methyl]-7-oxabicyclo[2.2.1]hept-2-yl]-, (5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:611748 HCAPLUS
DOCUMENT NUMBER: 135:190428
TITLE: Use of conantokins for treating pain
INVENTOR(S): Olivera, Baldomero M.; McIntosh, J. Michael; McCabe, R. Tyler; Layer, Richard T.; Zhou, Li-Ming
PATENT ASSIGNEE(S): University of Utah Research Foundation, USA; Cognetix, Inc.
SOURCE: U.S., 60 pp., Cont.-in-part of U.S. Ser. No. 283,277.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6277825	B1	20010821	US 1999-357141	19990720
WO 9803189	A1	19980129	WO 1997-US12652	19970721

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 1996-684750	A2 19960722
US 1996-762377	A2 19961206
WO 1997-US12652	W 19970721
US 1999-142076	A1 19990210
US 1999-283277	A2 19990401

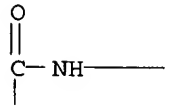
OTHER SOURCE(S): MARPAT 135:190428

AB The present invention is directed to the use of conantokin peptides, conantokin peptide derivs. and conantokin peptide chimeras, referred to collectively as conantokins, having 10-30 amino acids, including preferably two or more γ-carboxyglutamic acid residues, for the treatment of neurol. and psychiatric disorders, such as pain, e.g., as an analgesic agent.

IT **178436-44-7P 202925-69-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(use of conantokins for treating pain)

RN 178436-44-7 HCAPLUS
CN Conotoxin G V, 3-L-tyrosine- (9CI) (CA INDEX NAME)

PAGE 1-A



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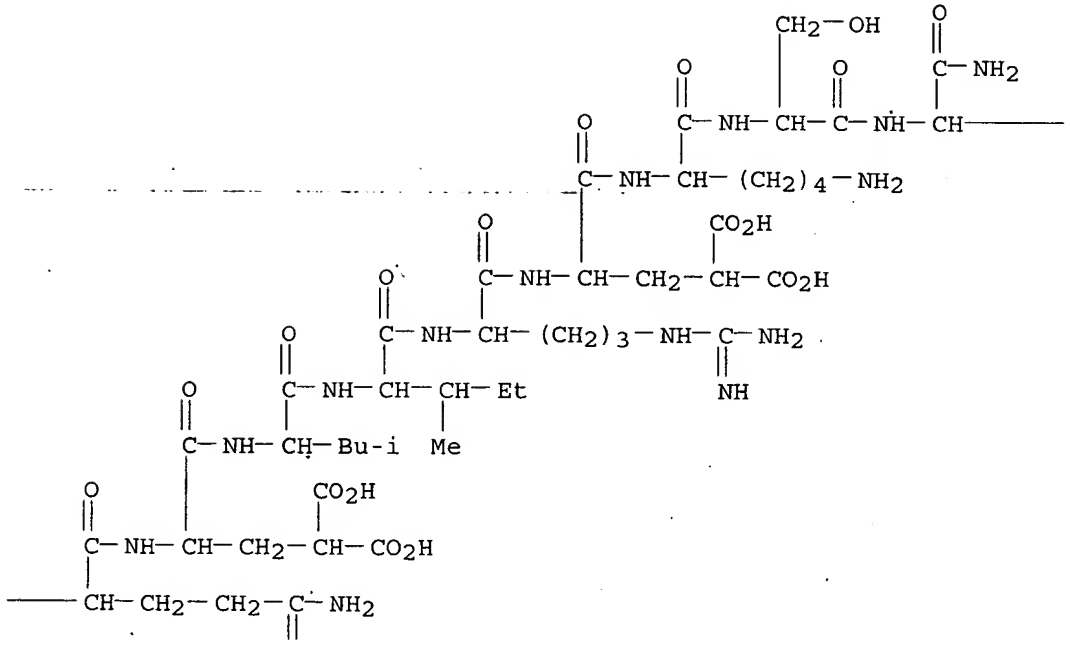

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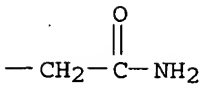

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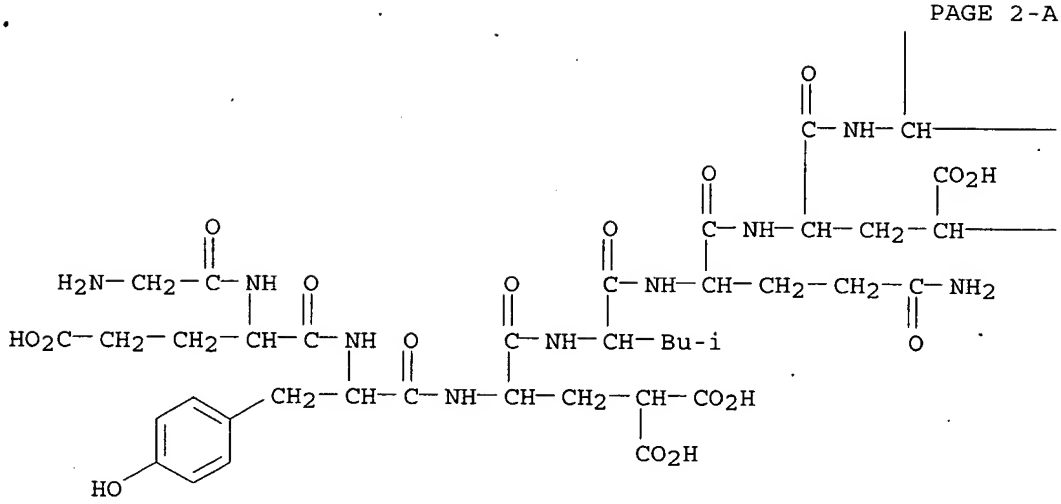

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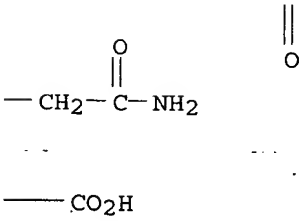
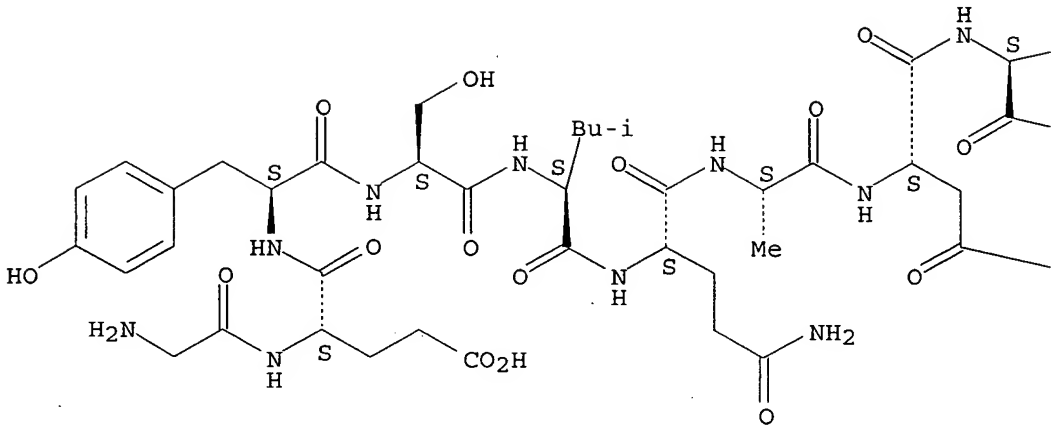


RN 202925-69-7 HCAPLUS
CN L-Aspartamide, glycyl-L-α-glutamyl-L-tyrosyl-L-seryl-L-leucyl-L-glutaminyl-L-alanyl-L-asparaginyl-L-glutaminyl-L-alanyl-L-leucyl-L-isoleucyl-L-arginyl-L-alanyl-L-lysyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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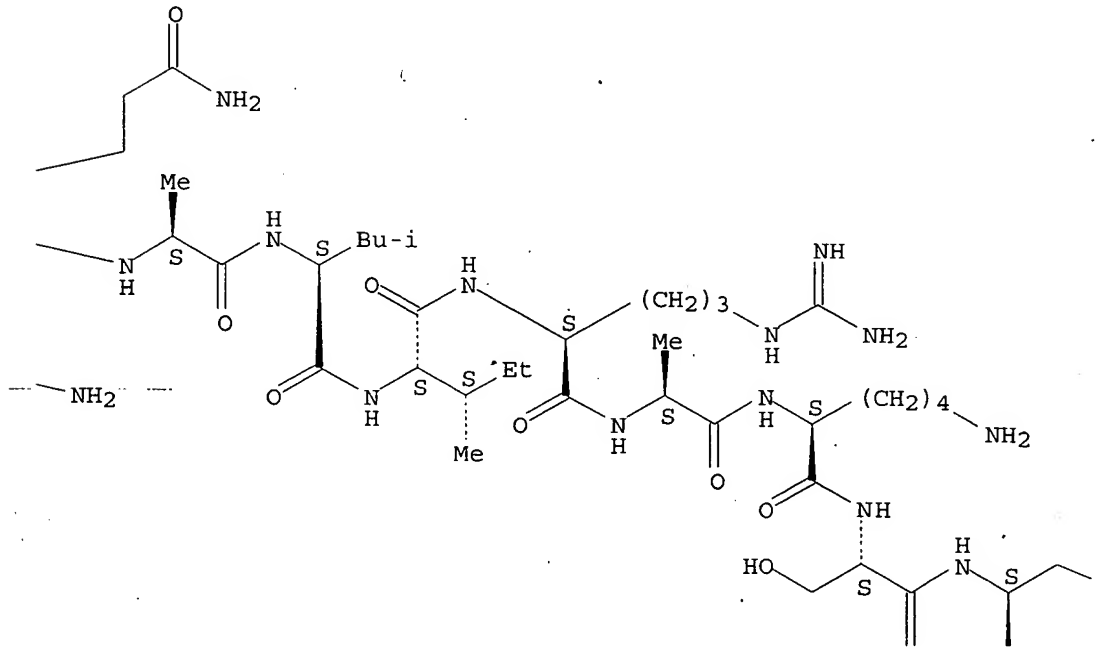

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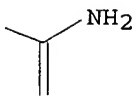
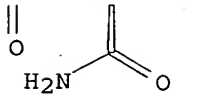


PAGE 2-B



PAGE 2-C

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:911107 HCAPLUS
DOCUMENT NUMBER: 134:66143
TITLE: Peptide and peptidomimetic anti-allergic agents
INVENTOR(S): Eisenberg, Ronit; Raz, Tamar
PATENT ASSIGNEE(S): Allergene Ltd., Israel
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000078346	A1	20001228	WO 2000-IL346	20000614
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2377524	AA	20001228	CA 2000-2377524	20000614
EP 1191943	A1	20020403	EP 2000-937154	20000614
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003502385	T2	20030121	JP 2001-504408	20000614
AU 764581	B2	20030821	AU 2000-52438	20000614
AU 2000052438	A5	20010109		
NZ 516605	A	20040326	NZ 2000-516605	20000614
ZA 2001009848	A	20021129	ZA 2001-9848	20011129
PRIORITY APPLN. INFO.:			IL 1999-130526 A	19990617
			WO 2000-IL346 W	20000614

AB The invention discloses complex mols. useful as anti-allergic agents. These complex mols. include, in particular, peptidic or peptidomimetic mols. having a first segment which is competent for cell penetration and a second segment which is able to reduce or abolish mast cell degranulation, and in particular to reduce or abolish allergy mediators such as histamine secretion from mast cells. Specific examples of peptides with the desired activity are disclosed.

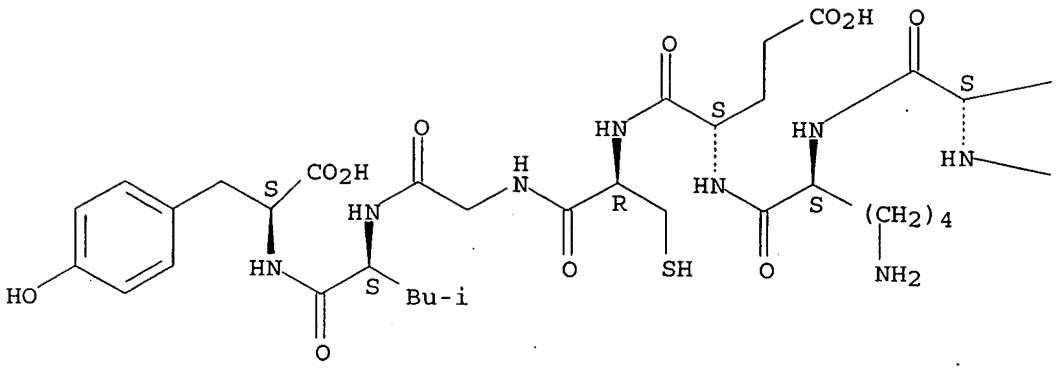
IT **313946-98-4 313947-00-1 313947-01-2**
313947-03-4 313947-04-5 313947-05-6
313947-06-7 313947-07-8 313947-08-9
313947-09-0 313947-10-3 313947-11-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(peptide and peptidomimetic anti-allergic agents)

RN 313946-98-4 HCAPLUS

CN L-Tyrosine, L-valyl-L-threonyl-L-valyl-L-leucyl-L-alanyl-L-leucylglycyl-L-alanyl-L-leucyl-L-alanylglycyl-L-valylglycyl-L-valylglycyl-L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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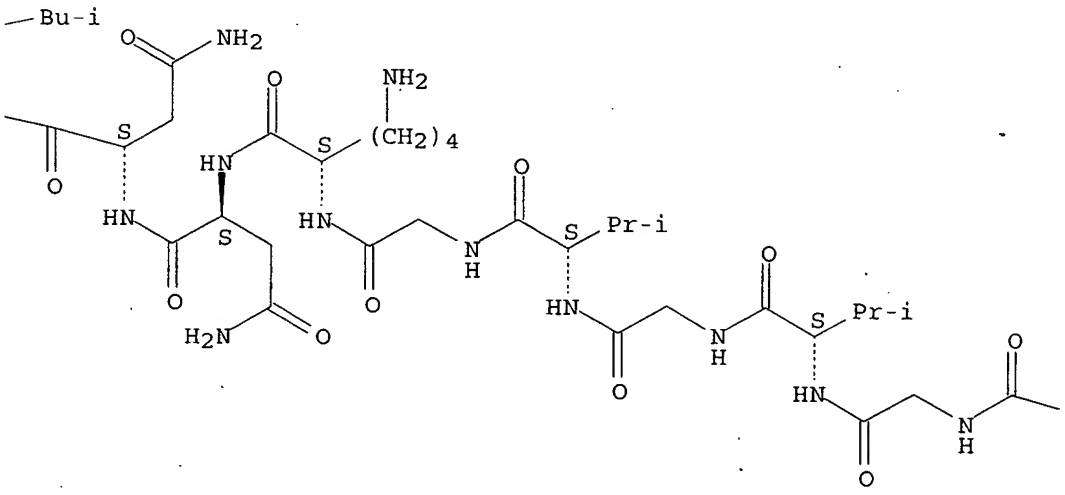

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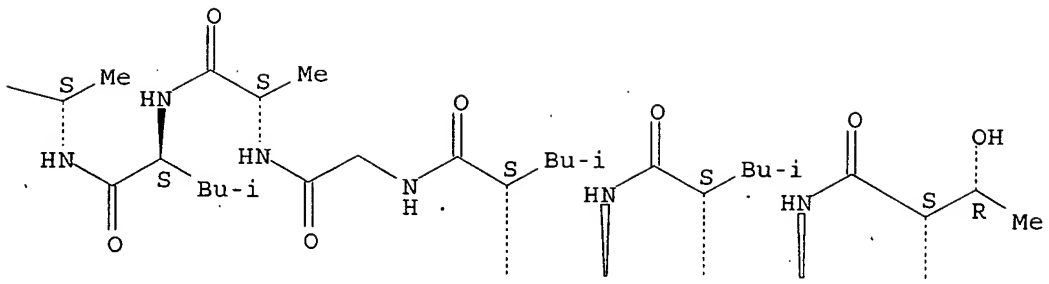

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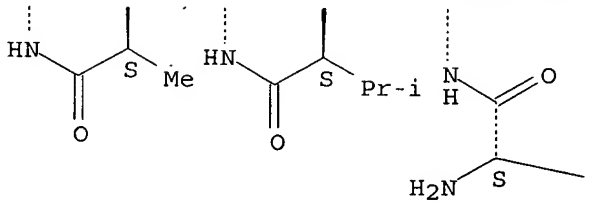


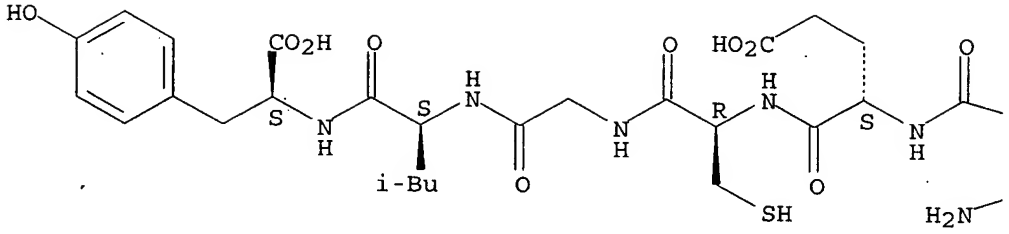
PAGE 2-D

—Pr-i

RN 313947-00-1 HCAPLUS
CN L-Tyrosine, L-arginyl-L-glutaminyl-L-prolyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-prolyl-L-asparaginyl-L-arginyl-L-arginyl-L-lysyl-L-prolyl-L-tryptophyl-L-lysyl-L-lysyl-L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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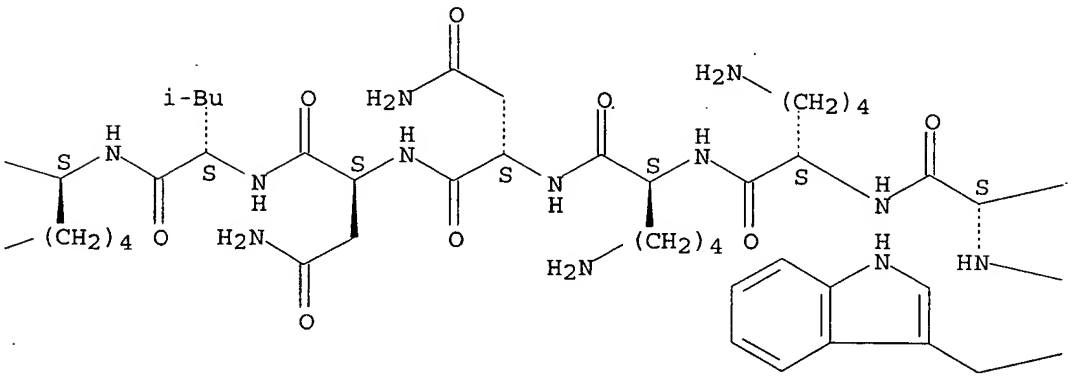

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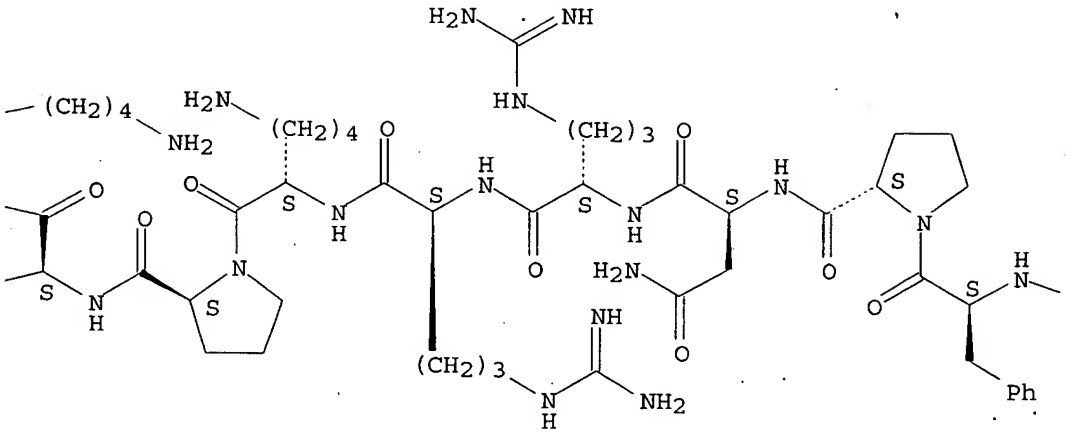

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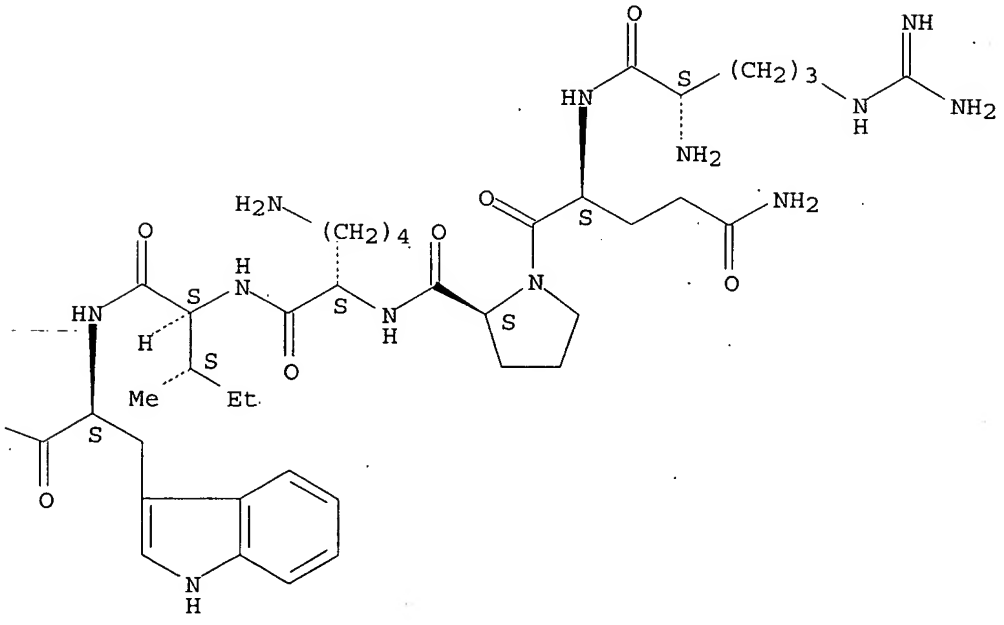

RN 313947-01-2 HCAPLUS
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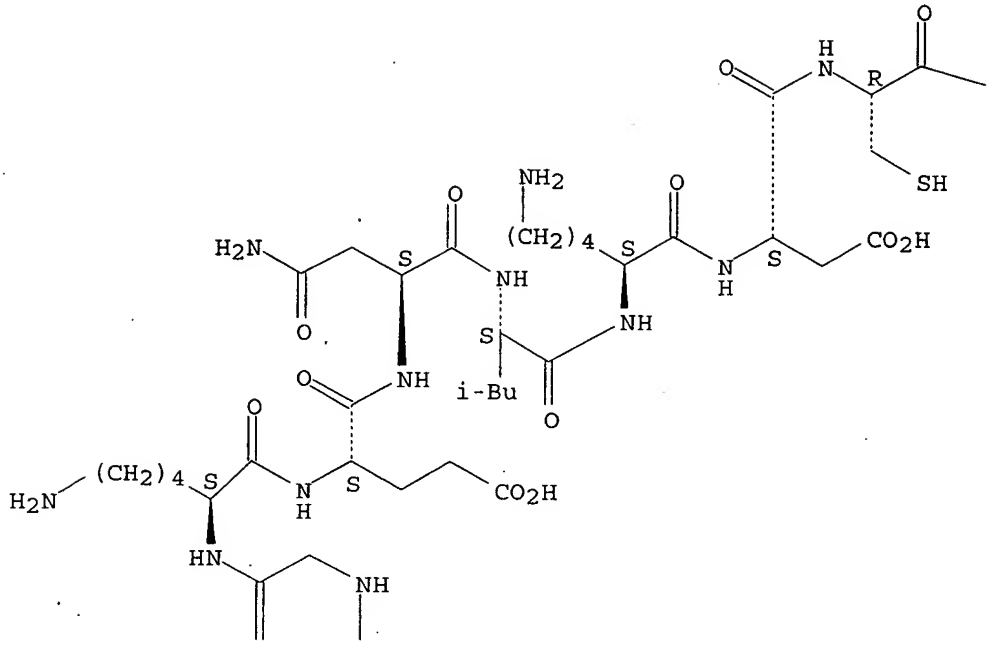
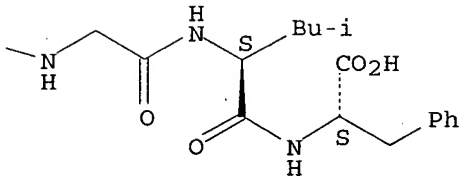
Absolute stereochemistry.

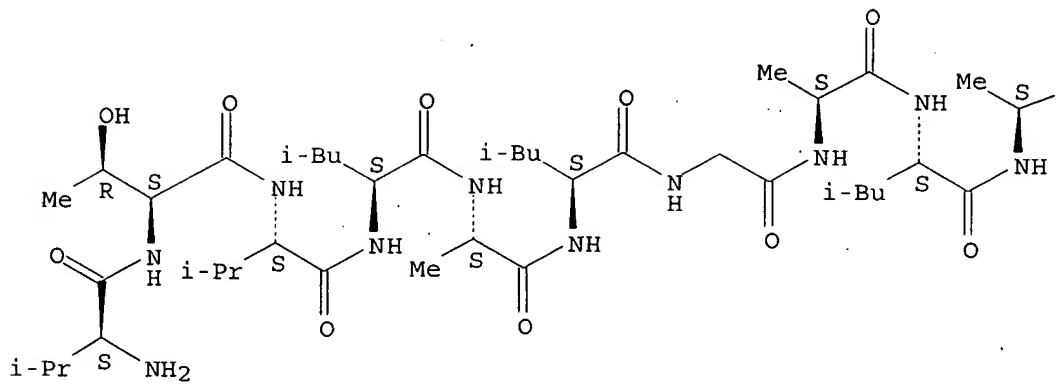
PAGE 1-B



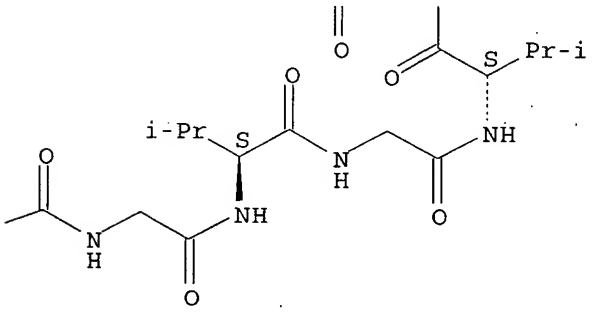
PAGE 1-C



PAGE 2-A



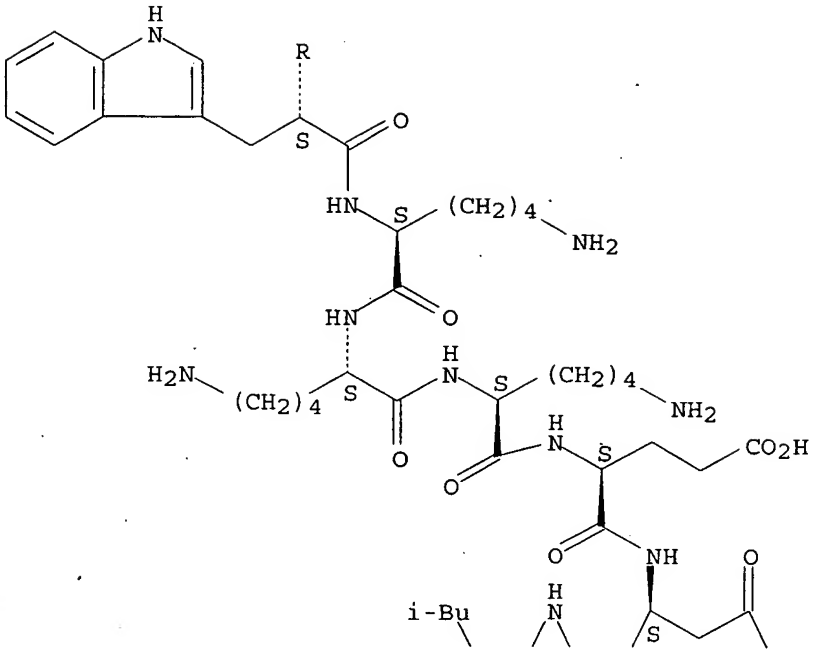
PAGE 2-B



RN 313947-03-4 HCAPLUS
CN L-Phenylalanine, L-arginyl-L-glutaminyl-L-prolyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-prolyl-L-asparaginyl-L-arginyl-L-arginyl-L-lysyl-L-prolyl-L-tryptophyl-L-lysyl-L-lysyl-L-lysyl-L-α-glutamyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-aspartyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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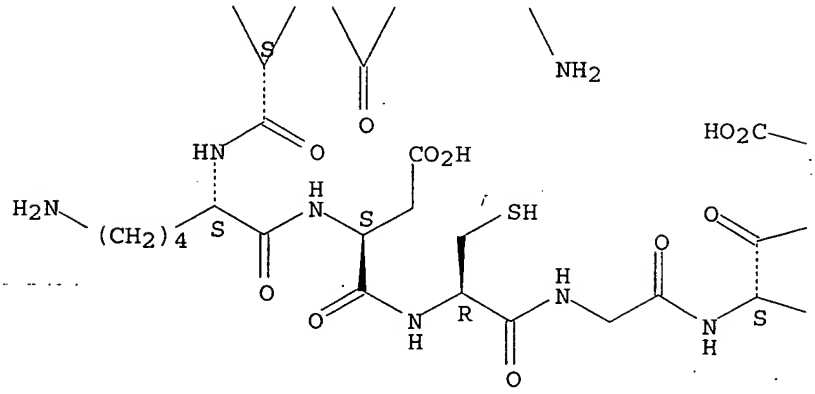

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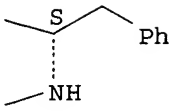
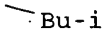
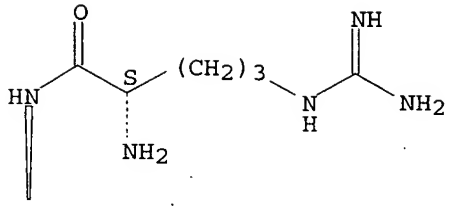
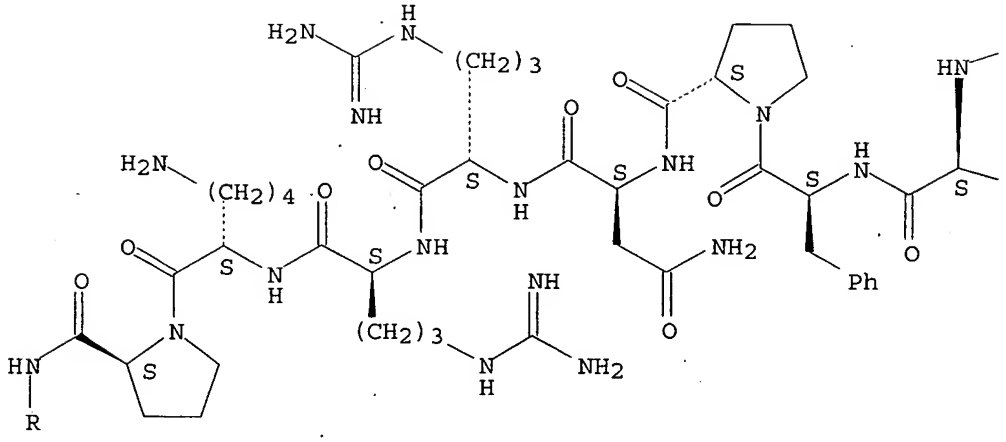






PAGE 3-A



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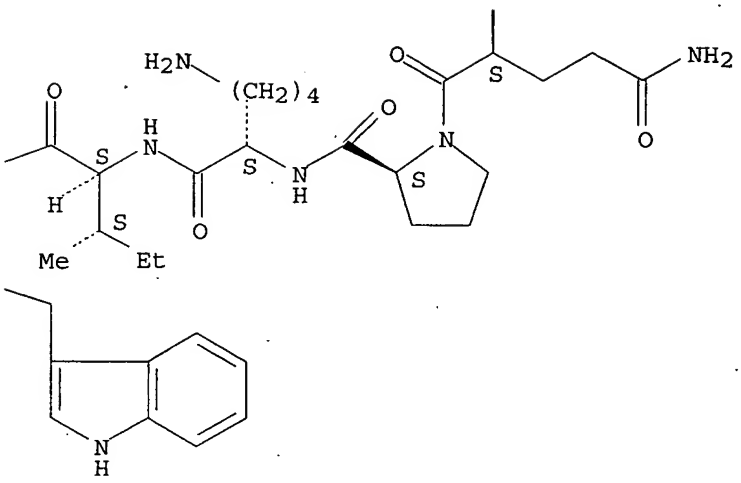

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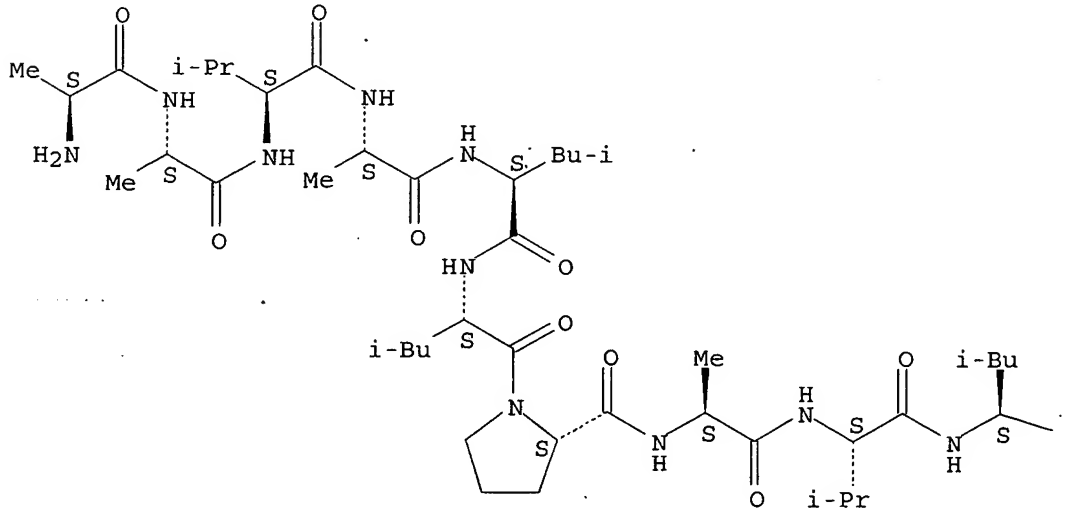
Absolute stereochemistry.

PAGE 1-A



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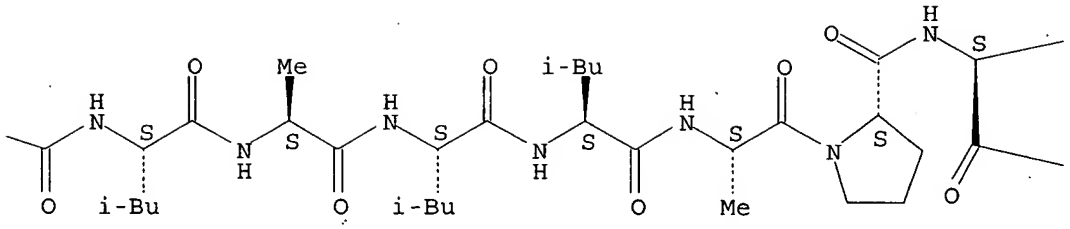

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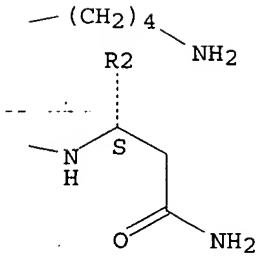

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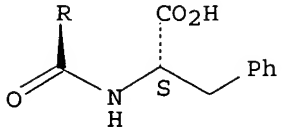

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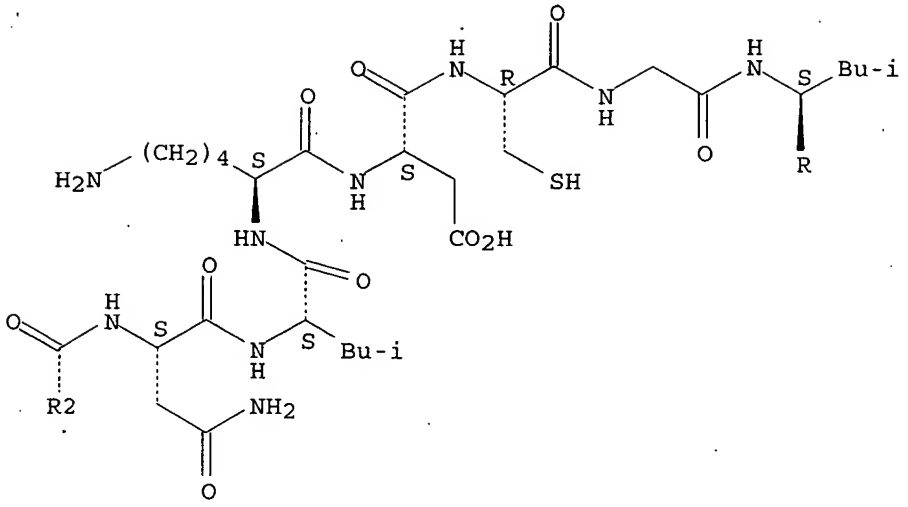

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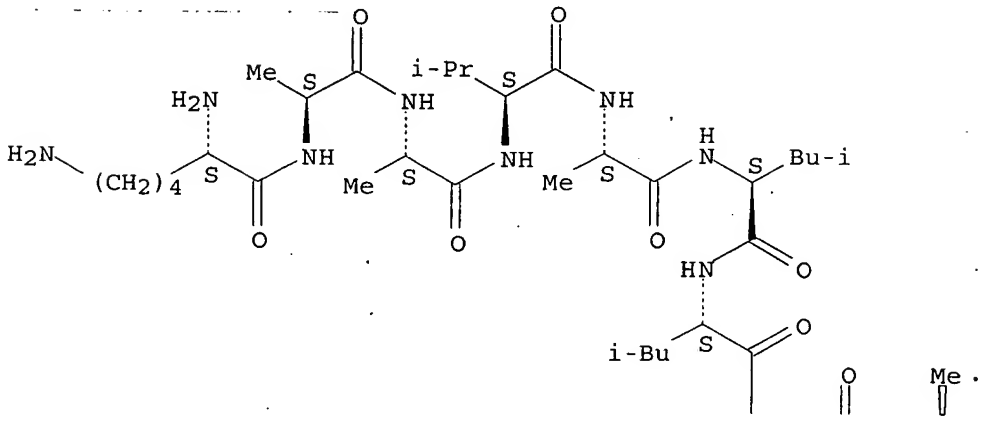
CN L-Phenylalanine, L-lysyl-L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-aspartylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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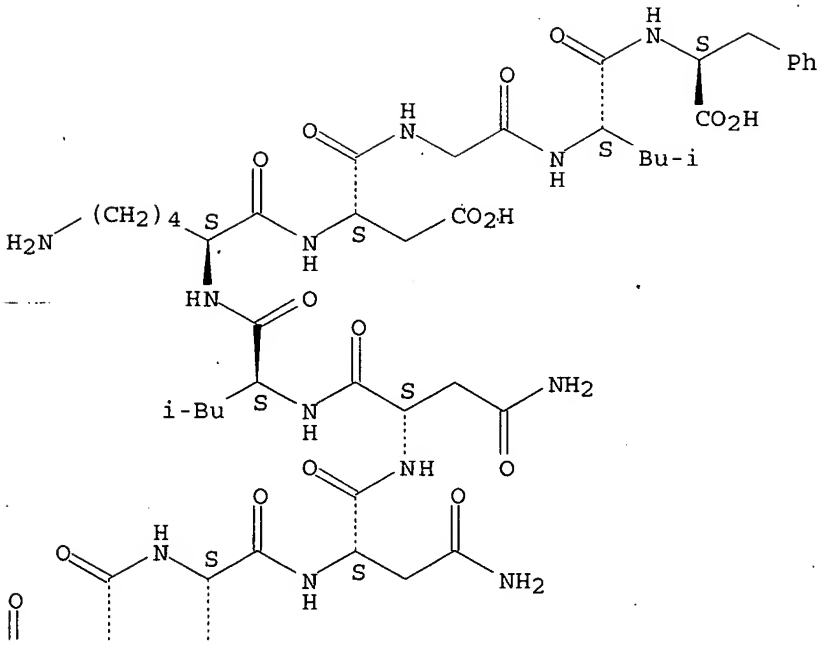
PAGE 1-C



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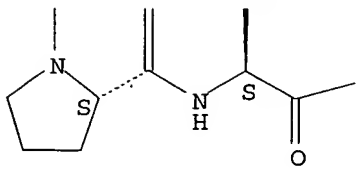

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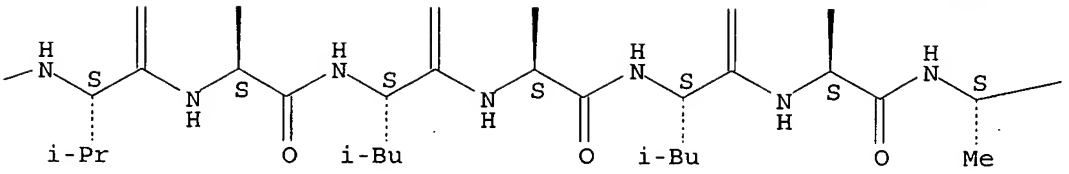

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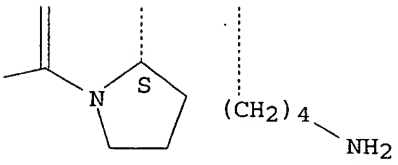

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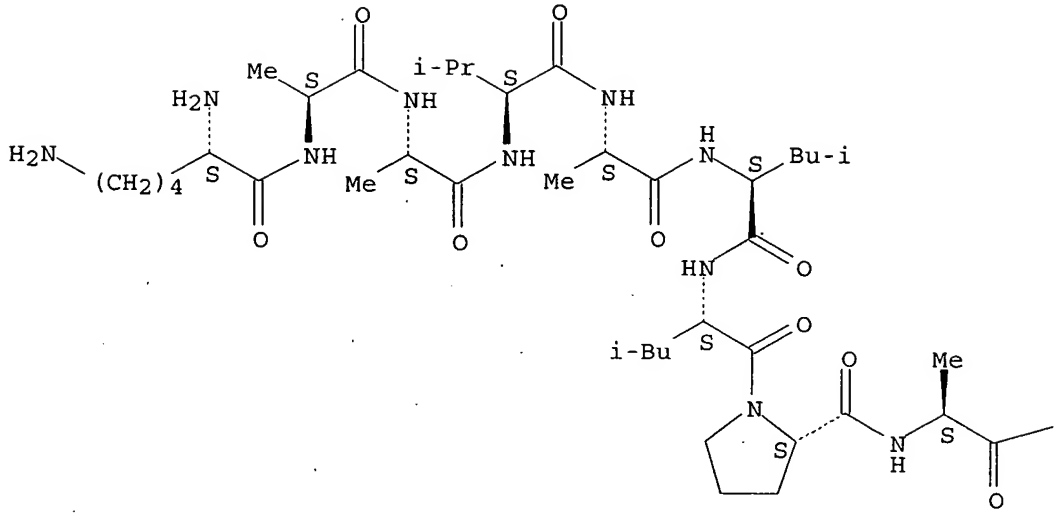
Absolute stereochemistry.

PAGE 1-A



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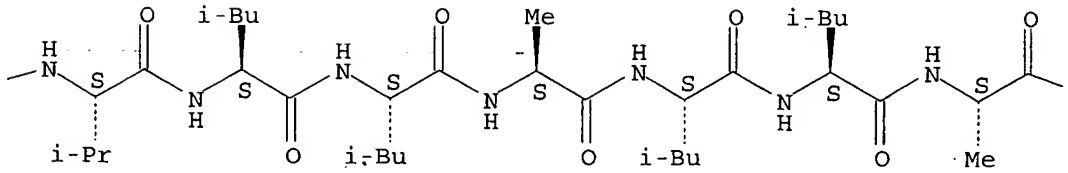

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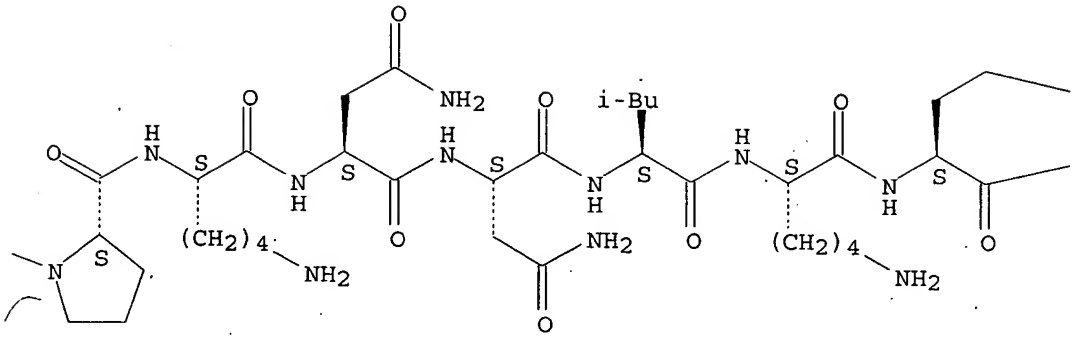

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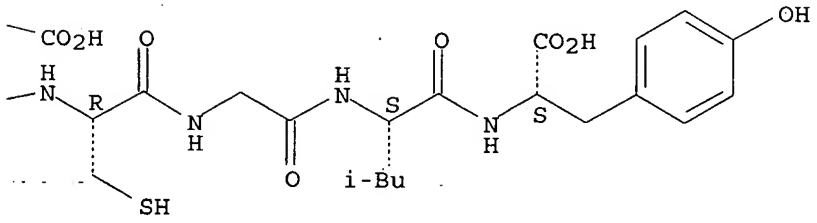

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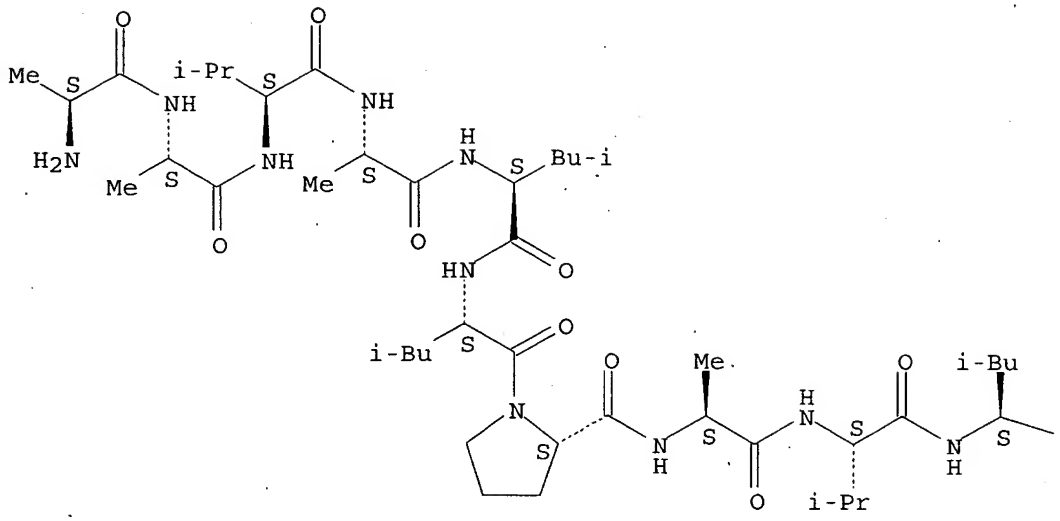
Absolute stereochemistry.

PAGE 1-A



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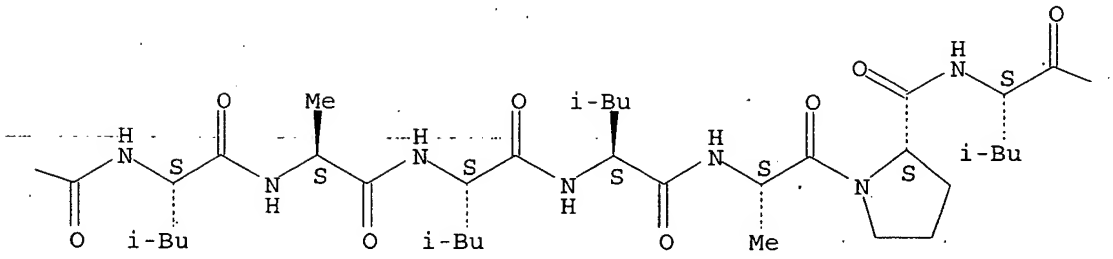

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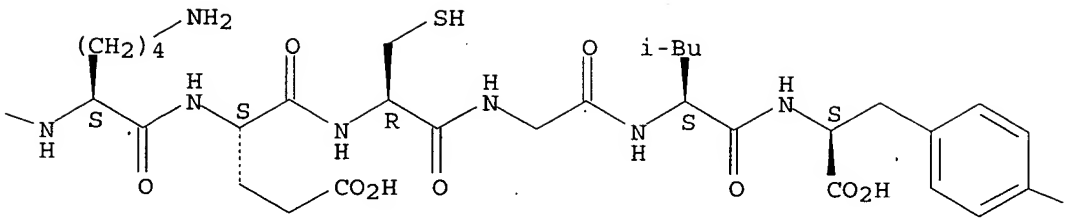

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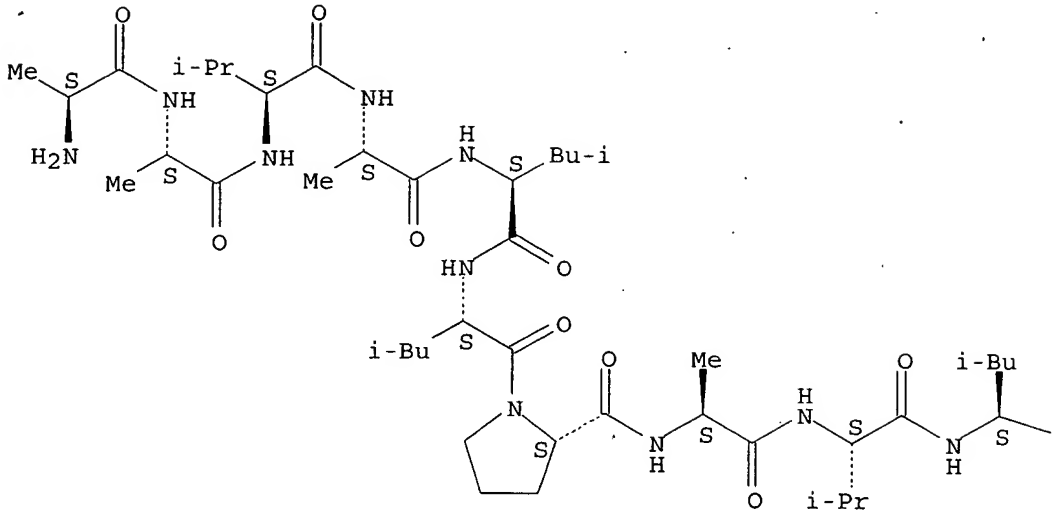
RN 313947-08-9 HCAPLUS
CN L-Phenylalanine, L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-leucyl-L-lysyl-L-α-aspartyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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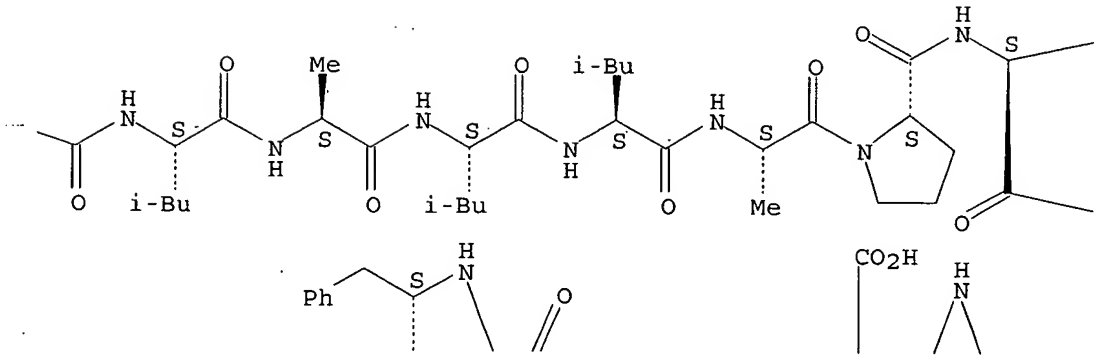

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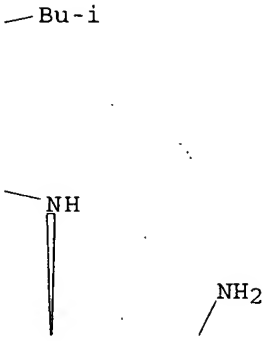

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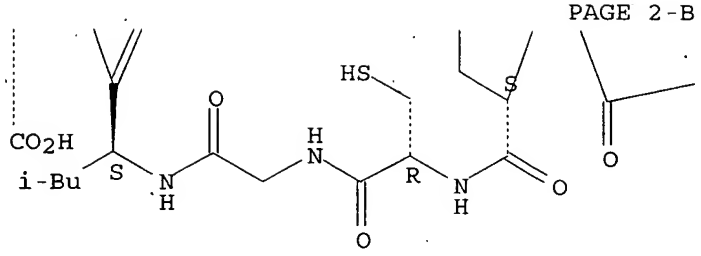

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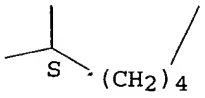

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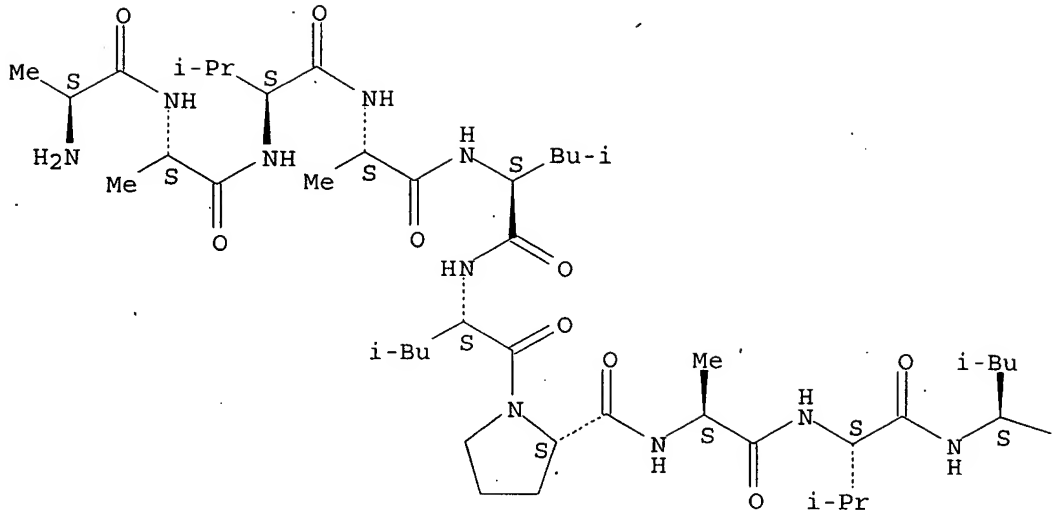
Absolute stereochemistry.

PAGE 1-A



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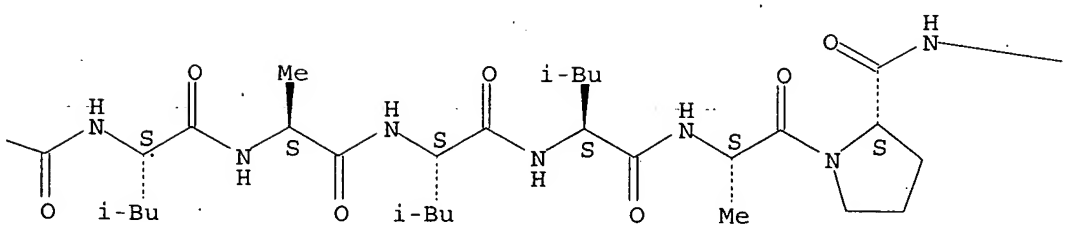

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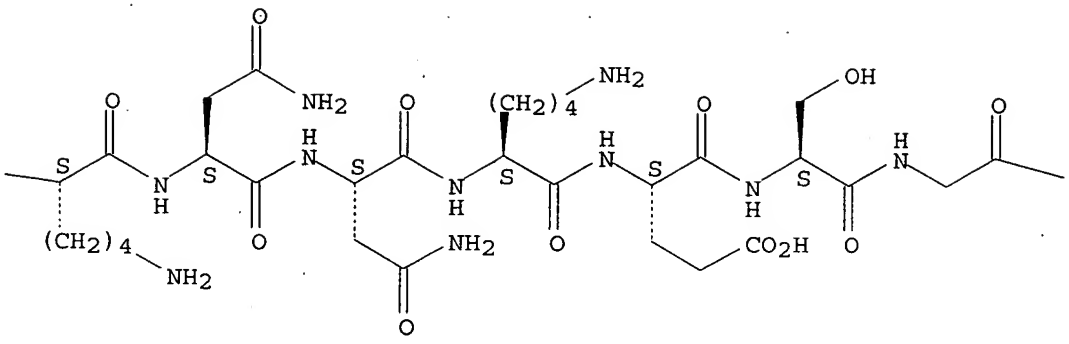

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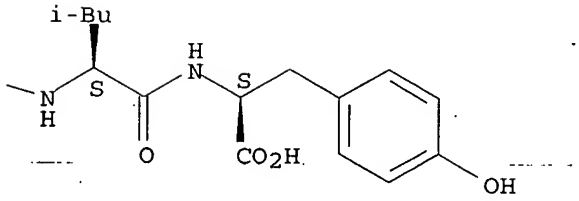

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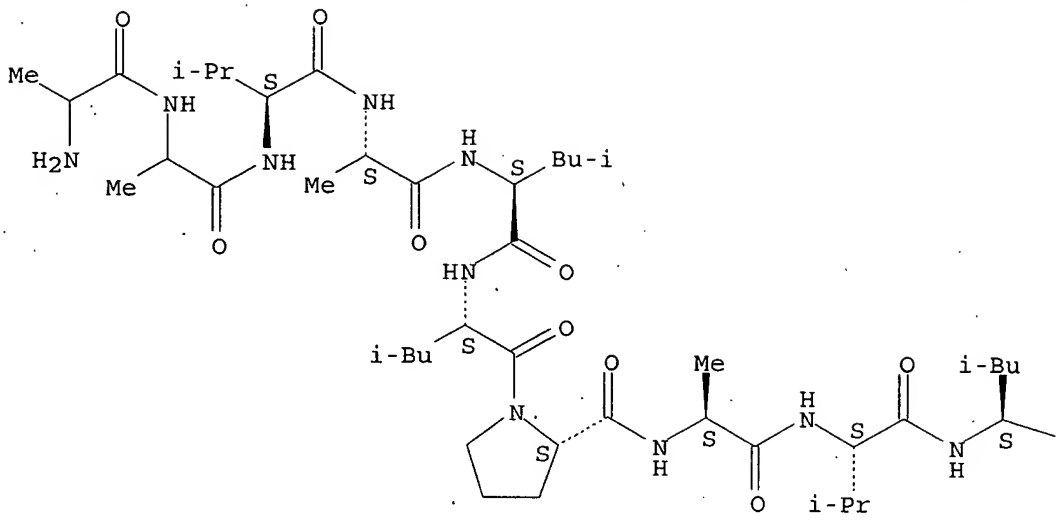
Absolute stereochemistry.

PAGE 1-A



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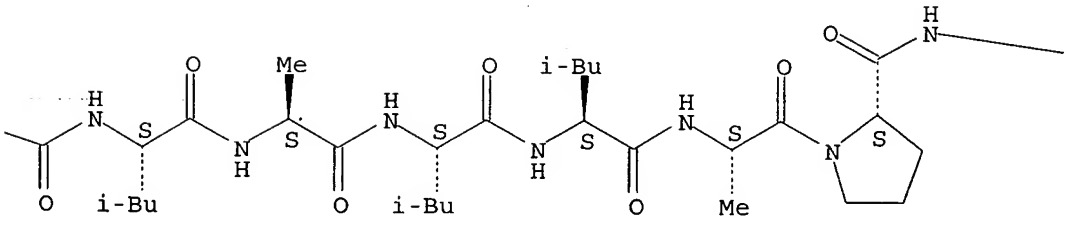

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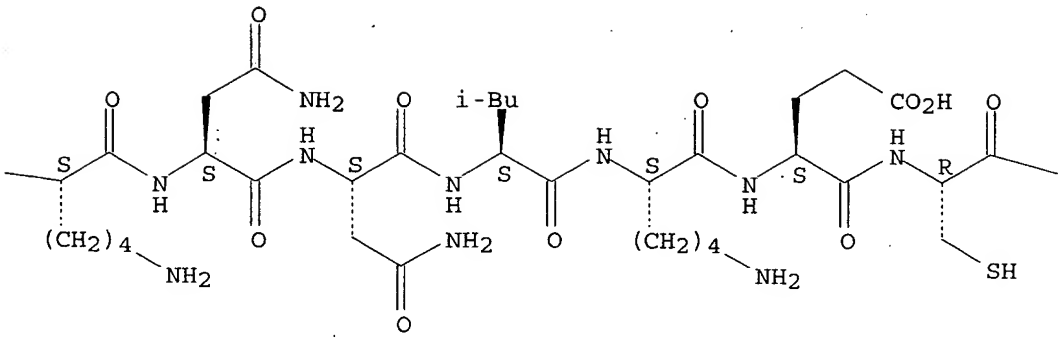

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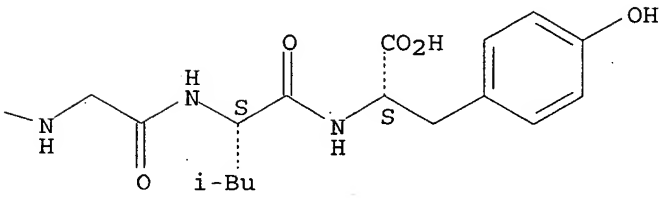

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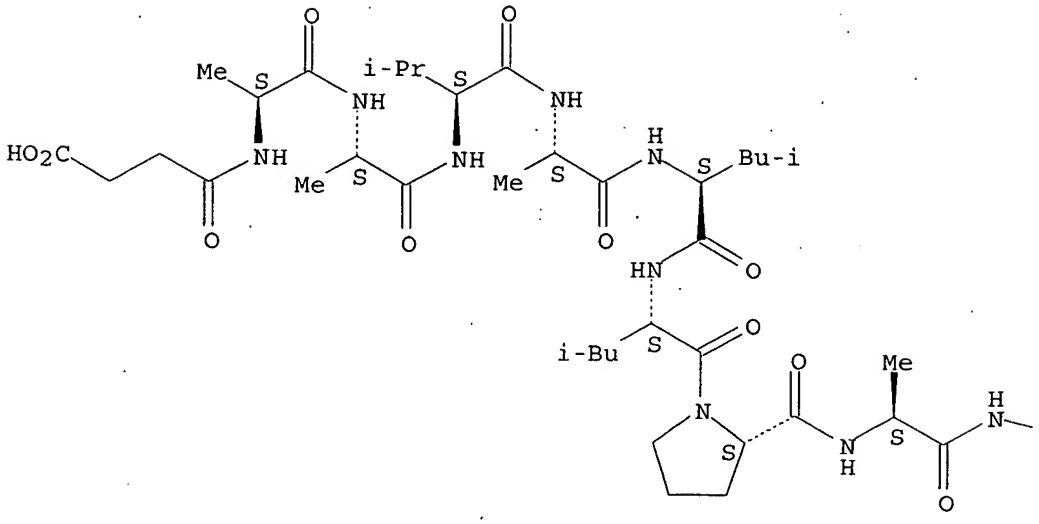
Absolute stereochemistry.

PAGE 1-A



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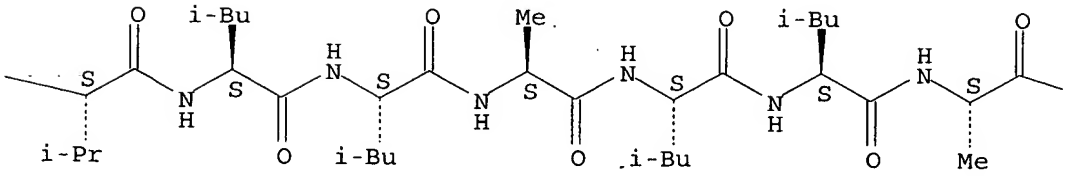

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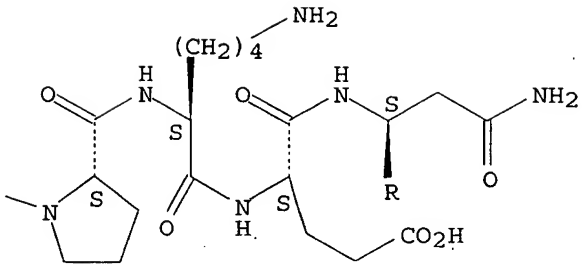

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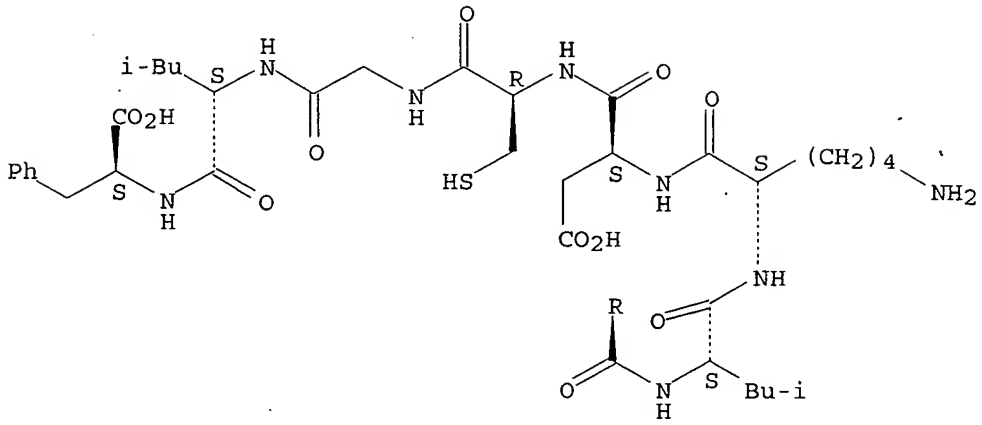


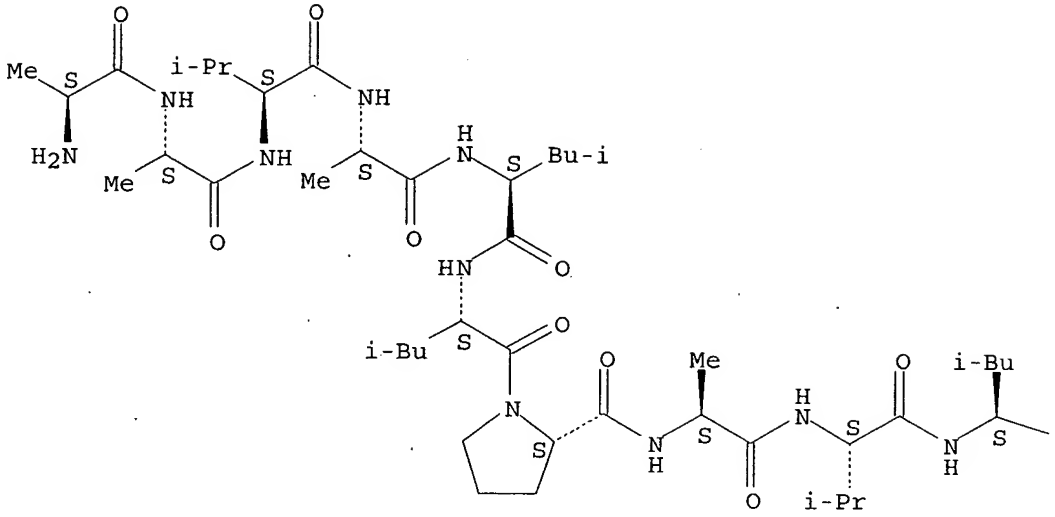
IT **313946-99-5 313946-99-5D**, cyclic derivs.
313947-02-3 313947-02-3D, cyclic derivs.
313947-13-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptide and peptidomimetic anti-allergic agents)

RN 313946-99-5 HCAPLUS

CN L-Tyrosine, L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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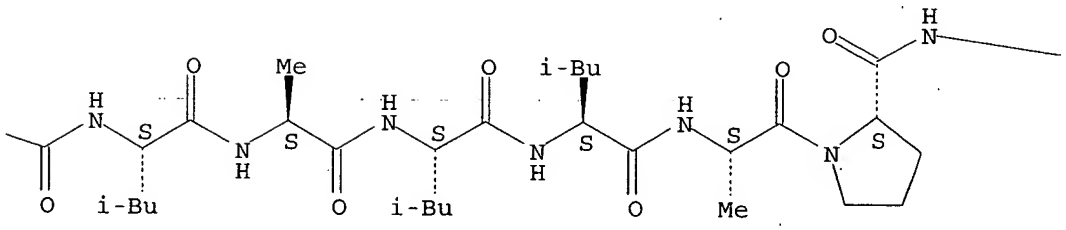
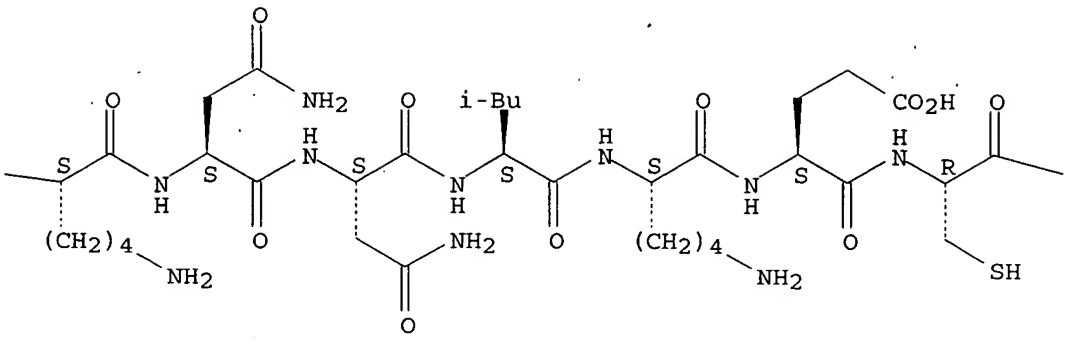


PAGE 1-C



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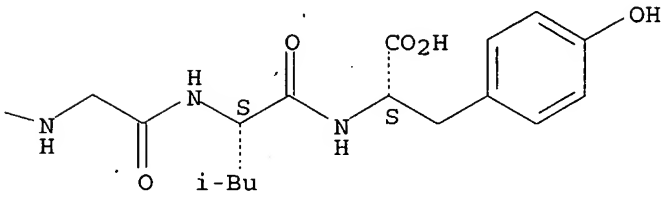
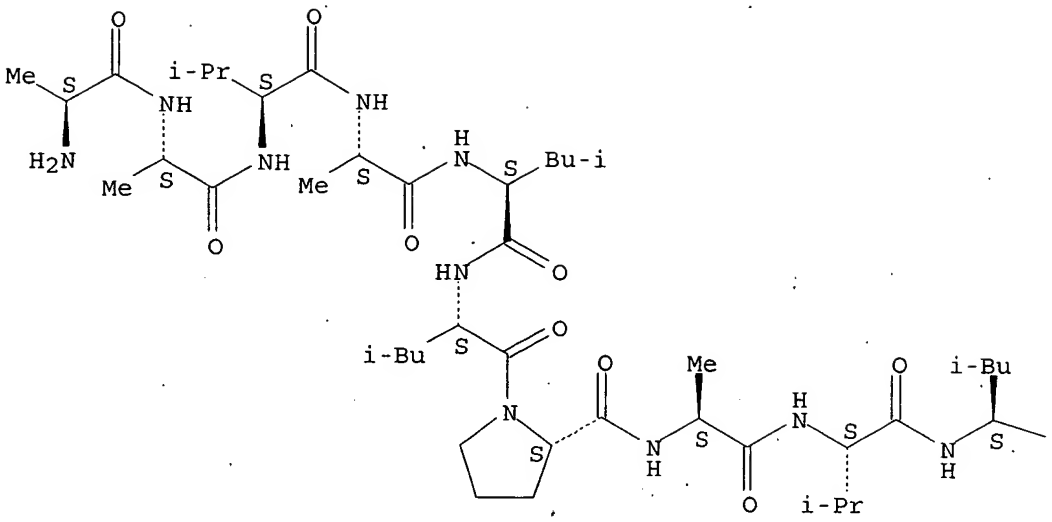


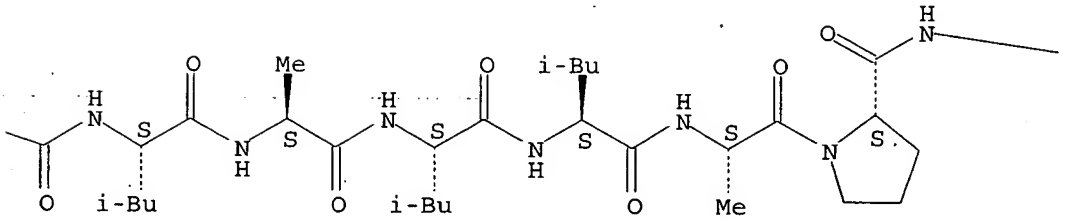
RN 313946-99-5 HCAPLUS
CN L-Tyrosine, L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

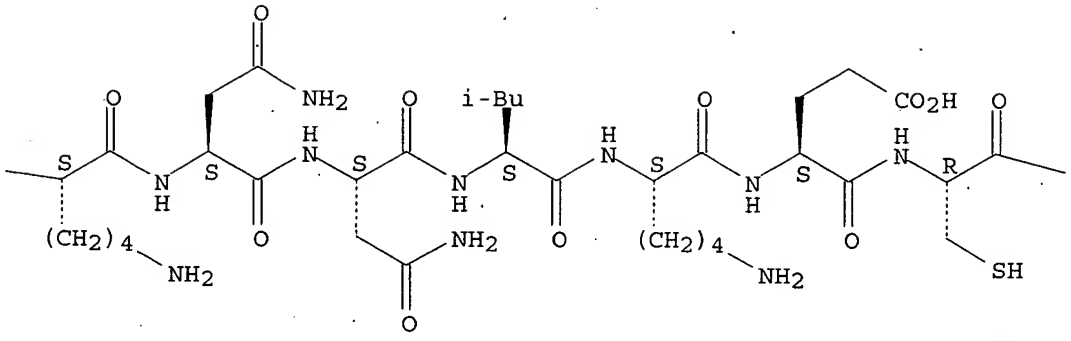
PAGE 1-A



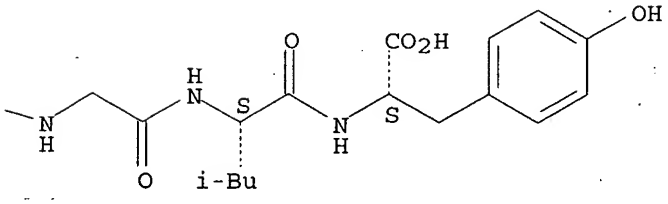
PAGE 1-B



PAGE 1-C



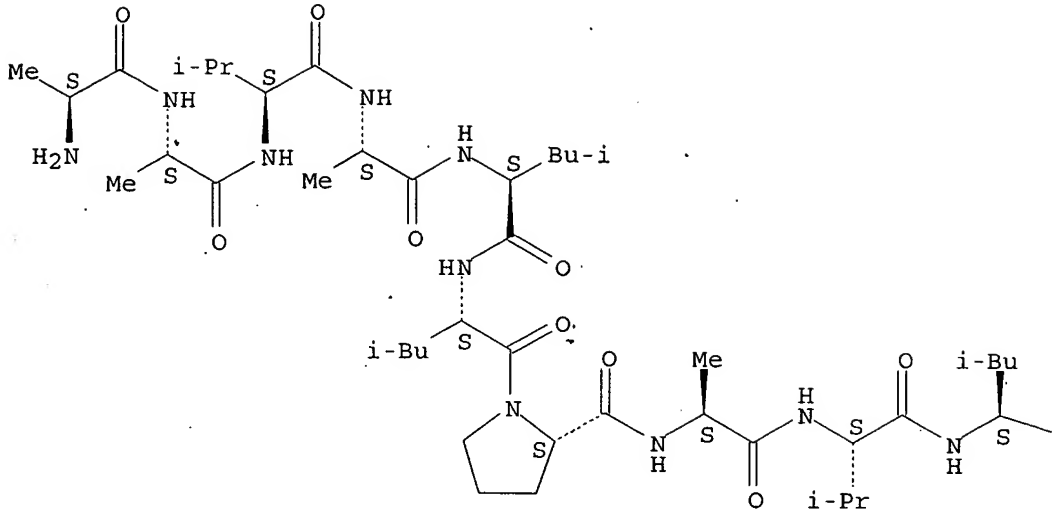
PAGE 1-D



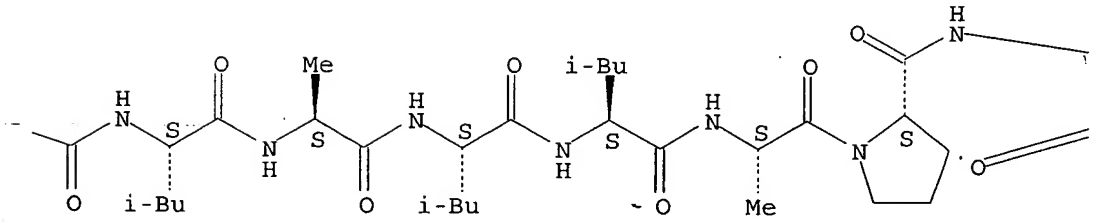
RN 313947-02-3 HCAPLUS
CN L-Phenylalanine, L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-α-glutamyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-aspartyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

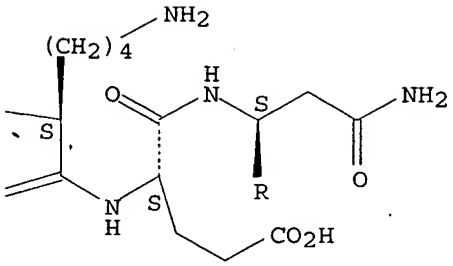
PAGE 1-A



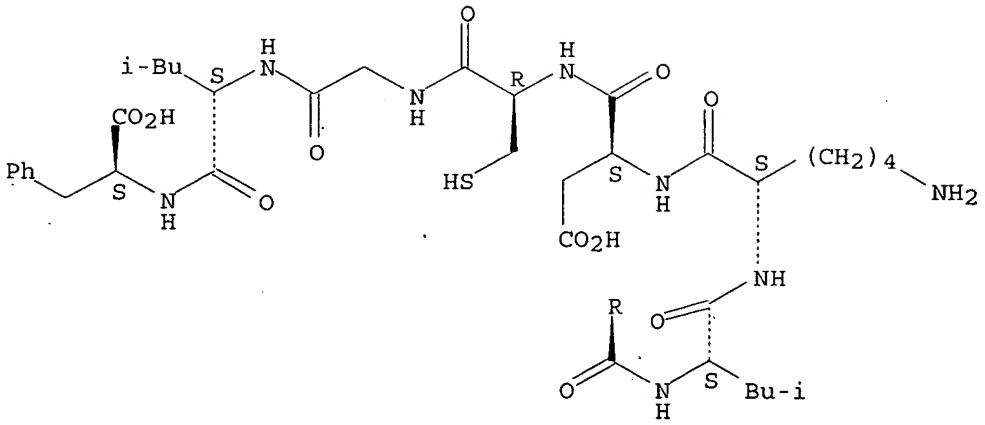
PAGE 1-B



PAGE 1-C



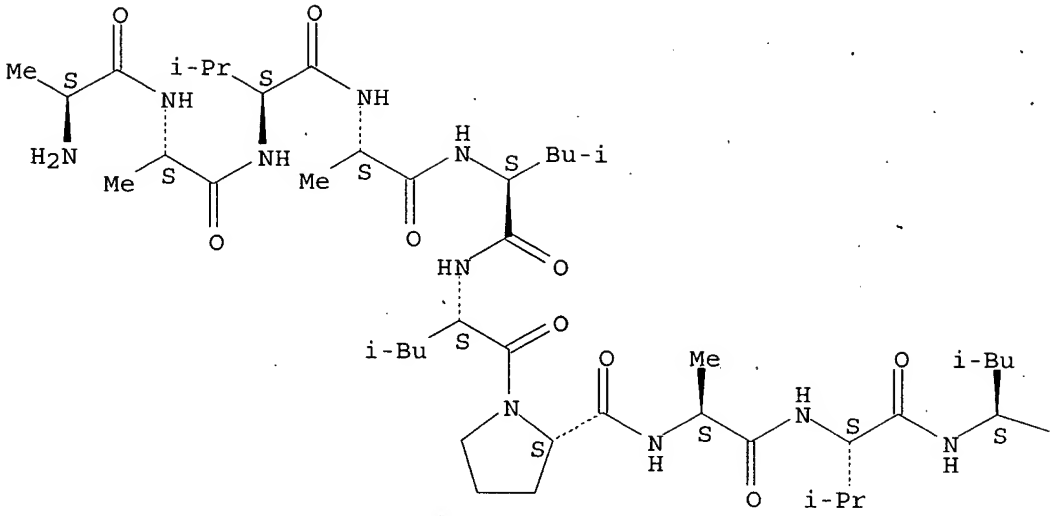
PAGE 2-A



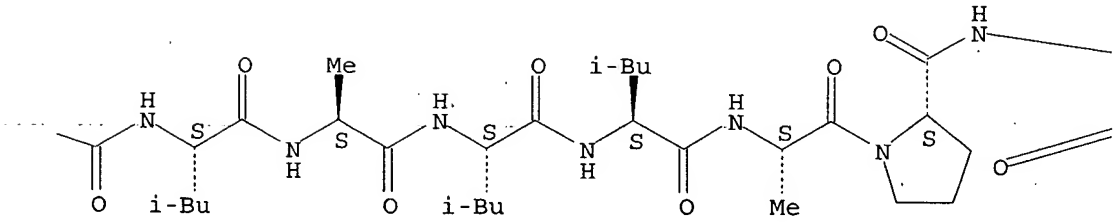
RN 313947-02-3 HCAPLUS
CN L-Phenylalanine, L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-α-glutamyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-aspartyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

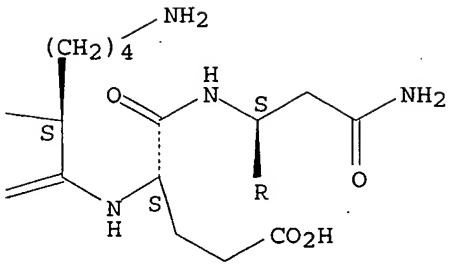
PAGE 1-A



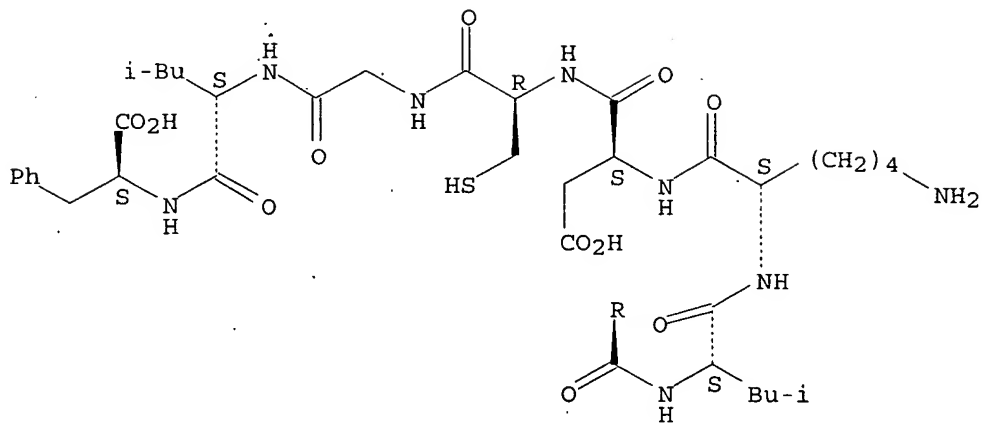
PAGE 1-B



PAGE 1-C



PAGE 2-A

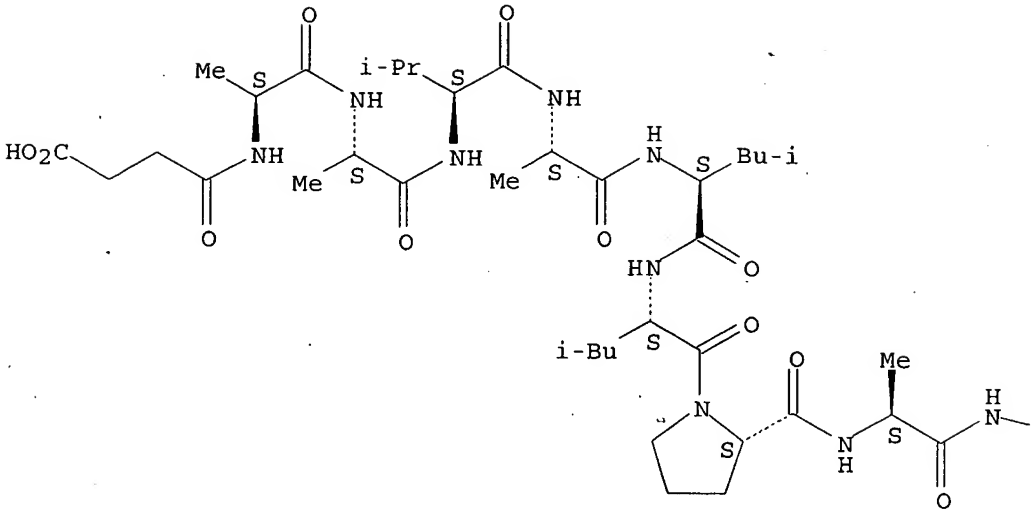


RN 313947-13-6 HCAPLUS

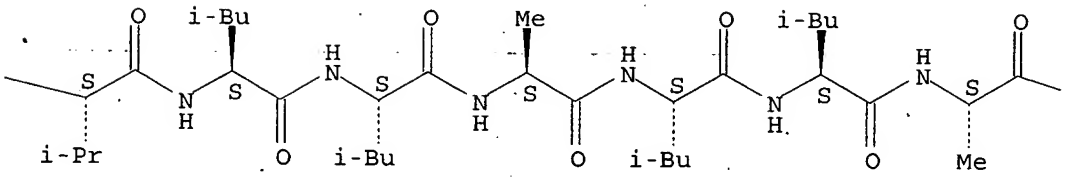
CN L-Tyrosine, N-(3-carboxy-1-oxopropyl)-L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl-L-leucyl-L-prolyl-L-alanyl-L-valyl-L-leucyl-L-leucyl-L-alanyl-L-leucyl-L-leucyl-L-alanyl-L-prolyl-L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

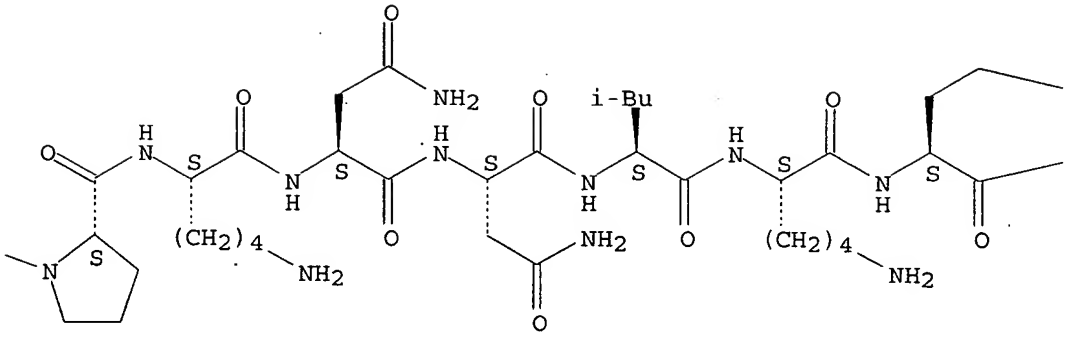
PAGE 1-A



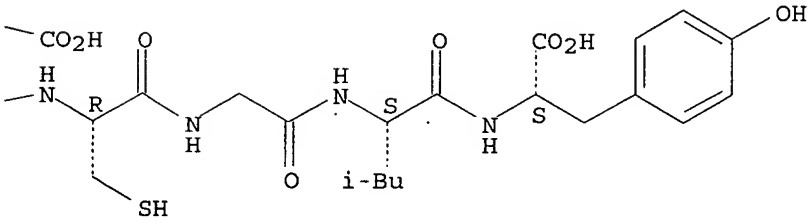
PAGE 1-B



PAGE 1-C



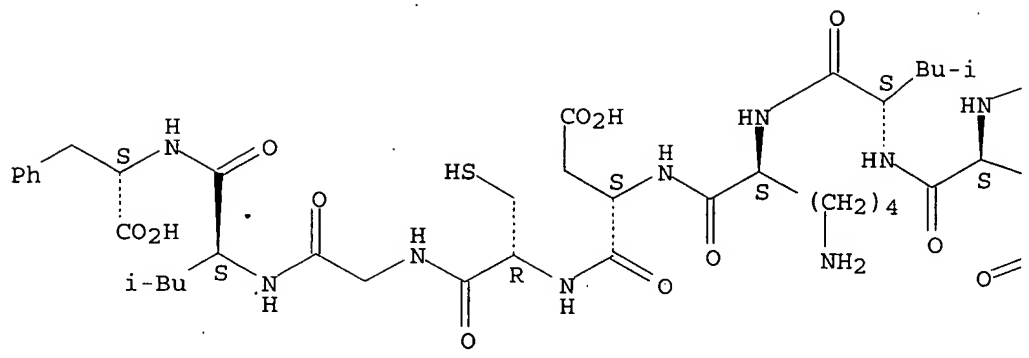
PAGE 1-D



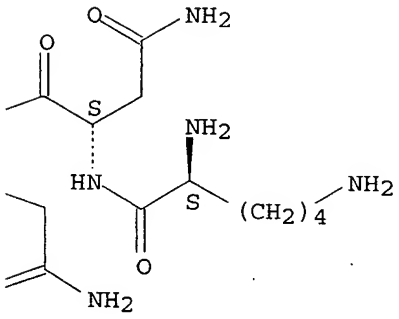
IT **111863-82-2 145851-80-5**
RL: PRP (Properties)
(peptide and peptidomimetic anti-allergic agents)
RN 111863-82-2 HCAPLUS
CN L-Phenylalanine, L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-aspartyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



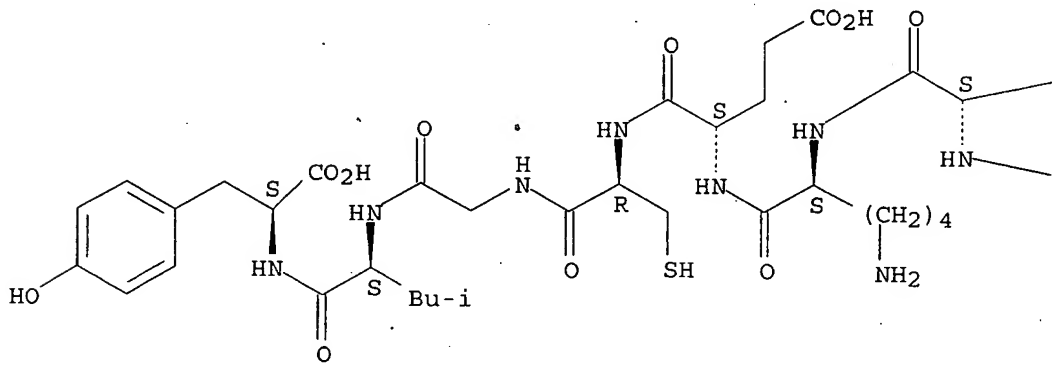
PAGE 1-B

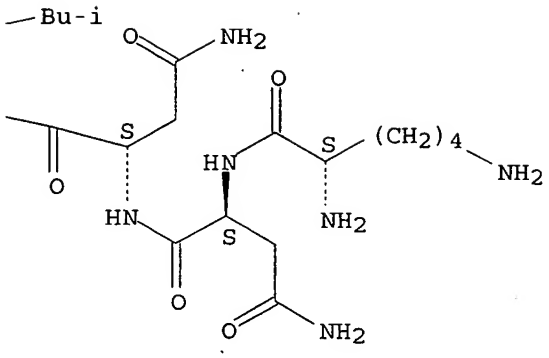


RN 145851-80-5 HCAPLUS
CN L-Tyrosine, L-lysyl-L-asparaginyl-L-asparaginyl-L-leucyl-L-lysyl-L-α-glutamyl-L-cysteinylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 14 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:576800 HCAPLUS
DOCUMENT NUMBER: 131:194306
TITLE: Use of hexapeptides for the manufacture of a pharmaceutical composition for the treatment of hot flushes, **migraine**, and other disorders
INVENTOR(S): Thomsen, Christian; Martin, Joel; Johansen, Nils Langeland; Larsen, Philip Just; Hohlweg, Rolf
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9944627	A1	19990910	WO 1999-DK83		19990225
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
AU 9927129	A1	19990920	AU 1999-27129		19990225
US 6011006	A	20000104	US 1999-261367		19990303
PRIORITY APPLN. INFO.:			DK 1998-297	A	19980305
			WO 1999-DK83	W	19990225

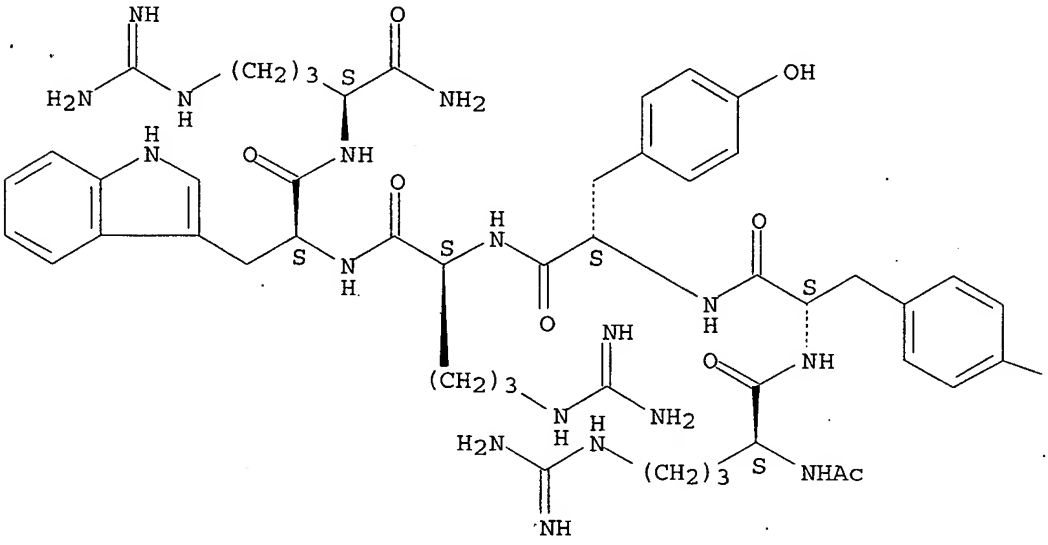
OTHER SOURCE(S): MARPAT 131:194306
AB The invention relates to the use of hexapeptides for the treatment of **migraine**, non-insulin dependent diabetes mellitus (type II diabetes), sepsis, inflammation and/or vasomotor disturbances, including hot flushes.
IT **200959-46-2 200959-47-3 200959-48-4**

200959-49-5 200959-50-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptides for treatment of hot flushes, **migraine**, and other disorders)

RN 200959-46-2 HCAPLUS
CN L-Argininamide, N2-acetyl-L-arginyl-L-tyrosyl-L-tyrosyl-L-arginyl-L-tryptophyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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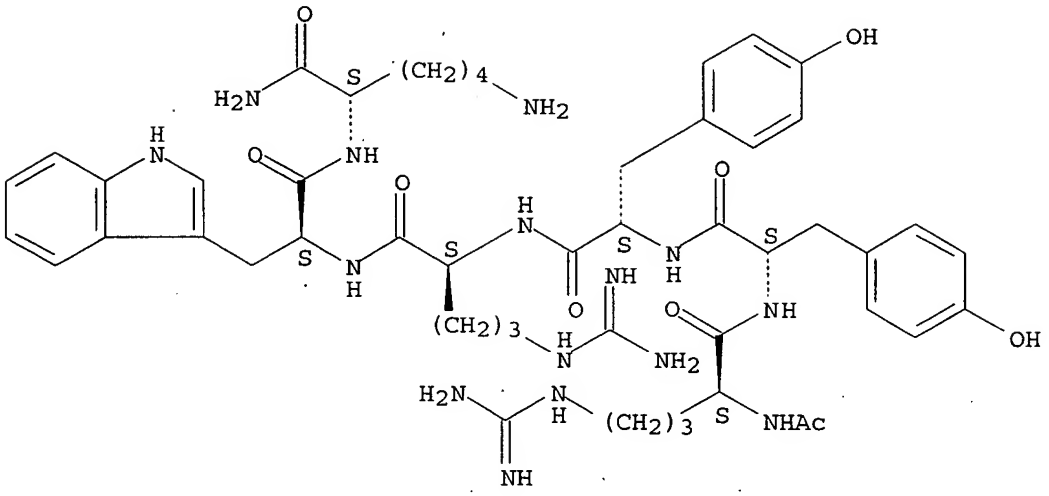
OH

RN 200959-47-3 HCAPLUS
CN L-Lysinamide, N2-acetyl-L-arginyl-L-tyrosyl-L-tyrosyl-L-arginyl-L-tryptophyl- (9CI) (CA INDEX NAME)

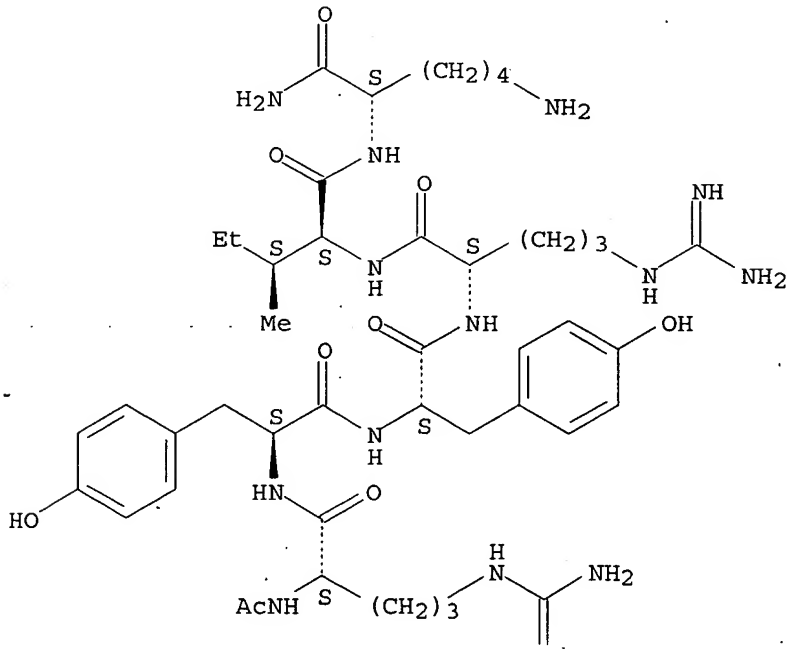
Absolute stereochemistry.



RN 200959-48-4 HCAPLUS
CN L-Lysinamide, N2-acetyl-L-arginyl-L-tyrosyl-L-tyrosyl-L-arginyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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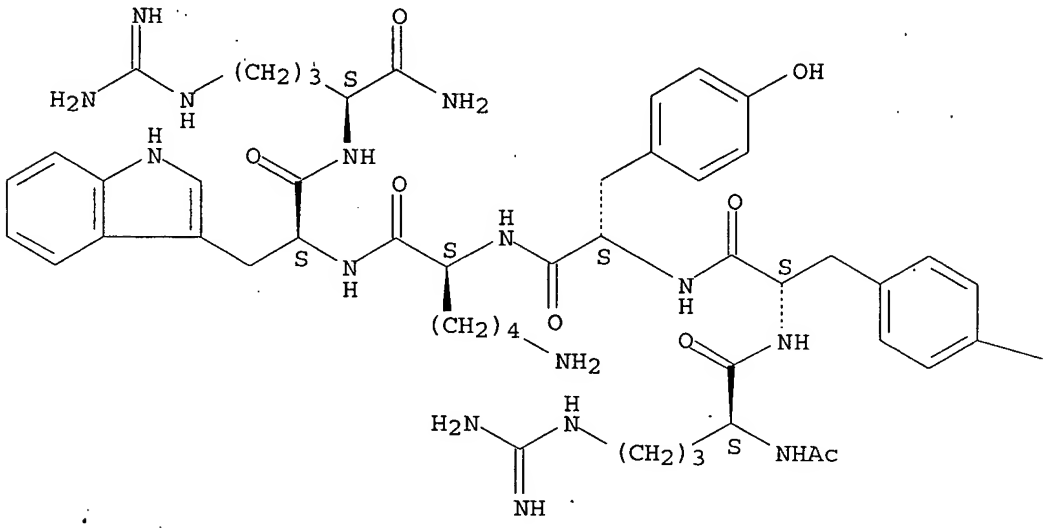
NH

RN 200959-49-5 HCAPLUS
CN L-Argininamide, N2-acetyl-L-arginyl-L-tyrosyl-L-tyrosyl-L-lysyl-L-tryptophyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



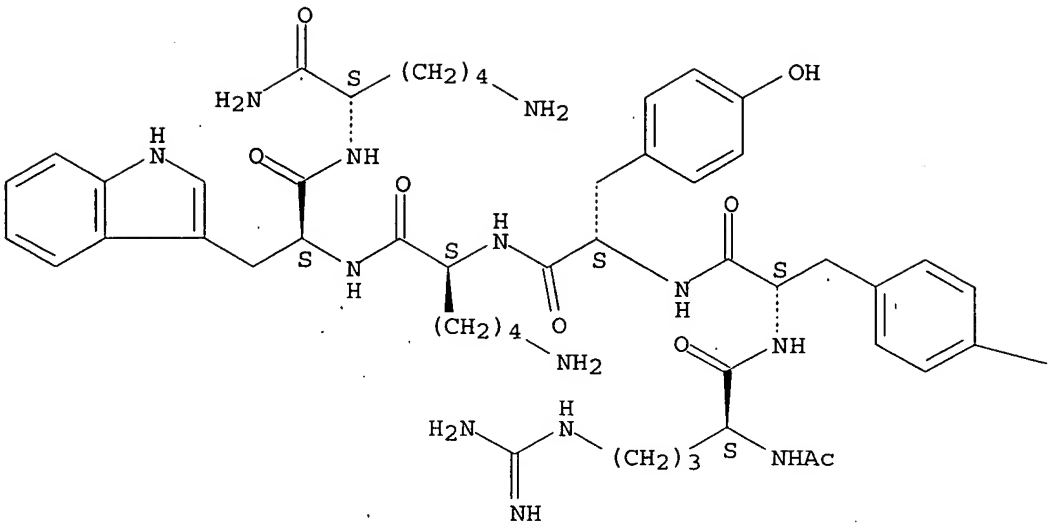
PAGE 1-B

OH

RN 200959-50-8 HCAPLUS
CN L-Lysinamide, N2-acetyl-L-arginyl-L-tyrosyl-L-tyrosyl-L-lysyl-L-tryptophyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

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REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:565898 HCAPLUS
DOCUMENT NUMBER: 131:194294
TITLE: Anticonvulsant drugs and pharmaceutical compositions thereof
INVENTOR(S): Bialer, Meir; Dagan, Arie; Sherbel, Sussan
PATENT ASSIGNEE(S): Yissum Research Development Company, Israel
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

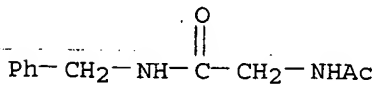
WO 9943309	A2	19990902	WO 1999-IL99	19990217
WO 9943309	A3	20000113		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6028102	A	20000222	US 1998-28911	19980224
AU 9925433	A1	19990915	AU 1999-25433	19990217
EP 1056446	A1	20001206	EP 1999-905154	19990217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: US 1998-28911 A 19980224
WO 1999-IL99 W 19990217

AB According to the present invention, anticonvulsant compds. N-acetyl,N'-benzylglycinamide (I) and N-benzyloxycarbonylglycinamide-Z-glycinamide (II) and their pharmaceutical compns. are disclosed. The invention also provides a method of controlling convulsions in a mammal by administering an effective amount of antiepileptic compds. I or II alone or in combinations. The convulsions may be due to epilepsy, febrile convulsions or convulsions precipitated by irritative lesions in the brain. Further the composition may be used to prevent **migraine** and to treat chronic pain and bipolar disorder.

IT **69753-67-9P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation, compns., and anticonvulsant activity of glycine and glycinamide derivs.)

RN 69753-67-9 HCAPLUS
CN Acetamide, 2-(acetylamino)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



L21 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:527193 HCAPLUS
DOCUMENT NUMBER: 129:166193
TITLE: Therapeutic treatment and prevention of infections with a bioactive material encapsulated within a biodegradable-biocompatible polymeric matrix
INVENTOR(S): Setterstrom, Jean A.; Van Hamont, John E.; Reid, Robert H.; Jacob, Elliot; Jeyanthi, Ramasubbu; Boedeker, Edgar C.; McQueen, Charles E.; Tice, Thomas R.; Roberts, F. Donald; Friden, Phil
PATENT ASSIGNEE(S): United States Dept. of the Army, USA; Van Hamont, John E.; et al.
SOURCE: PCT Int. Appl., 363 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 17
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9832427	A1	19980730	WO 1998-US1556	19980127
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6309669	B1	20011030	US 1997-789734	19970127
AU 9863175	A1	19980818	AU 1998-63175	19980127
PRIORITY APPLN. INFO.:			US 1997-789734 A	19970127
			US 1984-590308 B1	19840316
			US 1992-867301 A2	19920410
			US 1995-446148 A2	19950522
			US 1995-446149 B2	19950522
			US 1996-590973 B2	19960124
			WO 1998-US1556 W	19980127

AB Novel burst-free, sustained release biocompatible and biodegradable microcapsules are disclosed which can be programmed to release their active core for variable durations ranging from 1-100 days in an aqueous physiol. environment. The microcapsules are comprised of a core of polypeptide or other biol. active agent encapsulated in a matrix of poly(lactide/glycolide) copolymer, which may contain a pharmaceutically acceptable adjuvant, as a blend of upcapped free carboxyl end group and end-capped forms ranging in ratios from 100/0 to 1/99.

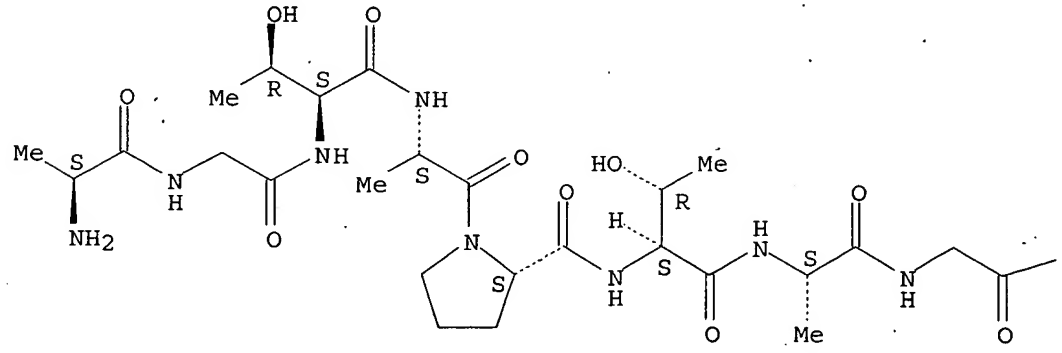
IT **146553-90-4 146553-91-5**
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prevention of infections with bioactive material encapsulated within biodegradable-biocompatible polymeric matrix)

RN 146553-90-4 HCAPLUS

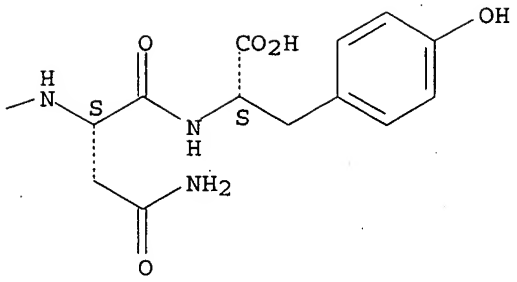
CN L-Tyrosine, L-alanylglycyl-L-threonyl-L-alanyl-L-prolyl-L-threonyl-L-alanylglycyl-L-asparaginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



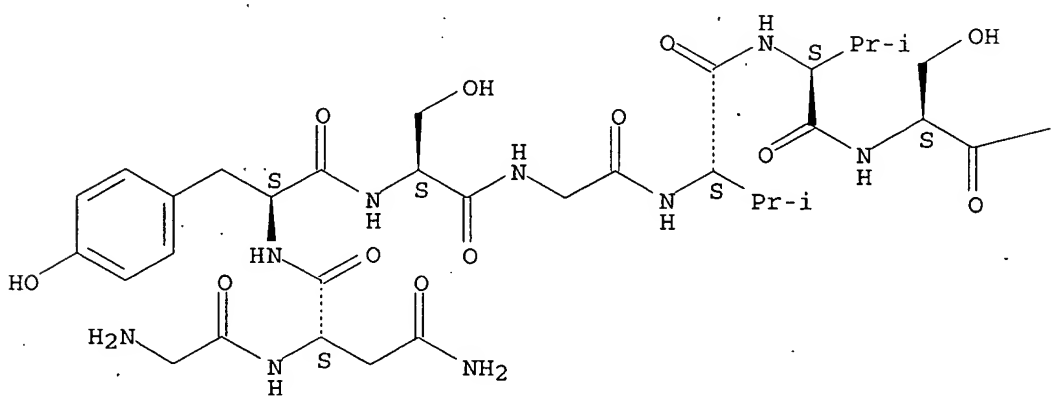
PAGE 1-B

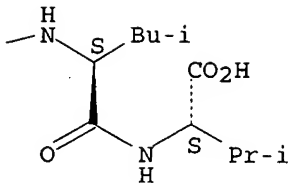


RN 146553-91-5 HCAPLUS
CN L-Valine, glycyl-L-asparaginyl-L-tyrosyl-L-serylglycyl-L-valyl-L-valyl-L-seryl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:242483 HCAPLUS
DOCUMENT NUMBER: 122:31323
TITLE: Aromatic compounds including indole derivatives, compositions containing them, and their use in therapy as tachykinin receptor antagonists
INVENTOR(S): Kelleher, Fintan; Lewis, Richard Thomas; Macleod, Angus Murray
PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9419320	A1	19940901	WO 1994-EP438		19940215
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
AU 9461406	A1	19940914	AU 1994-61406		19940215
US 5674889	A	19971007	US 1995-513759		19950821
PRIORITY APPLN. INFO.:			GB 1993-3540	A	19930222
			GB 1993-3843	A	19930225
			WO 1994-EP438	W	19940215

OTHER SOURCE(S): MARPAT 122:31323
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

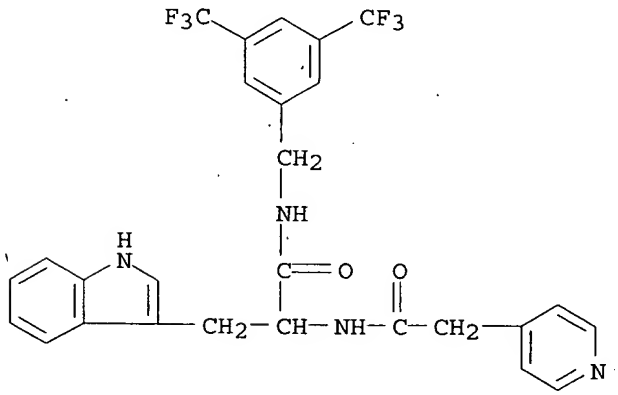
AB The title compds., which are useful for treating pain, inflammation, **migraine**, or emesis (no data), are represented by I [Q1 = Ph substituted by ≥1 halo, (un)substituted naphthyl, indolyl, benzothienyl, benzofuranyl, benzyl, or fluorenyl; R1 = H, C1-6 alkyl; R2 = H, C1-6 alkyl or C2-6 alkenyl; Z1 = G1 or G2; one of X1 and Y1 = H, and the other = OH or C1-6 alkoxy; or X1Y1 = O or NOR5 where R5 = H or C1-6

alkyl; R3 = (un)substituted Ph; R4 = H or C1-6 alkyl; dotted line = optional bond; when Z1 = G1, Z2 = C2-7 carboxyalkyl, C6H4CO2H, carboxyphenylalkyl; when Z1 = G2; Z2 = certain amino-containing groups; including salts and prodrugs]. Ten synthetic examples are provided. For instance, BOC-Trp-OH (BOC = tert-butoxycarbonyl) underwent amidation with MeNHOMe.HCl via the mixed anhydride method, and the resulting amide reacted with lithiated MeP(O)(OMe)2 to give indolylbutanone derivative II. Wittig-type reaction of II with 3,5-bis(trifluoromethyl)benzaldehyde, reduction of the formed double bond with Bu3SnH, deprotection of the amino group, and amidation of the latter with succinic anhydride, gave title compound (Na salt) III.

IT **159616-68-9P**, N-(3,5-Bis(trifluoromethyl)benzyl)-2-((4-pyridyl)acetamido)-3-(3-indolyl)propionamide **159616-69-0P**, N-(3,5-Bis(trifluoromethyl)benzyl)-2-((2-pyridyl)acetamido)-3-(3-indolyl)propionamide **159616-76-9P**, N-(3,5-Bis(trifluoromethyl)benzyl)-2-((3-pyridyl)acetamido)-3-(3-indolyl)propionamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. and analogs as tachykinin antagonists)

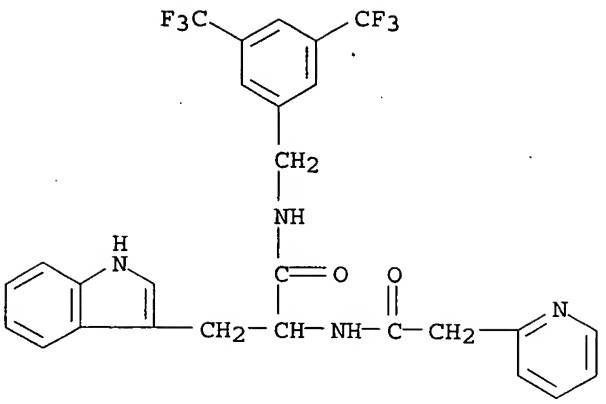
RN 159616-68-9 HCAPLUS

CN 1H-Indole-3-propanamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-α-[(4-pyridinylacetyl)amino]- (9CI) (CA INDEX NAME)

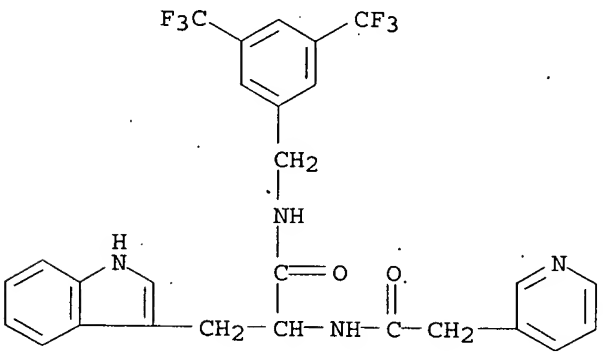


RN 159616-69-0 HCAPLUS

CN 1H-Indole-3-propanamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-α-[(2-pyridinylacetyl)amino]- (9CI) (CA INDEX NAME)



RN 159616-76-9 HCAPLUS
CN 1H-Indole-3-propanamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-α-[(3-pyridinylacetyl)amino]- (9CI) (CA INDEX NAME)



L21 ANSWER 18 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:517105 HCAPLUS
DOCUMENT NUMBER: 119:117105
TITLE: Aromatic compounds, pharmaceutical compositions containing them and their use in therapy
INVENTOR(S): Baker, Raymond; MacLeod, Angus Murray; Merchant, Kevin John; Swain, Christopher John
PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9301169	A2	19930121	WO 1992-GB1214	19920703
WO 9301169	A3	19931111		
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2110514	AA	19930121	CA 1992-2110514	19920703

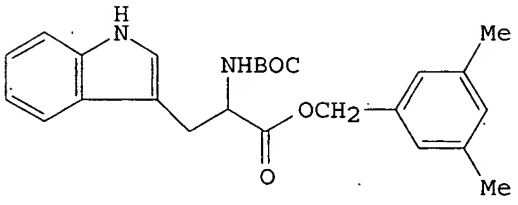
AU 9222440	A1	19930211	AU 1992-22440	19920703
AU 664188	B2	19951109		
EP 593557	A1	19940427	EP 1992-914055	19920703
EP 593557	B1	19960131		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
EP 593559	A1	19940427	EP 1992-914089	19920703
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06509332	T2	19941020	JP 1992-502085	19920703
US 5472978	A	19951205	US 1993-162096	19931210
US 5629347	A	19970513	US 1993-170190	19931222

PRIORITY APPLN. INFO.:

GB 1991-14550	A	19910705
GB 1991-14886	A	19910710
GB 1991-14888	A	19910710
GB 1992-1881	A	19920129
GB 1991-14554	A	19910705
GB 1992-5294	A	19920311
WO 1992-GB1213	A	19920703
WO 1992-GB1214	W	19920703

OTHER SOURCE(S): MARPAT 119:117105

GI



I

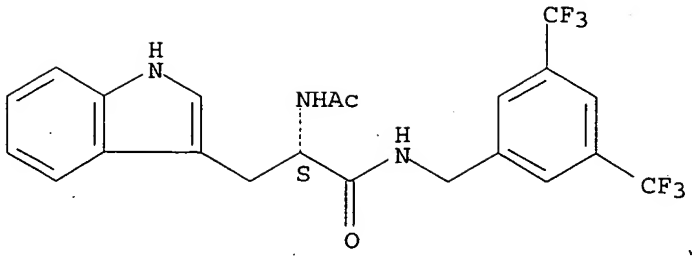
AB A series of α-(aminomethyl)heteroarylamines is claimed; exceptions to the claims are cited. The use of these compds. as inflammation inhibitors, analgesics, for the treatment of **migraine** and for the treatment of postherpetic neuralgia is claimed. Thus, 3,5-dimethylbenzyl bromide was added to a mixture of N-α-BOC-L-tryptophan, cesium carbonate and water/MeOH to give 3,5-dimethylbenzyl 2-[(1,1-dimethylethoxycarbonyl)amino]-3-(3-indolyl)propionate (I). I had in vitro activity as substance P antagonist (IC50 = 110 nmol/L).

IT **148452-21-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as analgesic and inflammation inhibitor (substance P antagonist))

RN 148452-21-5 HCAPLUS

CN 1H-Indole-3-propanamide, α-(acetylamino)-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 19 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:174769 HCAPLUS
DOCUMENT NUMBER: 116:174769
TITLE: Prepation of O-(carboxymethyl)amino acid derivatives as analgesics.
INVENTOR(S): Chauveau, Jacques; Delaage, Michel; Morel, Anne; Segu, Louis
PATENT ASSIGNEE(S): Immunotech S. A., Fr.
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 457701	A1	19911121	EP 1991-430009	19910513
EP 457701	B1	19950222		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2662082	A1	19911122	FR 1990-6292	19900515
FR 2662082	B1	19941223		
FR 2671971	A1	19920731	FR 1991-1292	19910130
FR 2671971	B1	19940128		
CA 2042295	AA	19911116	CA 1991-2042295	19910510
US 5298491	A	19940329	US 1991-699027	19910513
ES 2039313	T3	19950416	ES 1991-430009	19910513
NO 9101880	A	19911118	NO 1991-1880	19910514
NO 308663	B1	20001009		
AU 9177045	A1	19911121	AU 1991-77045	19910514
AU 650265	B2	19940616		
KR 138750	B1	19980501	KR 1991-7750	19910514
JP 04288044	A2	19921013	JP 1991-205013	19910515
JP 2968623	B2	19991025		

PRIORITY APPLN. INFO.: FR 1990-6292 A 19900515
FR 1991-1292 A 19910130
OTHER SOURCE(S): MARPAT 116:174769

AB The title compds., R2R3N-A-B-O-CH2-CO]nR1 [I; n = 1-10 integer; A = alkylene; B = aromatic radical, oxydiarylene; R1 = amino, OH; R2, R3 = alkyl, H, hydrophobic radical; with provisos] and their acid addition salts, especially useful for treatment of **migraine** and also for the preparation of antibodies, were prepared E.g., serotonin was Nim-protected with BOC and the product 5-O-carboxymethylated using BrCH2CO2H to give Nim-tert-butoxycarbonyl-5-O-(carboxymethyl)serotonin, which was then deprotected to give title compound I [R1 = OH, R2 = R3 = H, n = 1, A = CH2CH2, B = indole-3,5-diyl with C(3) attached to A and C(5) attached to

O] (II). BOC-protected I could be further coupled with amino acids/peptides and the products conjugated with proteins and labeled with 123I. The corresponding I of T3 and of T4 and their 125I-labeled derivs. and their conjugates with proteins were also prepared In an in vitro study using rat brain tissue, II competed against [3H]5-HT for the serotoninergic receptors with an IC50 of 1000 nM. The bonding sites of the title compds. with rat central receptors and their affinity for peripheral receptors were also studied. Tablets, injections, nasal aerosols, and buccal aerosols containing I were formulated.

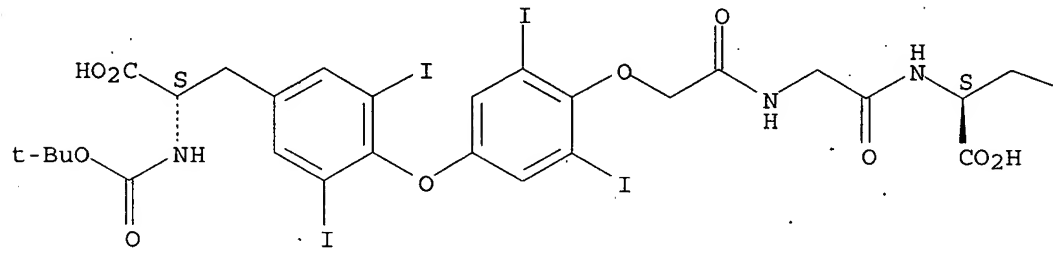
IT **140380-28-5DP**, conjugates with proteins, 125-I-labeled
140380-33-2DP, conjugates with proteins, 125-I-labeled
140380-35-4DP, conjugates with proteins, 125-I-labeled
140396-72-1DP, conjugates with proteins, 125-I-labeled
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 140380-28-5 HCAPLUS

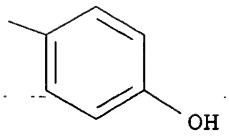
CN L-Tyrosine, N-[N-[[4-[4-[2-carboxy-2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-2,6-diiodophenoxy]-2,6-diiodophenoxy]acetyl]glycyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



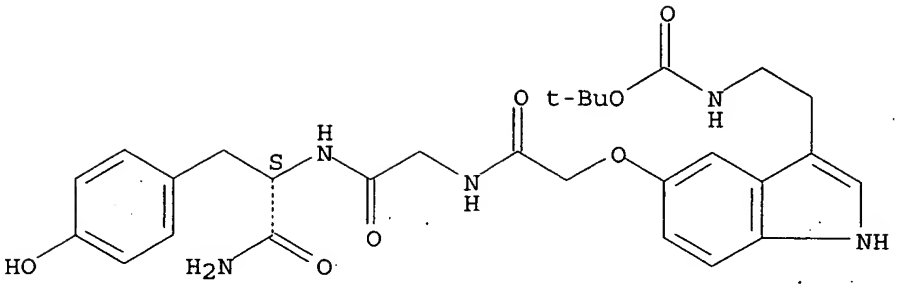
PAGE 1-B



RN 140380-33-2 HCAPLUS

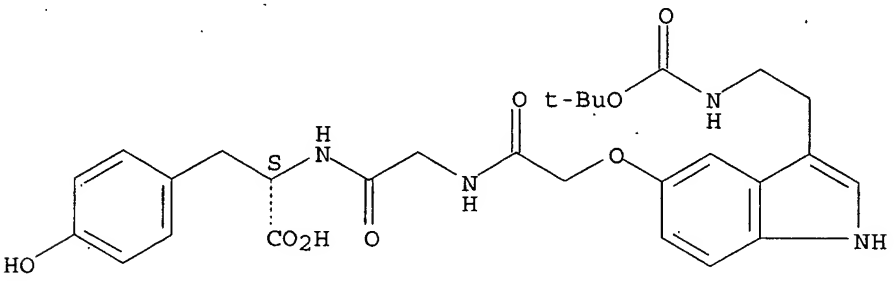
CN L-Tyrosinamide, N-[[[3-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-1H-indol-5-yl]oxy]acetyl]glycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 140380-35-4 HCAPLUS
CN L-Tyrosine, N-[N-[[[3-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-1H-indol-5-yl]oxy]acetyl]glycyl]- (9CI) (CA INDEX NAME)

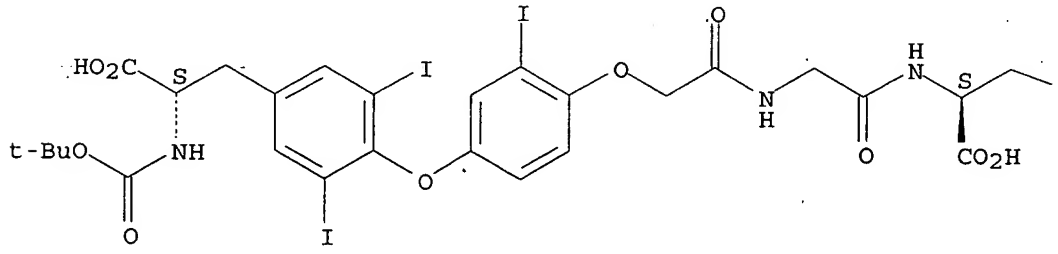
Absolute stereochemistry.



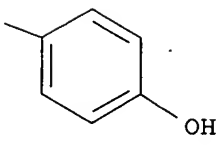
RN 140396-72-1 HCAPLUS
CN L-Tyrosine, N-[N-[[4-[4-[2-carboxy-2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-2,6-diiodophenoxy]-2-iodophenoxy]acetyl]glycyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L21 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:70034 HCAPLUS
DOCUMENT NUMBER: 112:70034
TITLE: Method of inhibiting onset of or treating **migraine** headache using a thromboxane A2 receptor antagonist and pharmaceutical compositions containing the antagonist
INVENTOR(S): Ogletree, Martin L.
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

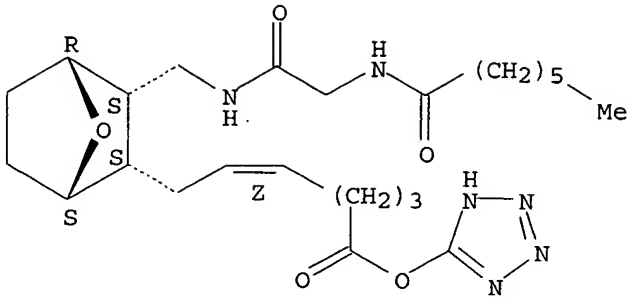
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4839384	A	19890613	US 1988-254899	19881007
CA 1336686	A1	19950815	CA 1989-610819	19890908
EP 362587	A2	19900411	EP 1989-116995	19890914
EP 362587	A3	19910724		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AU 8942540	A1	19900412	AU 1989-42540	19891003
AU 626917	B2	19920813		
ZA 8907517	A	19900627	ZA 1989-7517	19891003
DK 8904950	A	19900408	DK 1989-4950	19891006
JP 02145518	A2	19900605	JP 1989-262831	19891006
HU 58517	A2	19920330	HU 1989-5248	19891006
HU 206826	B	19930128		

PRIORITY APPLN. INFO.: US 1988-254899 A 19881007
OTHER SOURCE(S): MARPAT 112:70034

AB A method for inhibiting onset or treating **migraine** headache in a mammal comprises administering an effective amount of a TXA2 receptor antagonist over a prolonged period of treatment to reduce the frequency and/or severity of **migraine** headaches during such period. The TXA2 receptor antagonist is a 7-oxabicycloheptane prostaglandin analog, which is further defined. An injectable solution for i.v. use comprises [1S-[1α,2β(5Z),3β,4α]]-7-[3-[[2-(phenylamino)carbonyl]hydrazino]methyl]-7-oxabicyclo[2.2.1]-hept-2-yl]-5-heptenoic acid (SQ 29,548) 2500, Me paraben 5, Pr paraben 1 mg, NaCl 25 g, and H2O for injection to 5 L. Treatment of mice with the TXA2 receptor antagonist, SQ 29,548, before challenge with thromboxane mimetic U-46,619 inhibited in a dose-related manner both changes in hematocrit and Evans Blue dye accumulation in the brain caused by the mimetic alone.

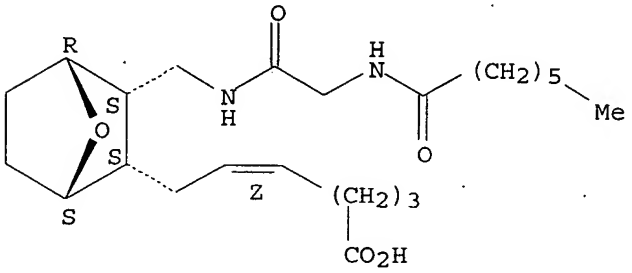
IT **125008-96-0 125075-54-9 125075-55-0**
RL: BIOL (Biological study)
(**migraine** headache treatment with)
RN 125008-96-0 HCAPLUS
CN 5-Heptenoic acid, 7-[3-[[[[(1-oxoheptyl)amino]acetyl]amino]methyl]-7-oxabicyclo[2.2.1]hept-2-yl]-, 1H-tetrazol-5-yl ester, [1S-[1α,2β(Z),3β,4α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



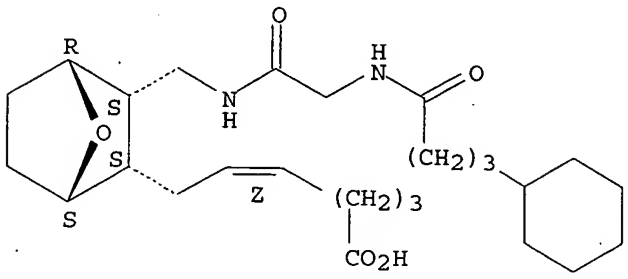
RN 125075-54-9 HCAPLUS
CN 5-Heptenoic acid, 7-[3-[[[[(1-oxoheptyl)amino]acetyl]amino]methyl]-7-oxabicyclo[2.2.1]hept-2-yl]-, [1S-[1α,2β(Z),3β,4α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 125075-55-0 HCAPLUS
CN 5-Heptenoic acid, 7-[3-[[[[(4-cyclohexyl-1-oxobutyl)amino]acetyl]amino]methyl]-7-oxabicyclo[2.2.1]hept-2-yl]-, [1S-[1α,2β(Z),3β,4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



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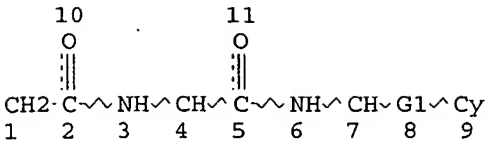
L1 STR



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    10          11
     O           O
     ‖           ‖
CH2-C~NH^CH^C~NH^CH~G1^Cy
1   2   3   4   5   6   7   8   9
```

REP G1=(0-3) CH2
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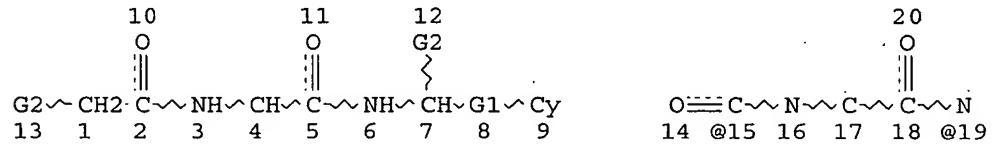
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE
L2 99556 SEA FILE=REGISTRY SSS FUL L1
L11 5648 SEA FILE=HCAPLUS ABB=ON PLU=ON ?MIGRAIN? OR ANTIMIGRAINE AGENTS/CV OR HEADACHE (L) MIGRAINE/CV
L18 STR



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          ‖           ‖      ≀                           ‖
G2~CH2-C~NH^CH^C~NH^CH~G1^Cy        O≡C~N~C~C~N
13  1   2   3   4   5   6   7   8   9        14 @15 16  17  18 @19
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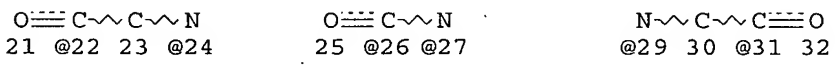

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O≡C~C~N        O≡C~N          N~C~C≡O
21 @22 23 @24  25 @26 @27     @29 30 @31 32
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VAR G2=15/19/22/24/26/27/29/31
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 31

STEREO ATTRIBUTES: NONE
L19 46475 SEA FILE=REGISTRY SUB=L2 SSS FUL L1 NOT L18
L20 16996 SEA FILE=HCAPLUS ABB=ON PLU=ON L19
L21 20 SEA FILE=HCAPLUS ABB=ON PLU=ON L20 AND L11
L22 19 SEA FILE=HCAPLUS ABB=ON PLU=ON L20 AND ?HEADACHE?
L23 5 SEA FILE=HCAPLUS ABB=ON PLU=ON L22 NOT L21

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L23 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:905870 HCAPLUS
DOCUMENT NUMBER: 141:394056
TITLE: SARS virus polypeptides and antibodies for diagnosis and treatment of SARS and related viral infection
INVENTOR(S): Lomas, Lee; Pak, Brian; Fu, Siyu; Tornatore, Pete;

Viner, Rosa; Weinberger, Scot R.; Yip, Tai-Tung
PATENT ASSIGNEE(S): Ciphergen Biosystems, Inc., USA
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092332	A2	20041028	WO 2004-US10729	20040408

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2003-461945P	P	20030409
US 2003-462597P	P	20030410
US 2003-462928P	P	20030414
US 2003-462964P	P	20030414
US 2003-463177P	P	20030415
US 2003-463874P	P	20030418
US 2003-470688P	P	20030514

AB The present invention provides, inter alia, SARS-related polypeptides, i.e., polypeptides associated with Severe Acute Respiratory Syndrome (SARS). The present invention also provides antibodies that specifically bind SARS-related polypeptides. In addition, the present invention provides methods for detecting viral infection associated with SARS by detecting decrease levels of defensin such as α-defensin 1-3.

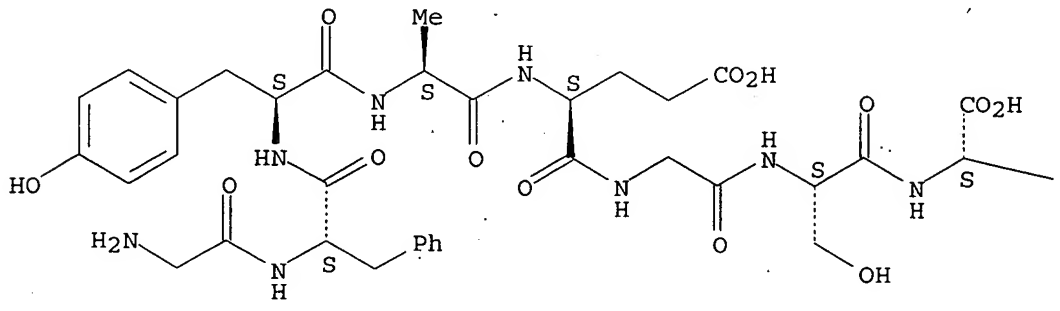
IT **784212-21-1**
RL: BSU (Biological study, unclassified); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(SARS virus polypeptides and antibodies for diagnosis and treatment of SARS and related viral infection)

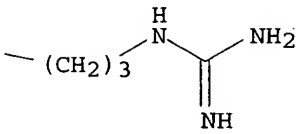
RN 784212-21-1 HCAPLUS

CN L-Arginine, glycyl-L-phenylalanyl-L-tyrosyl-L-alanyl-L-α-glutamylglycyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

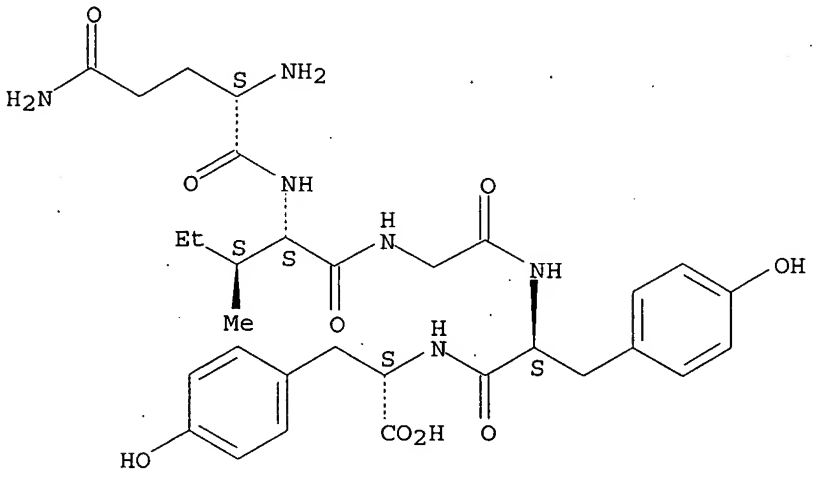
PAGE 1-A





IT **784212-26-6**
RL: PRP (Properties)
(unclaimed sequence; sARS virus polypeptides and antibodies for diagnosis and treatment of SARS and related viral infection)
RN 784212-26-6 HCAPLUS
CN L-Tyrosine, L-glutaminyl-L-isoleucylglycyl-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L23 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:722474 HCAPLUS
DOCUMENT NUMBER: 140:193459
TITLE: Phase II study of cetrorelix, a luteinizing hormone-releasing hormone antagonist in patients with platinum-resistant ovarian cancer
AUTHOR(S): Verschraegen, Claire F.; Westphalen, Silke; Hu, Wei; Loyer, Evelyne; Kudelka, Andrzej; Volker, Peter; Kavanagh, John; Steger, Melissa; Schulz, Klaus-Dieter; Emons, Gunter
CORPORATE SOURCE: Multidisciplinary Gynecologic Oncology Center, University of Texas M.D. Anderson Cancer Center, Houston, TX, 77030, USA
SOURCE: Gynecologic Oncology (2003), 90(3), 552-559
CODEN: GYNOA3; ISSN: 0090-8258
PUBLISHER: Elsevier Science

DOCUMENT TYPE: Journal
LANGUAGE: English

AB Objective: The goal of this work was to study the anticancer activity of cetrorelix, a decapeptide with LHRH receptor antagonist properties in patients with platinum-resistant ovarian cancer. About 80% of primary ovarian cancers and cell lines bear LHRH receptors. Cetrorelix has anticancer activity in in vitro and in vivo ovarian cancer models. Methods: Eligible patients with ovarian or Muellerian carcinoma resistant to platinum chemotherapy received cetrorelix 10 mg s.c. every day. Eligibility criteria included age ≥ 18, PS ≤ 2, measurable disease, chemistries and blood counts in normal range, no estrogen replacement for at least 2 wk, and no known allergic reactions to extrinsic peptide. In patients volunteering for a biopsy, tissue was taken to perform a LHRH receptor assay. Results: Seventeen patients were treated. Median age was 58 yr. Median performance status was 0. Median number of prior chemotherapies was 3. Three patients had partial remissions lasting 9, 16, and 17 wk. Toxicities effects included grade 4 anaphylactoid reaction (one patient) controlled by cortisol and cimetidine, grade 2 histamine reaction (two patients), grade 2 arthralgia (one patient) 20% cholesterol increase (two patients, who did not require specific treatment), minor hot flushes, **headache**, and local skin reaction at the injection site. Six of seven samples were LHRH receptor pos. for mRNA and/or ligand assay. Two responding patients were LHRH receptor pos. The patient who had no receptor did not respond. Conclusion: Cetrorelix has activity against ovarian cancer in this refractory population, and has minimal toxicity, except for potential anaphylactoid reactions. Activity may be mediated through the LHRH receptor.

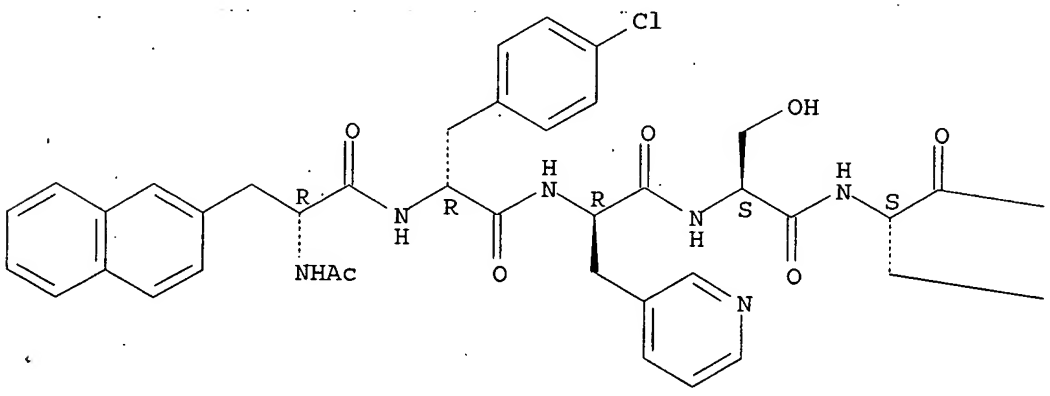
IT **120287-85-6**, Cetrorelix
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(LH-RH antagonist cetrorelix treatment and toxicity in patients with platinum-resistant ovarian cancer)

RN 120287-85-6 HCAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-L-tyrosyl-N5-(aminocarbonyl)-D-ornithyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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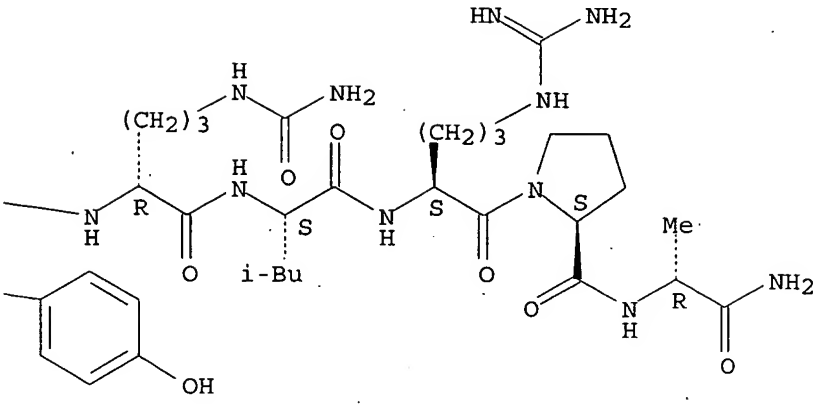


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:466680 HCAPLUS
DOCUMENT NUMBER: 139:30839
TITLE: Composition for treatment of stress
INVENTOR(S): Wurtman, Judith J.; Wurtman, Richard J.
PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA
SOURCE: U.S., 11 pp., Cont.-in-part of U.S. Ser. No. 354,738, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6579899	B1	20030617	US 2000-492110	20000127
CA 2398821	AA	20010802	CA 2001-2398821	20010129
WO 2001054681	A2	20010802	WO 2001-US2854	20010129
WO 2001054681	C1	20020117		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1253915	A1	20021106	EP 2001-905173	20010129
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003521498	T2	20030715	JP 2001-555659	20010129

PRIORITY APPLN. INFO.:		
US 1998-93013P	P	19980716
US 1999-354738	B2	19990716
US 2000-492110	A	20000127
WO 2001-US2854	W	20010129

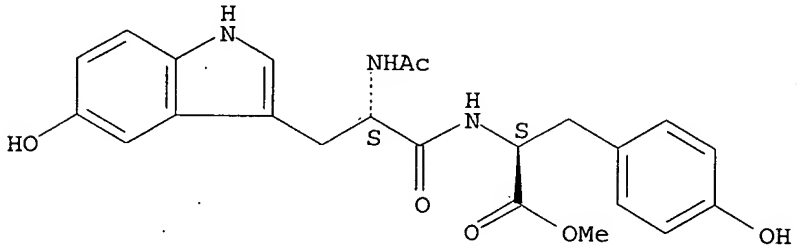
AB A method of treating stress in a patient showing stress related symptoms is disclosed, where the method comprises administering to the patient an

effective amount of a serotoninergic drug or prodrug. Specific examples of such drugs are described, and include, among others, tryptophan or 5-hydroxytryptophan, or their salts.

IT **98410-01-6 98410-02-7**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(serotonin prodrugs and precursors for treatment of stress)

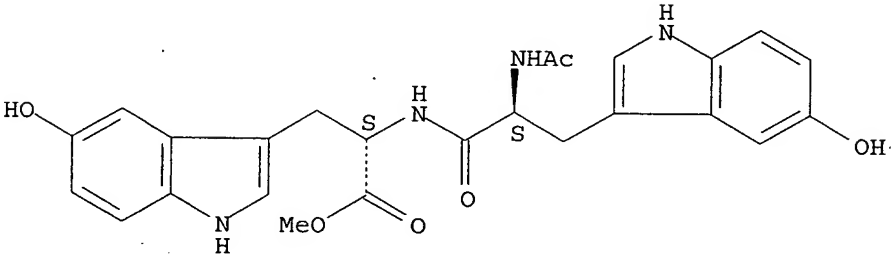
RN 98410-01-6 HCAPLUS
CN L-Tyrosine, N-acetyl-5-hydroxy-L-tryptophyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 98410-02-7 HCAPLUS
CN L-Tryptophan, N-acetyl-5-hydroxy-L-tryptophyl-5-hydroxy-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:564823 HCAPLUS
DOCUMENT NUMBER: 135:132455
TITLE: Composition for treatment of stress
INVENTOR(S): Wurtman, Judith J.; Wurtman, Richard J.
PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

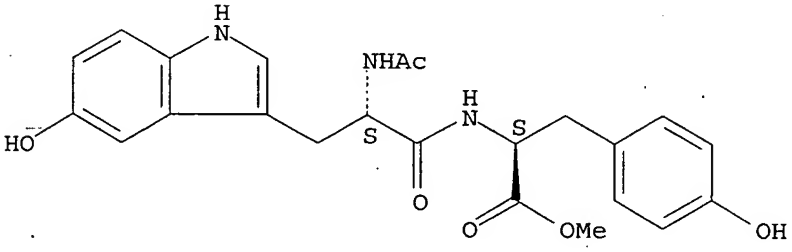
WO 2001054681	A2	20010802	WO 2001-US2854		20010129
WO 2001054681	C1	20020117			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 6579899	B1	20030617	US 2000-492110		20000127
CA 2398821	AA	20010802	CA 2001-2398821		20010129
EP 1253915	A1	20021106	EP 2001-905173		20010129
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2003521498	T2	20030715	JP 2001-555659		20010129
PRIORITY APPLN. INFO.:			US 2000-492110	A2	20000127
			US 1998-93013P	P	19980716
			US 1999-354738	B2	19990716
			WO 2001-US2854	W	20010129

AB A method of treating stress in a patient showing stress related symptoms is disclosed, where the method comprises administering to the patient an effective amount of a serotoninergic drug or prodrug. Specific examples of such drugs are described, and include, among others, tryptophan or 5-hydroxytryptophan, or their salts.

IT **98410-01-6 98410-02-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition for treatment of stress using serotoninergic drugs or prodrugs)

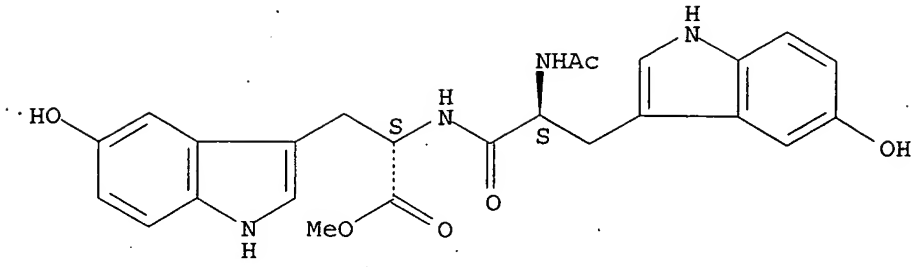
RN 98410-01-6 HCAPLUS
CN L-Tyrosine, N-acetyl-5-hydroxy-L-tryptophyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 98410-02-7 HCAPLUS
CN L-Tryptophan, N-acetyl-5-hydroxy-L-tryptophyl-5-hydroxy-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L23 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:204947 HCAPLUS
DOCUMENT NUMBER: 132:217243
TITLE: Ganirelix
AUTHOR(S): Gillies, Peter S.; Faulds, Diana; Balfour, Julia A. Barman; Perry, Caroline M.
CORPORATE SOURCE: Adis International Limited, Auckland, N. Z.
SOURCE: Drugs (2000), 59(1), 107-111
CODEN: DRUGAY; ISSN: 0012-6667
PUBLISHER: Adis International Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

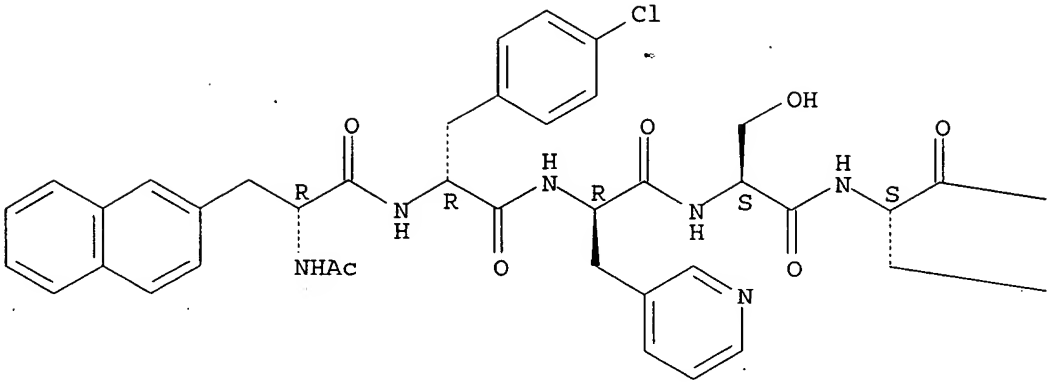
AB A review with 14 refs. Ganirelix is a synthetic third generation gonadotropin-releasing hormone (GnRH) antagonist that is administered via the s.c. route. The drug competitively blocks GnRH receptors in the anterior pituitary gland, preventing endogenous GnRH from inducing LH (LH) and FSH release. Ganirelix effectively inhibited LH surges during controlled ovarian stimulation in a large, multicenter clin. trial in women undergoing in vitro fertilization. A vital pregnancy rate per embryo transfer of 40.3% was achieved at weeks 5 to 6 after treatment with the 0.25 mg/day dosage. S.c. ganirelix has been generally well tolerated in clin. trials. The most common adverse events were local injection site events, asthenia, nausea, malaise, **headache** and fatigue.

IT **124904-93-4**, Ganirelix
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(pharmacokinetic, pharmacodynamics and tolerability of GnRH antagonist ganirelix in women undergoing in vitro fertilization in vitro fertilization)

RN 124904-93-4 HCAPLUS
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-L-tyrosyl-N6-[bis(ethylamino)methylene]-D-lysyl-L-leucyl-N6-[bis(ethylamino)methylene]-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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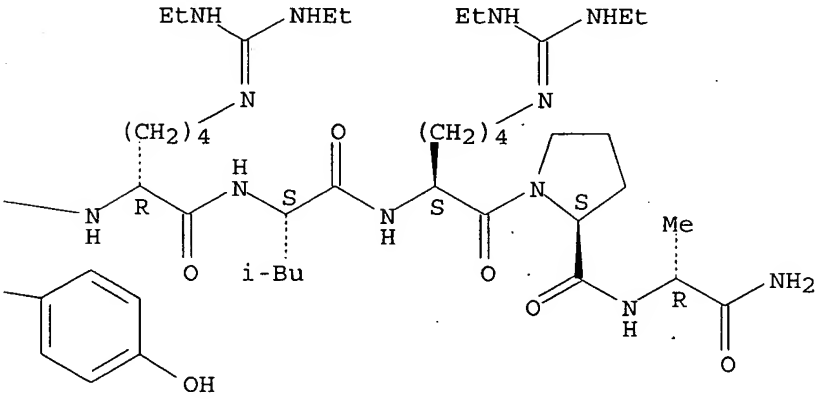

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L11         5648 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ?MIGRAIN? OR ANTIMIGRAINE
                 AGENTS/CV OR HEADACHE (L) MIGRAINE/CV
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L20        16996 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L19
L21           20 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L20 AND L11
L22           19 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L20 AND ?HEADACHE?
L23            5 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L22 NOT L21
L24         4613 SEA FILE=HCAPLUS ABB=ON  PLU=ON  HARRIS R?/AU
L25            8 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L24 AND L20
L26            7 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L25 NOT (L21 OR L23)


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L26 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:472748 HCAPLUS
DOCUMENT NUMBER: 135:71316
TITLE: Compounds and use thereof to modify transport across cell membranes
INVENTOR(S): **Harris, Roy**; O'shea, Paul
PATENT ASSIGNEE(S): Biovector Solutions Ltd., UK
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001046223	A1	20010628	WO 2000-GB4921	20001221
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2394877	AA	20010628	CA 2000-2394877	20001221
EP 1242447	A1	20020925	EP 2000-985658	20001221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003518135	T2	20030603	JP 2001-547132	20001221
AU 782280	B2	20050714	AU 2001-22060	20001221
ZA 2002004009	A	20030220	ZA 2002-4009	20020521
US 2003166545	A1	20030904	US 2002-168119	20021008
PRIORITY APPLN. INFO.:			GB 1999-30160 A	19991222
			WO 2000-GB4921 W	20001221

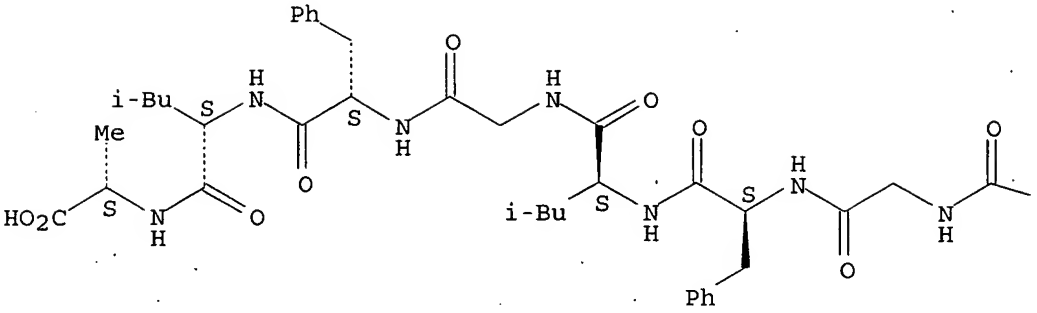
AB The invention provides the use of a compound capable of preferential interaction with plasma membrane lipid microdomains (PMLMs) as enhancers of transport processes across endothelial, epithelial and mesothelial membranes (ie including blood-brain-blood barrier and gastrointestinal mucosal membranes). When associated with therapeutic agents, the compds. act as transport vehicles. When the compds. interact with PMLMs in such a way as to inhibit transport across the membrane, the compds. function as anti-infective agents.

IT **137111-09-2 345586-45-0 345586-47-2 345586-48-3 345586-49-4 345586-50-7 345586-55-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compds. and use thereof to modify transport across cell membranes in relation to conjugation with therapeutic agents)

RN 137111-09-2 HCAPLUS
CN L-Alanine, glycyl-L-valyl-L-phenylalanyl-L-valyl-L-leucylglycyl-L-phenylalanyl-L-leucylglycyl-L-phenylalanyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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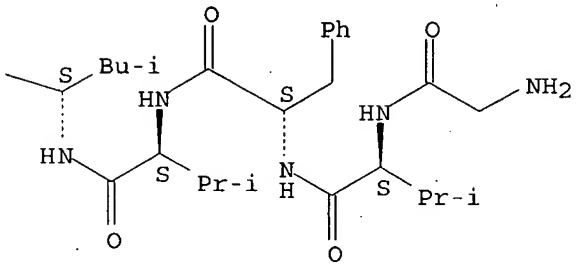
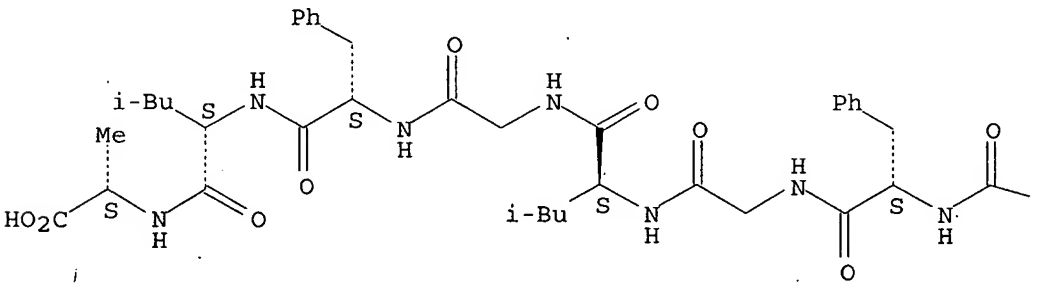


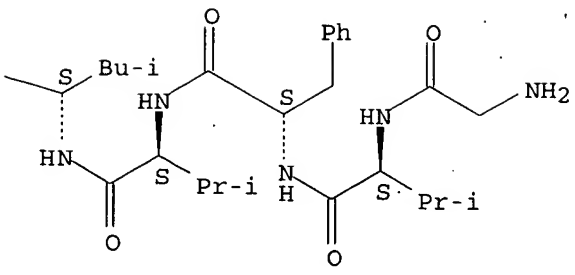
RN 345586-45-0 HCAPLUS
CN L-Alanine, glycyl-L-valyl-L-phenylalanyl-L-valyl-L-leucyl-L-phenylalanylglycyl-L-leucylglycyl-L-phenylalanyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



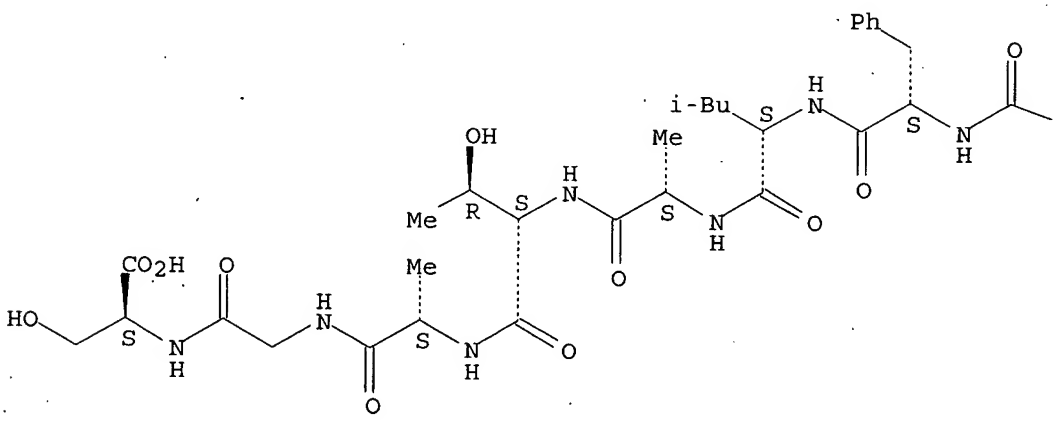
PAGE 1-B



RN 345586-47-2 HCAPLUS
CN L-Serine, glycyl-L-valyl-L-phenylalanyl-L-valyl-L-leucylglycyl-L-phenylalanyl-L-leucylglycyl-L-phenylalanyl-L-leucyl-L-alanyl-L-threonyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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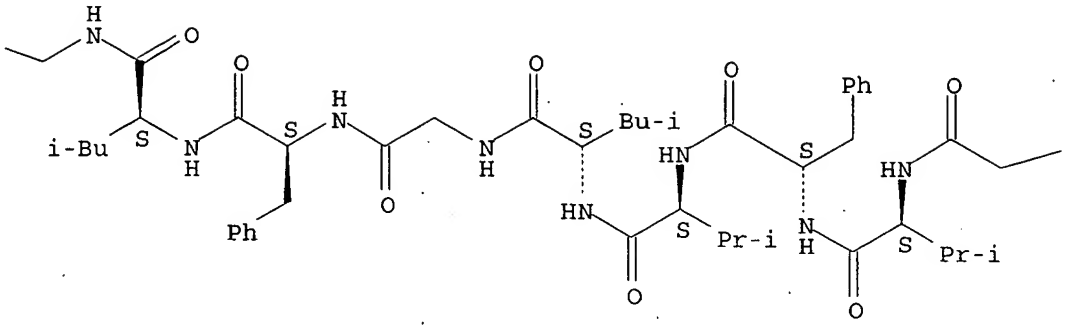
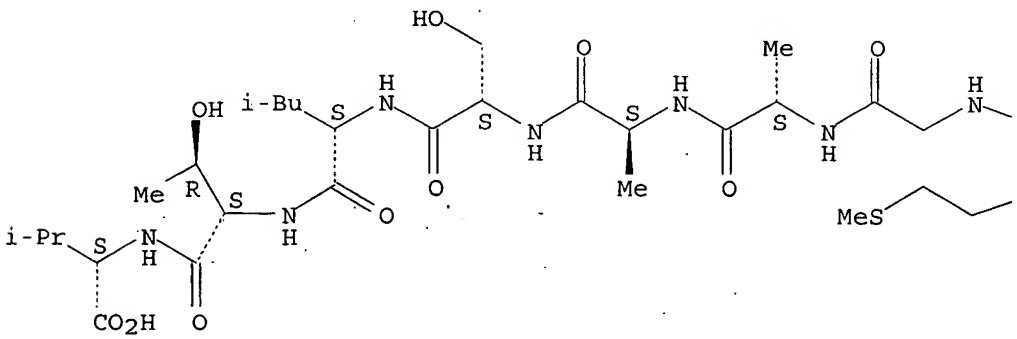


PAGE 1-C

RN 345586-48-3 HCAPLUS
CN L-Valine, glycyl-L-valyl-L-phenylalanyl-L-valyl-L-leucyl-L-phenylalanyl-L-leucylglycyl-L-phenylalanyl-L-leucyl-L-alanyl-L-threonyl-L-alanylglycyl-L-seryl-L-alanyl-L-methionylglycyl-L-alanyl-L-alanyl-L-seryl-L-leucyl-L-threonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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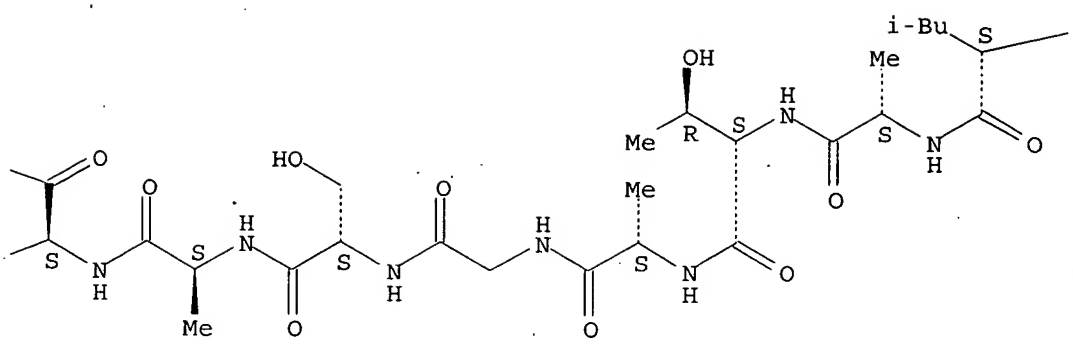

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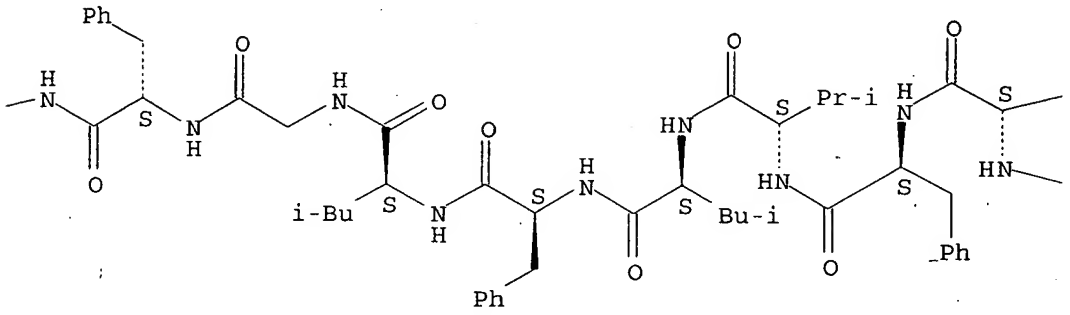
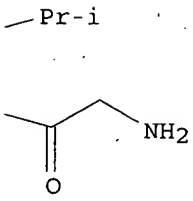


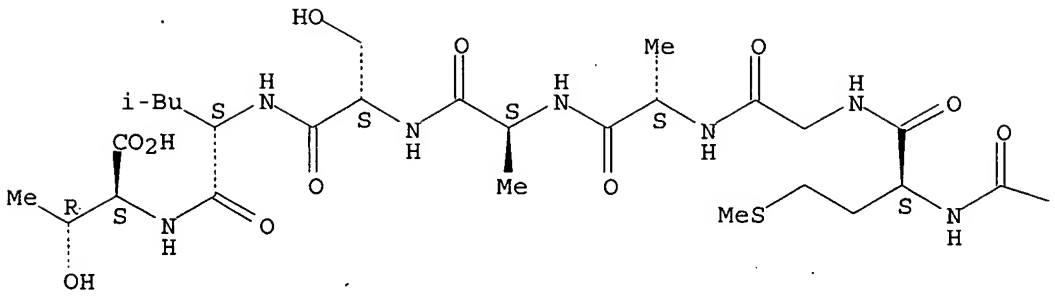
PAGE 1-D



RN 345586-49-4 HCAPLUS
CN L-Threonine, glycyl-L-valyl-L-phenylalanyl-L-valyl-L-leucylglycyl-L-phenylalanyl-L-leucylglycyl-L-phenylalanyl-L-leucyl-L-alanyl-L-threonyl-L-alanylglycyl-L-seryl-L-alanyl-L-methionylglycyl-L-alanyl-L-alanyl-L-seryl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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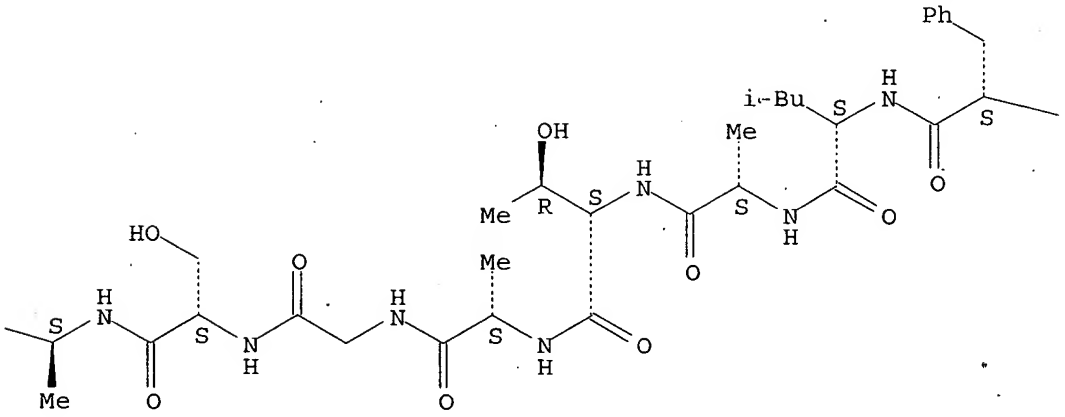

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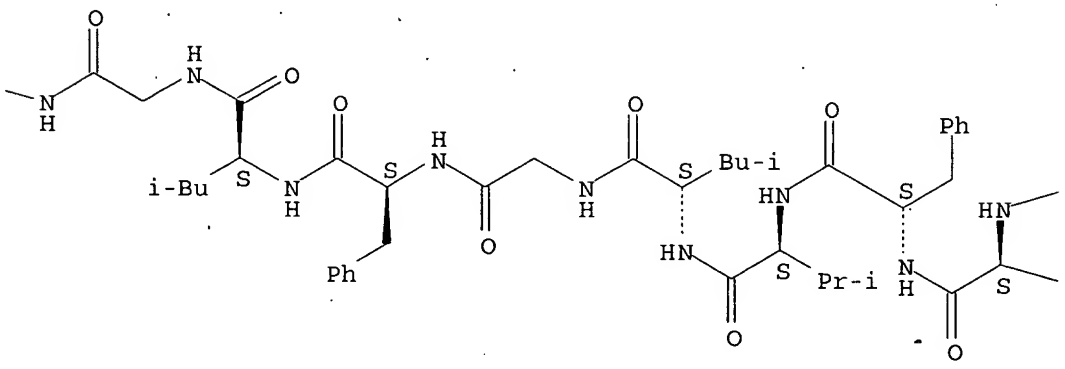

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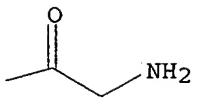
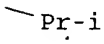
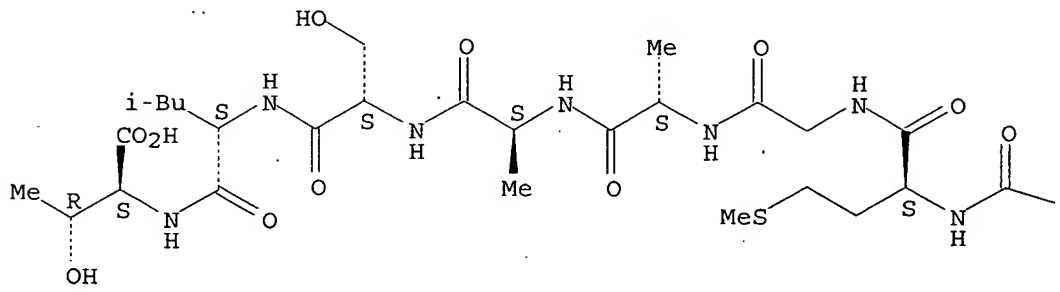




RN 345586-50-7 HCAPLUS
CN L-Threonine, glycyl-L-valyl-L-phenylalanyl-L-valyl-L-leucylglycyl-L-phenylalanyl-L-leucylglycyl-L-phenylalanyl-L-leucyl-L-threonyl-L-threonyl-L-alanylglycyl-L-seryl-L-alanyl-L-methionylglycyl-L-alanyl-L-alanyl-L-seryl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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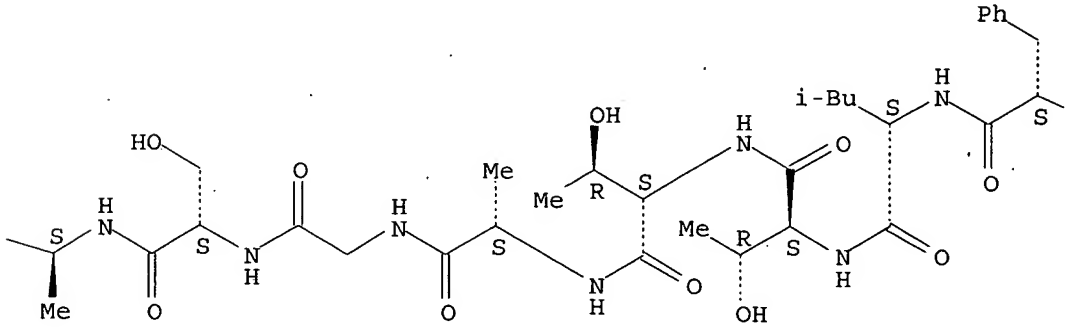

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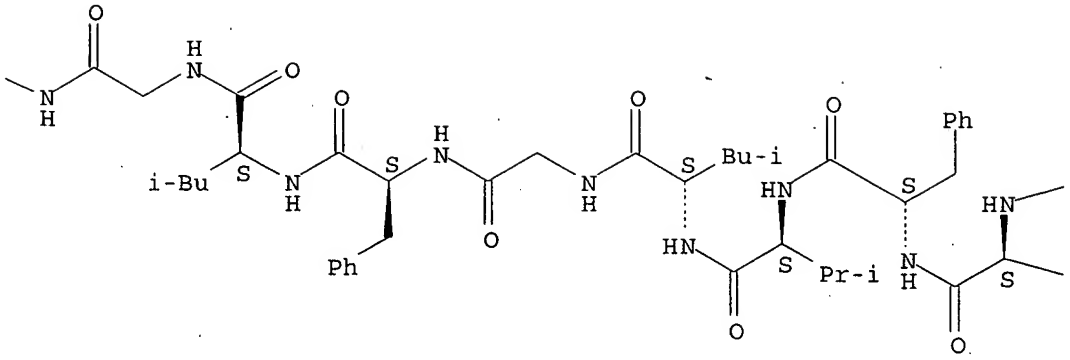
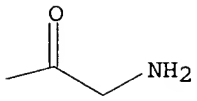
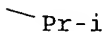


PAGE 1-D

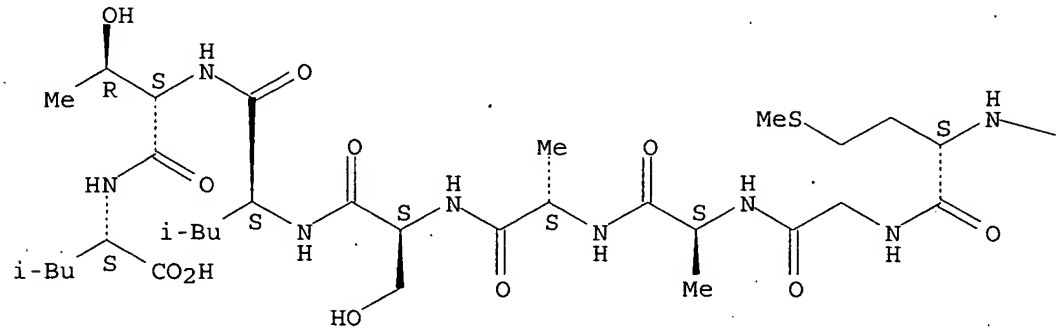




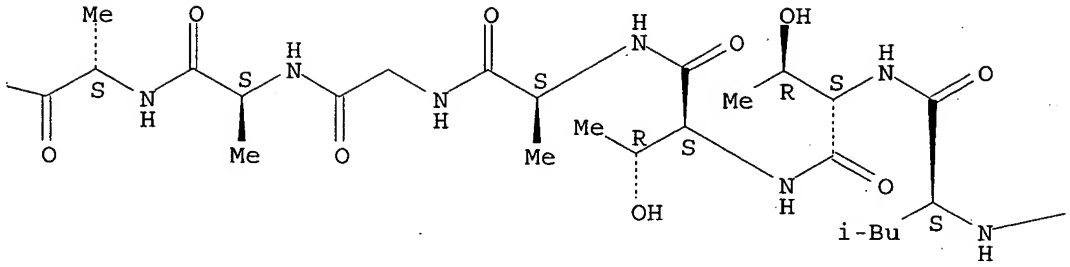
RN 345586-55-2 HCAPLUS
CN L-Leucine, glycyl-L-valyl-L-phenylalanyl-L-valylglycyl-L-phenylalanyl-L-leucylglycyl-L-phenylalanyl-L-leucyl-L-threonyl-L-threonyl-L-alanylglycyl-L-alanyl-L-alanyl-L-methionylglycyl-L-alanyl-L-alanyl-L-seryl-L-leucyl-L-threonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

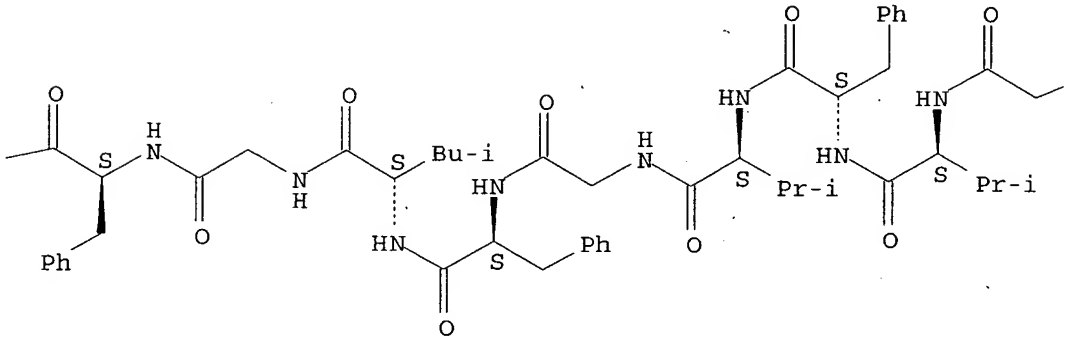
PAGE 1-A



PAGE 1-B



PAGE 1-C



PAGE 1-D

—NH_2

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:888671 HCAPLUS
DOCUMENT NUMBER: 134:130147
TITLE: Screening of several H-2 congenic mouse strains identified H-2q mice as highly susceptible to MOG-induced EAE with minimal adjuvant requirement

AUTHOR(S): Abdul-Majid, Khairul-Bariah; Jirholt, Johan; Stadelmann, Christine; Stefferl, Andreas; Kjellen, Peter; Wallstrom, Erik; Holmdahl, Rikard; Lassmann, Hans; Olsson, Tomas; **Harris, Robert A.**
CORPORATE SOURCE: Neuroimmunology Unit, L8:04 Centre for Molecular Medicine, Karolinska Hospital, Stockholm, SE-17176, Swed.
SOURCE: Journal of Neuroimmunology (2000), 111(1-2), .23-33
CODEN: JNRIDW; ISSN: 0165-5728
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors identified H-2q as a susceptible genotype for MOG-induced EAE by systematic screening of a series of H-2 congenic B10 mouse strains. A series of H-2q-bearing strains with divergent gene backgrounds were subsequently investigated. DBA/1 mice were highly susceptible to MOG1-125- and MOG79-96-induced EAE in the absence of pertussis toxin. Immunization with MOG1-125 and MOG79-96 induced an autoreactive T-cell response in DBA/1 mice. Brain histopathol. revealed T-cell and macrophage-infiltrated lesions with associated demyelination. The important features which make this an appropriate model of human disease are high sensitivity to MOG and dependence of an immunodominant peptide region homologous to that implicated in multiple sclerosis.

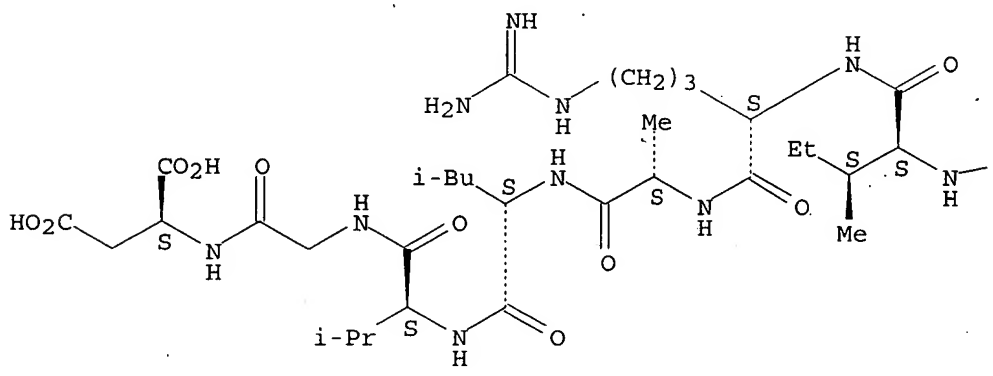
IT **321912-96-3 321913-07-9**
RL: ADV (Adverse effect, including toxicity); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(allergic encephalomyelitis induced by; screening of several H-2 congenic mouse strains identified H-2q mice as highly susceptible to MOG-induced exptl. allergic encephalomyelitis with minimal adjuvant requirement in relation to)

RN 321912-96-3 HCAPLUS

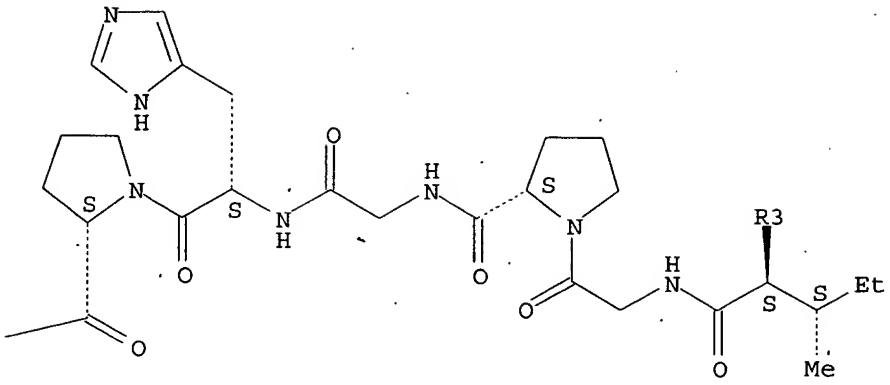
CN L-Aspartic acid, glycyl-L-glutaminyl-L-phenylalanyl-L-arginyl-L-valyl-L-isoleucylglycyl-L-prolylglycyl-L-histidyl-L-prolyl-L-isoleucyl-L-arginyl-L-alanyl-L-leucyl-L-valylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

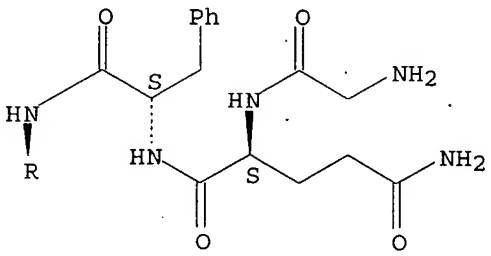
PAGE 1-A

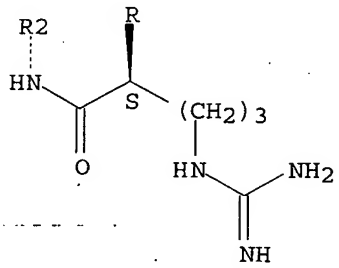


PAGE 1-B

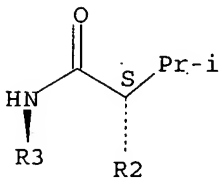


PAGE 2-A





PAGE 3-A

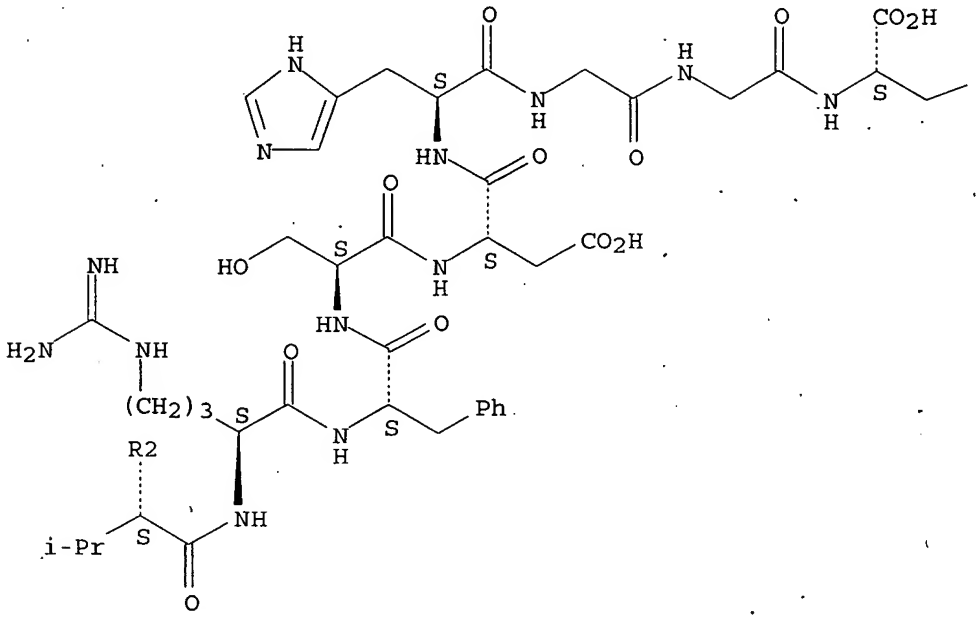


RN 321913-07-9 HCAPLUS
CN L-Tyrosine, glycyl-L-lysyl-L-valyl-L-alanyl-L-leucyl-L-arginyl-L-isoleucyl-L-glutaminyl-L-asparaginyl-L-valyl-L-arginyl-L-phenylalanyl-L-seryl-L-

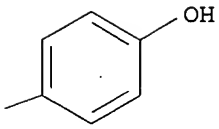
α-aspartyl-L-histidylglycylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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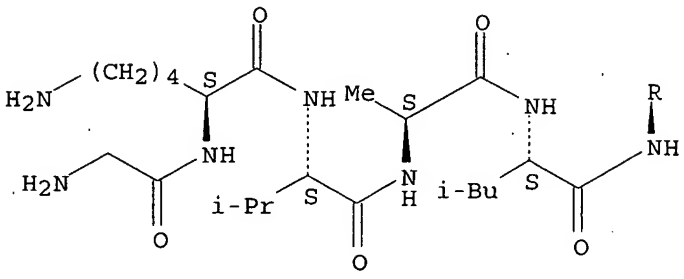
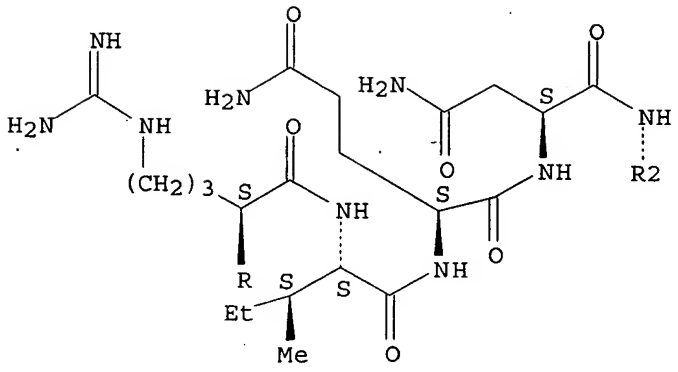


PAGE 3-A



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:81560 HCAPLUS
DOCUMENT NUMBER: 130:125403
TITLE: Preparation of amino acid derivatives useful for treating stroke
INVENTOR(S): **Harris, Robert H.**
PATENT ASSIGNEE(S): Research Corporation Technologies, Inc., USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9903460	A1	19990128	WO 1998-US14449	19980715
W: AU, CA, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2296560	AA	19990128	CA 1998-2296560	19980715
AU 9883978	A1	19990210	AU 1998-83978	19980715
AU 744899	B2	20020307		
EP 996435	A1	20000503	EP 1998-934465	19980715
EP 996435	B1	20021002		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE, IE, FI				
US 6133261	A	20001017	US 1998-116071	19980715
JP 2002527350	T2	20020827	JP 2000-502761	19980715
AT 225171	E	20021015	AT 1998-934465	19980715
ES 2184300	T3	20030401	ES 1998-934465	19980715
PRIORITY APPLN. INFO.:			US 1997-52684P P	19970715
			WO 1998-US14449 W	19980715

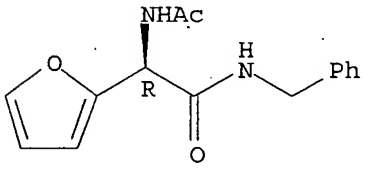
OTHER SOURCE(S): MARPAT 130:125403
AB Amino acid derivs. RNH[C(:Q)CR2R3NH]nCR1:A [R, R1 = H or (un)substituted alkyl, alkenyl, alkynyl, aryl, arylalkyl, heterocyclyl, cycloalkyl; R2, R3 = H or (un)substituted alkyl, alkenyl, alkynyl, arylalkyl, aryl, heterocyclyl, heterocyclylalkyl, alkylheterocyclyl, cycloalkyl, cycloalkylalkyl, SO3-, or Z-Y, where Z = O, S, S(O)a (a = 1-3), NR4 or PR4 (R4 = H, alkyl, aryl, arylalkyl, alkenyl, alkynyl), bond, etc.; Y = H,

alkyl, aryl, alkenyl, halo, heterocyclyl, etc.; A, Q = O or S; n = 1-4] were prepared for use in the treatment of stroke. Thus, (R)-N-benzyl-2-acetamido-3-methoxypropionamide, prepared from D-serine by N-benzylation, acetylation, and O-methylation, showed neuroprotective activity similar to that of MK-801 in a rat model of focal ischemia induced by permanent, unilateral middle cerebral artery occlusion.

IT **124509-55-3P 124509-56-4P 134108-22-8P**
134108-23-9P 134108-24-0P 134108-25-1P
134108-26-2P 147598-87-6P 163957-52-6P
163957-53-7P 163957-54-8P 163957-55-9P
163957-56-0P 163957-57-1P 163957-58-2P
163957-59-3P 163957-60-6P 163957-61-7P
175481-36-4P 175481-37-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. useful for treating stroke)

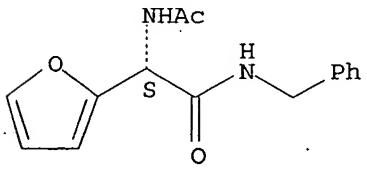
RN 124509-55-3 HCAPLUS
CN 2-Furanacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



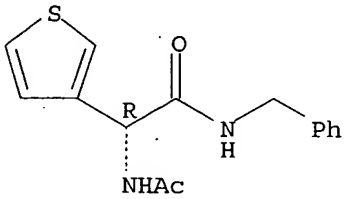
RN 124509-56-4 HCAPLUS
CN 2-Furanacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αS)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



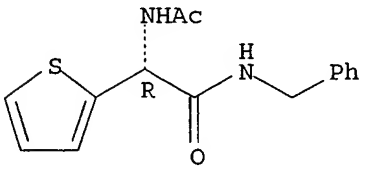
RN 134108-22-8 HCAPLUS
CN 3-Thiopheneacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 134108-23-9 HCAPLUS
CN 2-Thiopheneacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



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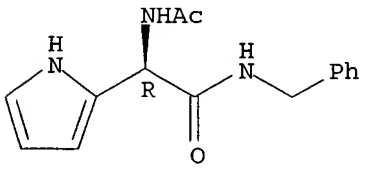
CN 1H-Pyrrole-2-acetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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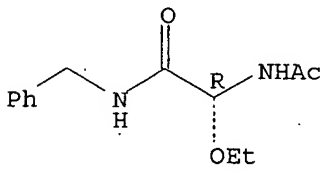
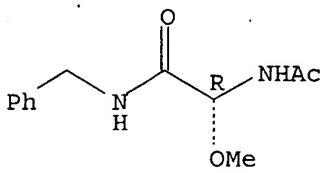
Absolute stereochemistry.



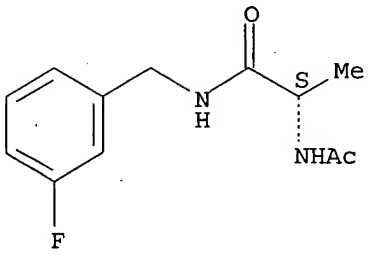
RN 134108-26-2 HCAPLUS
CN Acetamide, 2-(acetylamino)-2-methoxy-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



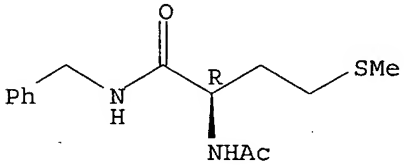
RN 147598-87-6 HCAPLUS
CN Propanamide, 2-(acetylamino)-N-[(3-fluorophenyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



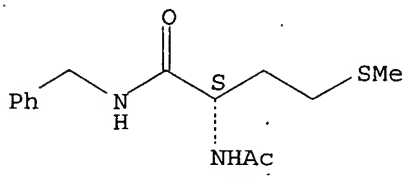
RN 163957-52-6 HCAPLUS
CN Butanamide, 2-(acetylamino)-4-(methylthio)-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



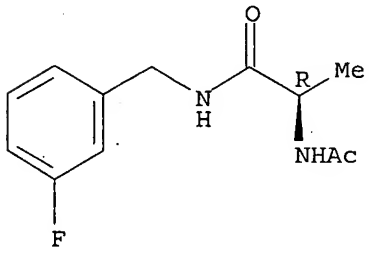
RN 163957-53-7 HCAPLUS
CN Butanamide, 2-(acetylamino)-4-(methylthio)-N-(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 163957-54-8 HCAPLUS
CN Propanamide, 2-(acetylamino)-N-[(3-fluorophenyl)methyl]-, (2R)- (9CI) (CA INDEX NAME)

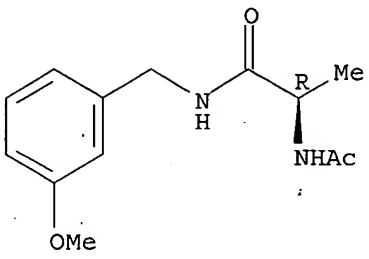
Absolute stereochemistry.



RN 163957-55-9 HCAPLUS
CN Propanamide, 2-(acetylamino)-N-[(3-methoxyphenyl)methyl]-, (2R)- (9CI)

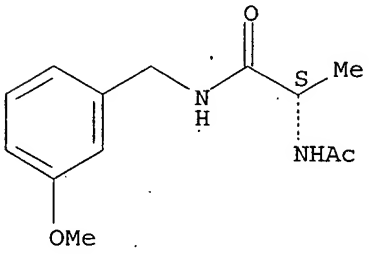
(CA INDEX NAME)

Absolute stereochemistry.



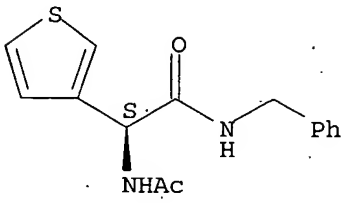
RN 163957-56-0 HCAPLUS
CN Propanamide, 2-(acetylamino)-N-[(3-methoxyphenyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



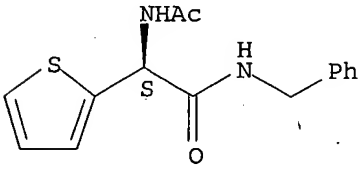
RN 163957-57-1 HCAPLUS
CN 3-Thiopheneacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



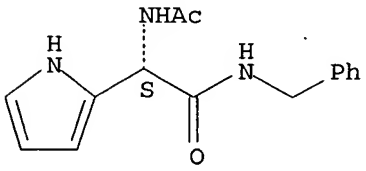
RN 163957-58-2 HCAPLUS
CN 2-Thiopheneacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



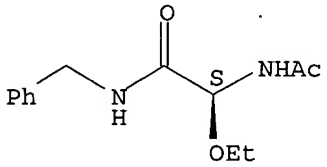
RN 163957-59-3 HCAPLUS
CN 1H-Pyrrole-2-acetamide, α-(acetylamino)-N-(phenylmethyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



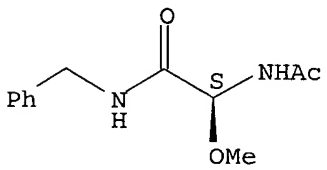
RN 163957-60-6 HCAPLUS
CN Acetamide, 2-(acetylamino)-2-ethoxy-N-(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



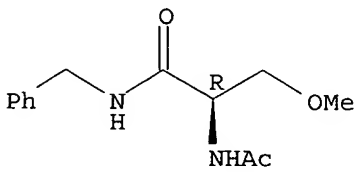
RN 163957-61-7 HCAPLUS
CN Acetamide, 2-(acetylamino)-2-methoxy-N-(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



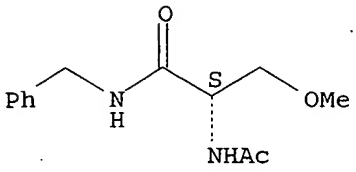
RN 175481-36-4 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-methoxy-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



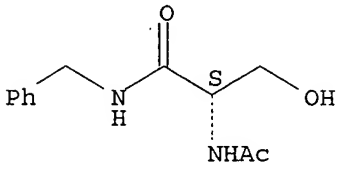
RN 175481-37-5 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-methoxy-N-(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



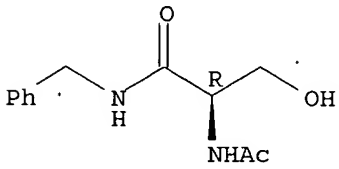
IT **171623-03-3P 175481-38-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid derivs. useful for treating stroke)
RN 171623-03-3 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-hydroxy-N-(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 175481-38-6 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-hydroxy-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:268392 HCAPLUS
DOCUMENT NUMBER: 128:326527
TITLE: Platelet substitutes and conjugation methods suitable for their preparation
INVENTOR(S): Heath, David; Middleton, Sarah Margaret; **Harris, Roy**; Church, Nicola Jane
PATENT ASSIGNEE(S): Andaris Ltd., UK
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9817319	A2	19980430	WO 1997-GB2877		19971017
WO 9817319	A3	19980611			
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
TW 416853	B	20010101	TW 1997-86106932		19970523
CA 2269335	AA	19980430	CA 1997-2269335		19971017
AU 9747135	A1	19980515	AU 1997-47135		19971017
AU 718956	B2	20000504			
US 5977313	A	19991102	US 1997-953514		19971017
EP 1028752	A2	20000823	EP 1997-909451		19971017
EP 1028752	B1	20041215			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 2001504813	T2	20010410	JP 1998-519100		19971017
AT 284714	E	20050115	AT 1997-909451		19971017
ES 2232862	T3	20050601	ES 1997-909451		19971017
ZA 9709414	A	19981021	ZA 1997-9414		19971021
MX 9903677	A	20000531	MX 1999-3677		19990420
PRIORITY APPLN. INFO.:			GB 1996-21886	A	19961021
			GB 1997-2652	A	19970210
			GB 1996-10340	A	19960517
			GB 1996-15436	A	19960723
			WO 1997-GB2877	W	19971017

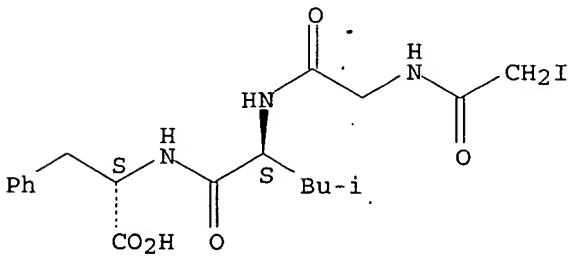
AB Platelet substitutes, comprising fibrinogen, or analogous products useful in therapy, comprise an insol. carrier to which is bound an essentially non-degraded active protein including the sequence RGD. Such conjugates can be made by a conjugation process comprising 0.01 to 2.5 % by weight active fibrinogen, and no more than 50 % inactive fibrinogen. Iodoacetic acid N-hydroxysuccinimide was reacted with tetraalanine, EDC and fibrinogen added, and then microcapsules were added.

IT **206983-22-4**
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(platelet substitutes and conjugation methods)

RN 206983-22-4 HCAPLUS

CN L-Phenylalanine, N-(iodoacetyl)glycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L26 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:236217 HCAPLUS
DOCUMENT NUMBER: 129:2060
TITLE: Hydroxylation of Lys residues reduces their susceptibility to digestion by trypsin and lysyl endopeptidase
AUTHOR(S): Molony, Michael S.; Quan, Clifford; Mulkerrin, Michael G.; **Harris, Reed J.**
CORPORATE SOURCE: Department of Analytical Chemistry, Genentech, Inc., South San Francisco, CA, 94080, USA
SOURCE: Analytical Biochemistry (1998), 258(1), 136-137
CODEN: ANBCA2; ISSN: 0003-2697
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English

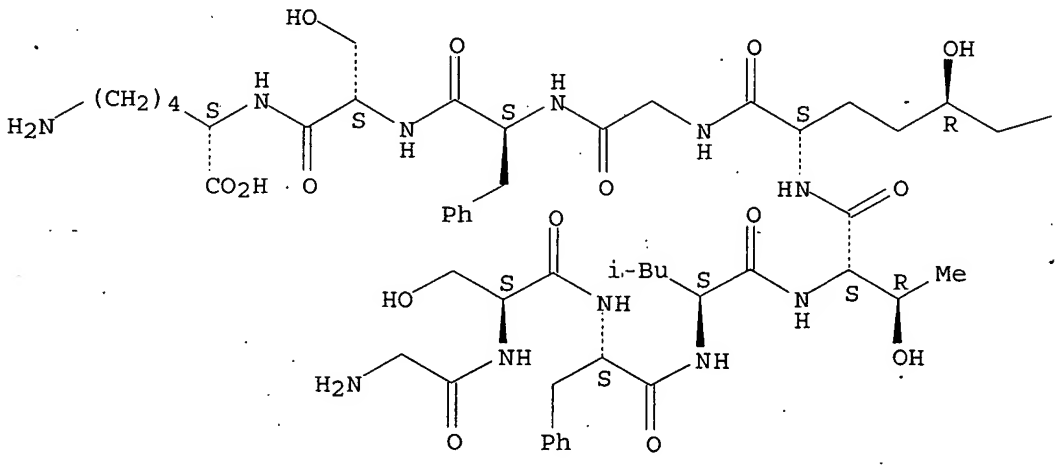
AB Two decapeptides were synthesized containing either Lys or L-hydroxyllysine (Hyl). The decapeptides were digested by either trypsin or lysyl endopeptidase to determine their relative susceptibility to hydrolysis. The results showed that the Hyl-containing peptide is less susceptible to either proteinase than the Lys-containing peptide. Hyl did not prevent cleavage of the peptide bond, but it apparently rendered the enzymes less efficient.

IT **207568-07-8 207568-08-9**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(hydroxylation of Lys residues reduces susceptibility of peptide to digestion by trypsin and lysyl endopeptidase)

RN 207568-07-8 HCAPLUS
CN L-Lysine, glycyl-L-seryl-L-phenylalanyl-L-leucyl-L-threonyl-(5R)-5-hydroxy-L-lysylglycyl-L-phenylalanyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

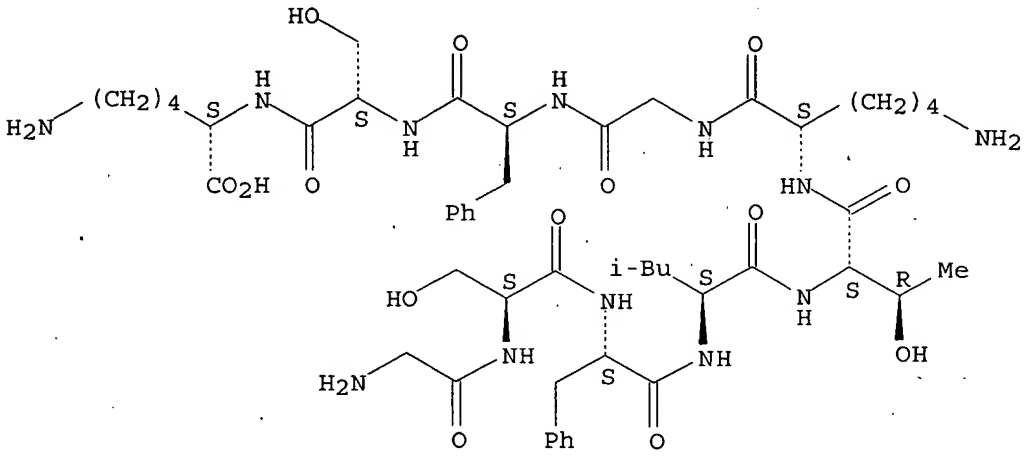


PAGE 1-B

—NH2

RN 207568-08-9 HCAPLUS
CN L-Lysine, glycyl-L-seryl-L-phenylalanyl-L-leucyl-L-threonyl-L-lysylglycyl-L-phenylalanyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:959088 HCAPLUS
DOCUMENT NUMBER: 124:7005
TITLE: Presentation of peptides by cultured monocytes or activated T cells allows specific priming of human cytotoxic T lymphocytes in vitro
AUTHOR(S): Gagliardi, Maria Cristina; De Petrillo, Guido; Salemi, Simonetta; Boffa, Laura; Longobardi, Maria Grazia; Dellabona, Paolo; Casorati, Giulia; Tanigaki, Nabuyuki; **Harris, Reuben**; et al.
CORPORATE SOURCE: Ist. I Clinica Med., Univ. Roma 'La Sapienza', Rome, 00161, Italy
SOURCE: International Immunology (1995), 7(11), 1741-52
CODEN: INIMEN; ISSN: 0953-8178
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The conditions favoring effective specific cytotoxic T lymphocyte (CTL) priming have been exploited to set up a simple and reproducible method to induce a primary CTL response in vitro. The authors report that cultured monocytes, as well as activated T cells, pulsed with exogenous HLA-A2 binding immunogenic peptides, can induce primary peptide-specific CTL responses in vitro in a Th-independent manner. Primary viral peptide-induced CTL were HLA-A2 restricted, and recognized both peptide-pulsed target cells and targets infected with recombinant vaccinia virus expressing viral endogenous antigens. In addition, both cultured monocytes and activated T cells primed peptide-specific CD8+ T cells depleted from the CD45RO+ memory cell fraction. The efficiency of CTL priming by monocytes was dependent upon the strong up-regulation of class I, adhesion, and co-stimulatory mols. occurring spontaneously upon in vitro culture. The inability of unsepd. peripheral blood mononuclear cells to mount a peptide-specific CTL response was reverted by direct

co-stimulation of responding CD8+ T cells by soluble B7.1 or a stimulatory anti-CD28 antibody, that allowed a specific response to take place. Although co-stimulation via the B7-CD28 interaction appeared sufficient to trigger CTL responses, it was not essential for CTL priming, since neither anti-B7.1 mAb nor soluble CTLA-4 inhibited induction of primary CTL response. This new method for induction of specific CD8+ T cell response in vitro may be exploited in adoptive immunotherapy in cancer or in HIV-infected patients.

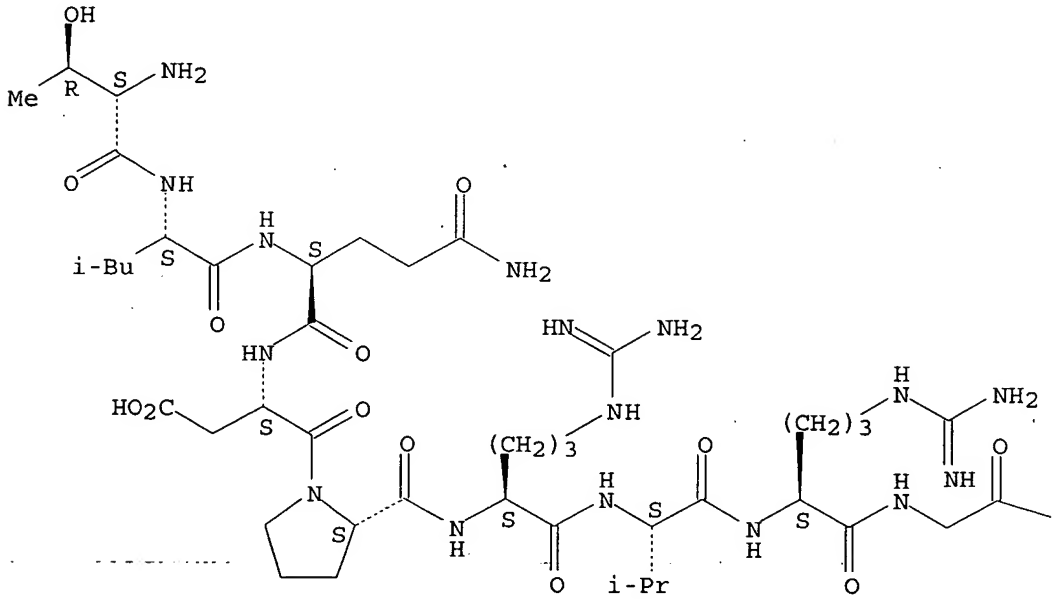
IT **149205-64-1**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(HLA-A2-restricted peptide presentation by monocytes or activated T cells allows specific priming of human cytotoxic T lymphocytes)

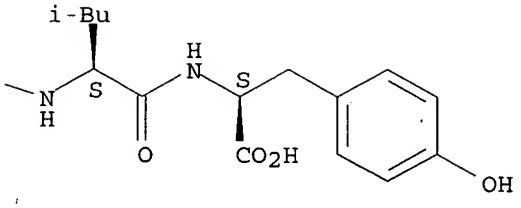
RN 149205-64-1 HCAPLUS

CN L-Tyrosine, N-[N-[N-[N2-[N-[N2-[1-[N-[N2-(N-L-threonyl-L-leucyl)-L-glutaminyl]-L-α-aspartyl]-L-prolyl]-L-arginyl]-L-valyl]-L-arginyl]glycyl]-L-leucyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





L26 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:530609 HCAPLUS
DOCUMENT NUMBER: 115:130609
TITLE: Mapping the active site of meprin-A with peptide substrates and inhibitors
AUTHOR(S): Wolz, Russell L.; **Harris, Robert B.**; Bond, Judith S.
CORPORATE SOURCE: Dep. Biochem., Virginia Polytechnic Inst. and State Univ., Blacksburg, VA, 24061-0308, USA
SOURCE: Biochemistry (1991), 30(34), 8488-93
CODEN: BICHAW; ISSN: 0006-2960
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The extended substrate-binding site of meprin A (I), a tetrameric metalloendopeptidase from brush border membranes of mouse kidney proximal tubules, was mapped with a series of peptide substrates. Previous studies led to the development of the chromogenic substrate, 5-(4-nitrophenylalanine)-bradykinin (II) for I. With this substrate, several biol. active peptides were screened as alternate substrate inhibitors; of these, bradykinin (RPPGFSPFR) was the best substrate with a single cleavage site (Phe5-Ser6). Three types of bradykinin analogs were used for a systematic investigation of substrate specificity: (1) nonchromogenic bradykinin analogs with substitutions in the P3 to P3' subsites were used as alternative substrate inhibitors of II hydrolysis, (2) analogs of II with variations in the P1' position were tested as substrates, and (3) intramolecularly quenched fluorogenic bradykinin analogs with substitutions in the P1 to P3 sites were tested as substrates. A wide variety of substitutions in subsite P1' had little effect on the Km (174-339 μM), but markedly affected the kcat (51.5-0 s-1). Substitutions in subsite P1 had a greater effect on the Km (336 μM-2.46 mM) and also strongly affected the kcat (98.5-2.4 s-1). The variety of allowed cleavages indicated that I does not have strict

requirements for residues adjacent to the cleavage site. Substitutions farther from the scissle bond also affected binding and hydrolysis, demonstrating that multiple subsite interactions are involved in I action. It is proposed that conformational constraints at the X6-Pro7 bond affect bradykinin hydrolysis (X = amino acid). A general preference for the naturally occurring bradykinin core sequence was observed, which was consistent with the possibility that bradykinin is a physiol. substrate for I. A total of 15 amino acid hydroxamates were tested for inhibition of I and had Ki values ranging from 24 μM for tyrosine hydroxamate to 1.8 mM for β-aspartic acid hydroxamate. The bradykinin product analog peptides, AcRPGY and AcRPGY-NHOH, were very good inhibitors of I with Ki values of 15.6 and 3.7 μM, resp. Both tetrapeptides inhibited I via a simple noncompetitive mechanism, suggesting the possible existence of regulatory binding sites.

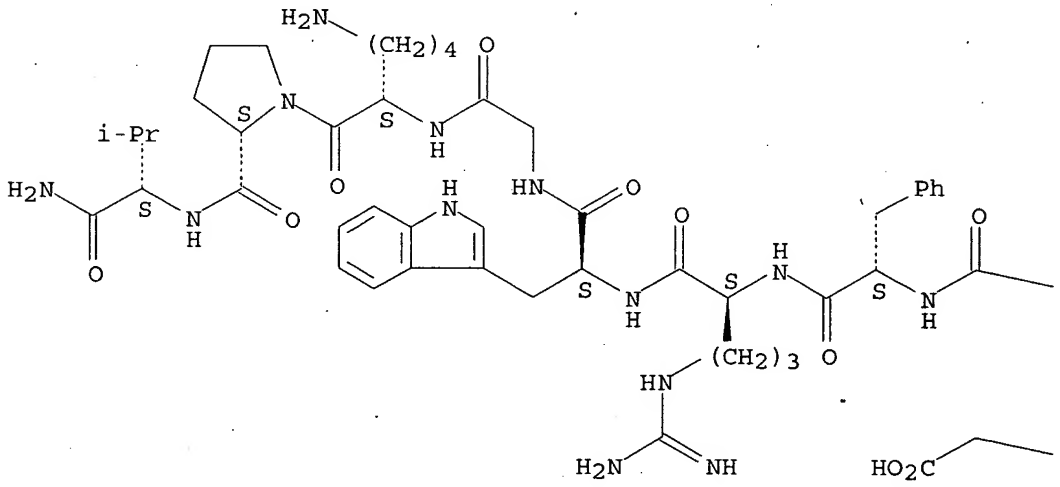
IT **581-05-5**, α-Melanocyte stimulating hormone
135258-05-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with meprin A, kinetics of, active site mapping in relation to)

RN 581-05-5 HCAPLUS

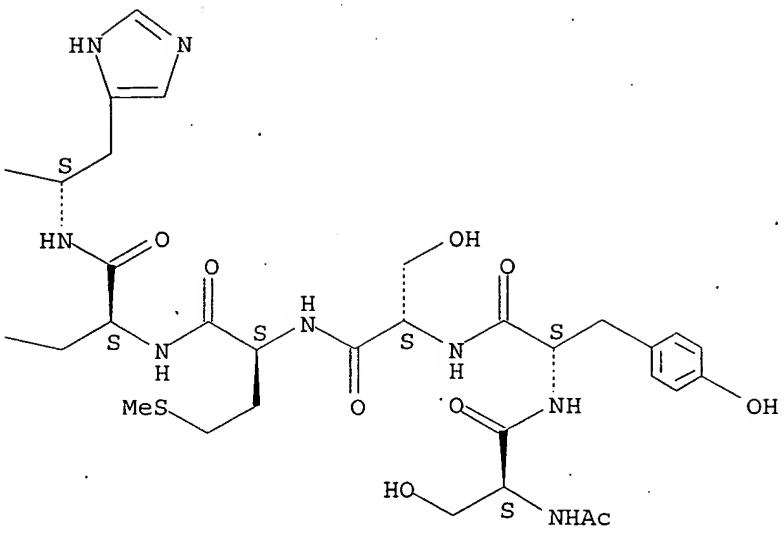
CN α-Melanotropin (swine) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



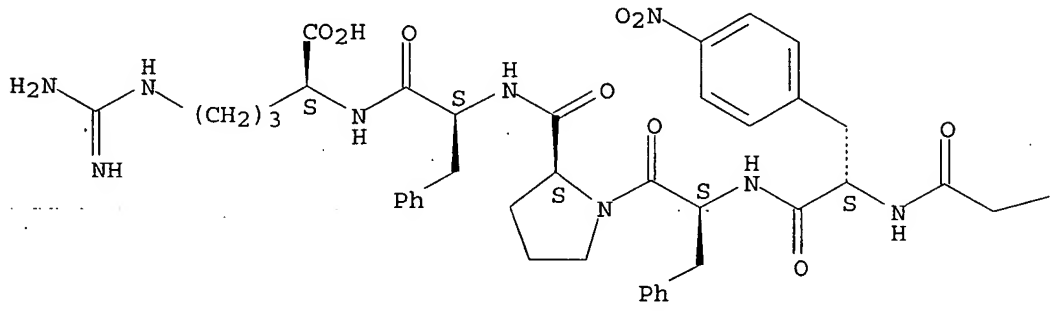
PAGE 1-B

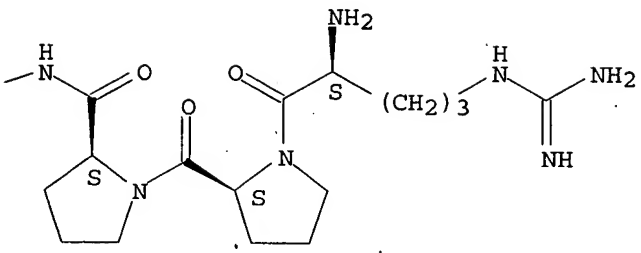


RN 135258-05-8 HCAPLUS
CN Bradykinin, 5-(4-nitro-L-phenylalanine)-6-L-phenylalanine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





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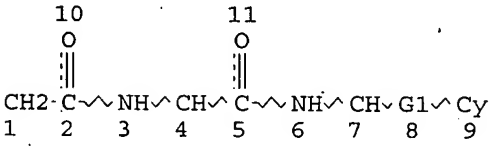
L1 STR



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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE
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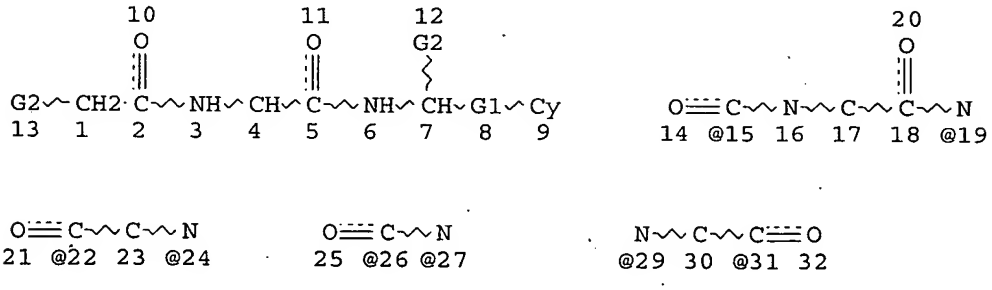
L11 5648 SEA FILE=HCAPLUS ABB=ON PLU=ON ?MIGRAIN? OR ANTIMIGRAINE AGENTS/CV OR HEADACHE (L) MIGRAINE/CV
L18 STR



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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  31

STEREO ATTRIBUTES: NONE
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L20       16996 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L19
L21          20 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L20 AND L11
L22          19 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L20 AND ?HEADACHE?
L23           5 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L22 NOT L21
L24        4613 SEA FILE=HCAPLUS ABB=ON  PLU=ON  HARRIS R?/AU
L25           8 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L24 AND L20
L26           7 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L25 NOT (L21 OR L23)
L27             TRANSFER  PLU=ON  L26 3 RN :      28 TERMS
L28          28 SEA FILE=REGISTRY ABB=ON  PLU=ON  L27
L29          22 SEA FILE=REGISTRY ABB=ON  PLU=ON  L28 AND L2
L30          26 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L29
L31          24 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L30 NOT (L21 OR L23 OR L26)
L32          14 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L31 AND PD=<AUGUST 30, 2000


=>
=>

=> d ibib abs hitstr l32 1-14

L32  ANSWER 1 OF 14  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:        2000:238055  HCAPLUS
DOCUMENT NUMBER:         132:251424
TITLE:                   Anticonvulsant enantiomeric amino acid derivatives
INVENTOR(S):             Kohn, Harold; Andurkar, Shridhar V.
PATENT ASSIGNEE(S):      Research Corporation Tech., Inc., USA
SOURCE:                  U.S., 9 pp., Cont.-in-part of U.S. 5,773,475.
                         CODEN: USXXAM
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  4
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     US 6048899            A     20000411    US 1998-107206          19980629 <--
     US 5773475            A     19980630    US 1997-818688          19970317 <--
     WO 2000000463         A1    20000106    WO 1999-US14765         19990629 <--
         W:  AU, CA, JP
         RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE
     AU 9948464            A1    20000117    AU 1999-48464           19990629 <--
PRIORITY APPLN. INFO.:                       US 1997-818688      A2  19970317
                                             US 1998-107206      A   19980629
                                             WO 1999-US14765     W   19990629
AB   (R)-N-benzyl-2-amino-3-methoxypropionamide,an anticonvulsant and an
     intermediate in the preparation of other anticonvulsants, was prepared from
     D-serine.
IT   175481-36-4P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of anticonvulsant enantiomeric amino acid derivs.)
RN   175481-36-4  HCAPLUS
CN   Propanamide, 2-(acetylamino)-3-methoxy-N-(phenylmethyl)-, (2R)- (9CI)  (CA
     INDEX NAME)
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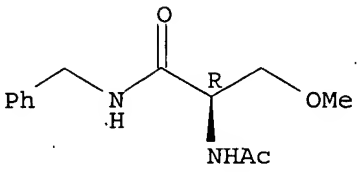
Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:15161 HCAPLUS
DOCUMENT NUMBER: 132:78846
TITLE: Preparation of anticonvulsant enantiomeric amino acid derivatives
INVENTOR(S): Kohn, Harold; Andurkar, Shridhar V.
PATENT ASSIGNEE(S): Research Corporation Technologies, Inc., USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

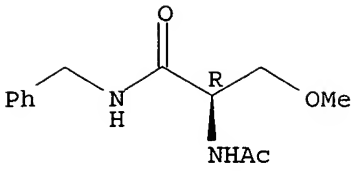
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000000463	A1	20000106	WO 1999-US14765	19990629 <--
W: AU, CA, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6048899	A	20000411	US 1998-107206	19980629 <--
AU 9948464	A1	20000117	AU 1999-48464	19990629 <--
PRIORITY APPLN. INFO.:			US 1998-107206 A	19980629
			US 1997-818688 A2	19970317
			WO 1999-US14765 W	19990629

AB The present invention is directed to N-benzyl-2-amino-3-methoxypropionamide (I) and its stereoisomers for use as anticonvulsants. Thus, D-serine underwent sequential benzyloxycarbonylation, methylation, saponification, amidation with benzylamine, and hydrogenolysis to afford I as an approx. 85:15 mixture of R and S stereoisomers. (R)-I exhibits anticonvulsant activity and has relatively low neurol. toxicity in rats.

IT **175481-36-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of anticonvulsant enantiomeric amino acid derivs.)

RN 175481-36-4 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-methoxy-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

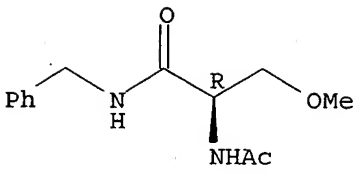
L32 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:9428 HCAPLUS
DOCUMENT NUMBER: 132:131787
TITLE: The anticonvulsant activities of N-benzyl 3-methoxypropionamides
AUTHOR(S): Andurkar, Shridhar V.; Stables, James P.; Kohn, Harold
CORPORATE SOURCE: Department of Chemistry, University of Houston, Houston, TX, 77204-5641, USA
SOURCE: Bioorganic & Medicinal Chemistry (1999), 7(11), 2381-2389
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We recently reported that the ED50 value for (R,S)-N-benzyl-2,3-dimethoxypropionamide (I) in the maximal electroshock (MES)-induced seizure test in mice was 30 mg/kg. This value is comparable to that observed for phenobarbital (ED50=22 mg/kg). Compound I is structurally similar to a class of MES-selective anticonvulsant agents, termed functionalized amino acids that were developed in our laboratory The distinguishing feature of termed functionalized amino acids is the differential activities observed for enantiomers. In this study, we asked whether comparable differences in activities were observed in the MES-induced seizure test for (R)- and (S)-I. We developed stereospecific syntheses for these enantiomers and showed that both compds. exhibit nearly equal anticonvulsant activity in mice (i.p.) (MES ED50=79-111 mg/kg). The surprisingly high ED50 values for (R)- and (S)-I required redetermining the ED50 value for (R,S)-I. This value was revised to 79 mg/kg. A limited structure-activity relationship study for I was conducted. Special attention was given to the C(2) methoxy unit in I. Replacement of this moiety led to only modest differences in the MES activities upon i.p. administration to mice. Significantly, an enhancement in the anticonvulsant activity for (R,S)-N-benzyl 2-hydroxy-3-methoxypropionamide upon oral administration to rats was observed (mice (i.p.) ED50 > 100, < 300 mg/kg; rat (oral) ED50=62 mg/kg). The activities of 3-methoxypropionamides, functionalized amino acids, and related compds. are discussed.

IT **175481-36-4 175481-37-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation and anticonvulsant activities of methoxypropionamides)

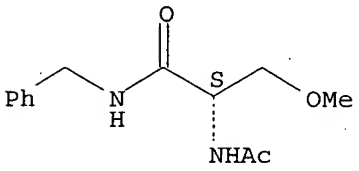
RN 175481-36-4 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-methoxy-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 175481-37-5 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-methoxy-N-(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



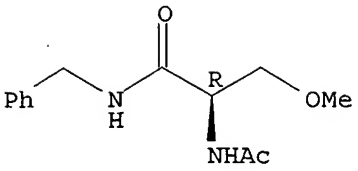
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:805242 HCAPLUS
DOCUMENT NUMBER: 130:125359
TITLE: Synthesis and anticonvulsant activities of (R)-(O)-methylserine derivatives
AUTHOR(S): Andurkar, Shridhar V.; Stables, James P.; Kohn, Harold
CORPORATE SOURCE: Department of Chemistry, University of Houston, Houston, TX, 77204-5641, USA
SOURCE: Tetrahedron: Asymmetry (1998), 9(21), 3841-3854
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:125359

AB Efficient procedures for the synthesis of (R)-N-benzyl-2-amino-3-methoxypropionamide, 2-acetamido-3-methoxypropionic acid, and O-methylserine are described beginning from (R)-Cbz-serine. The reactions proceeded with little or no racemization and permitted the synthesis of the potent anticonvulsant (R)-N-benzyl-2-acetamido-3-methoxypropionamide, (R)-2. The anticonvulsant activities of the products were determined, revealing the surprising activity of (R)-2.

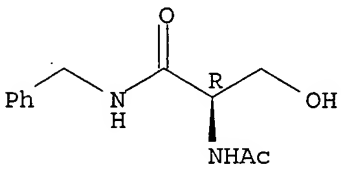
IT **175481-36-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and anticonvulsant activities of (R)-methylserine derivs.)
RN 175481-36-4 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-methoxy-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT **175481-38-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and anticonvulsant activities of (R)-methylserine derivs.)
RN 175481-38-6 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-hydroxy-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:430073 HCAPLUS
DOCUMENT NUMBER: 129:109329
TITLE: Preparation of anticonvulsant enantiomeric amino acid derivatives
INVENTOR(S): Kohn, Harold
PATENT ASSIGNEE(S): Research Corporation Technologies, Inc., USA
SOURCE: U.S., 19 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
US 5773475	A	19980630	US 1997-818688		19970317	<--
US 6048899	A	20000411	US 1998-107206		19980629	<--
US 38551	E	20040706	US 2002-58634		20020128	
PRIORITY APPLN. INFO.:			US 1996-13522P	P	19960315	
			US 1997-818688	A2	19970317	

OTHER SOURCE(S): MARPAT 129:109329
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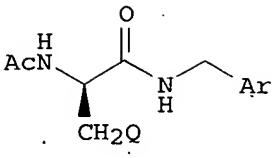
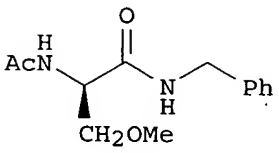


I



II

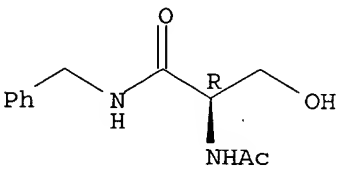
AB The present invention is directed to compds. I (Ar = aryl, halo-substituted aryl; Q = lower alkoxy) in which the asym. carbon configuration is R, pharmaceutical compns. containing I, and the use of I in treating CNS disorders in animals. Thus, O-alkylation of N-acetyl-D-serine benzylamide (preparation given) with MeI in the presence of Ag2O gave 87% desired D-amino acid amide II. II and related D-amino acid amides showed excellent anticonvulsant activity in mice and rats, and also showed low toxicity.

IT **175481-38-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of anticonvulsant enantiomeric amino acid derivs.)

RN 175481-38-6 HCAPLUS

CN Propanamide, 2-(acetylamino)-3-hydroxy-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

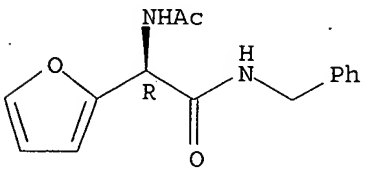


IT **124509-55-3P 124509-56-4P 175481-36-4P 175481-37-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anticonvulsant enantiomeric amino acid derivs.)

RN 124509-55-3 HCAPLUS

CN 2-Furanacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)- (9CI) (CA INDEX NAME)

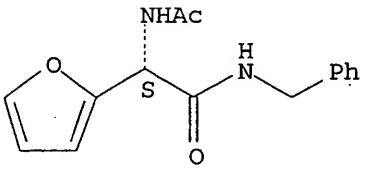
Absolute stereochemistry. Rotation (-).



RN 124509-56-4 HCAPLUS

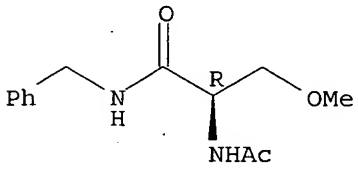
CN 2-Furanacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



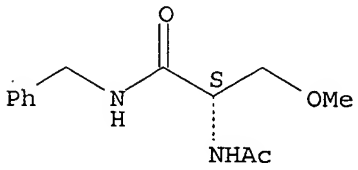
RN 175481-36-4 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-methoxy-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



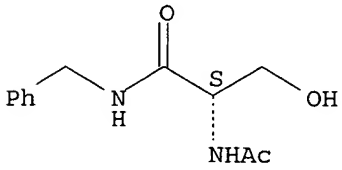
RN 175481-37-5 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-methoxy-N-(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **171623-03-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of anticonvulsant enantiomeric amino acid derivs.)
RN 171623-03-3 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-hydroxy-N-(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN

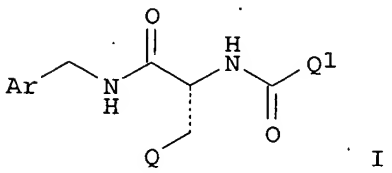
ACCESSION NUMBER: 1997:623142 HCAPLUS
DOCUMENT NUMBER: 127:278462
TITLE: Preparation of anticonvulsant enantiomeric amino acid derivatives
INVENTOR(S): Kohn, Harold
PATENT ASSIGNEE(S): Research Corporation Technologies, Inc., USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9733861	A1	19970918	WO 1997-US4579	19970317 <--
W: AU, CA, JP, RO				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2248317	AA	19970918	CA 1997-2248317	19970317 <--
CA 2248317	C	20031202		
AU 9725394	A1	19971001	AU 1997-25394	19970317 <--
AU 718577	B2	20000413		
EP 888289	A1	19990107	EP 1997-916897	19970317 <--
EP 888289	B1	20010613		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE, PT, IE, FI				
JP 2000505476	T2	20000509	JP 1997-532928	19970317 <--
JP 3145414	B2	20010312		
EP 1038522	A2	20000927	EP 2000-109377	19970317
EP 1038522	A3	20030115		
EP 1038522	B1	20040602		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE, PT, IE, FI				
ES 2157567	T3	20010816	ES 1997-916897	19970317
AT 268169	E	20040615	AT 2000-109377	19970317
PT 1038522	T	20041029	PT 2000-109377	19970317
ES 2218024	T3	20041116	ES 2000-109377	19970317

PRIORITY APPLN. INFO.:

US 1996-13522P	P	19960315
EP 1997-916897	A3	19970317
WO 1997-US4579	W	19970317

OTHER SOURCE(S): MARPAT 127:278462
GI

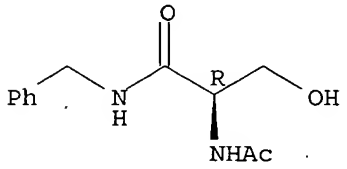


I

AB The present invention is directed to (R)-N-benzyl-2-acetamidopropionamide derivs. I (Ar = Ph, halo-substituted Ph; Q = lower alkoxy; Q1 = Me) and pharmaceutical compns. thereof, and their use in treating CNS disorders in animals. Thus, sequential N-acetylation of D-serine, amidation with benzylamine, and O-methylation with MeI/Ag2O gave desired serine derivative Ac-D-Ser(Me)-NHCH2Ph (II). Extensive pharmacol. and toxicity data are given for II and related compds.

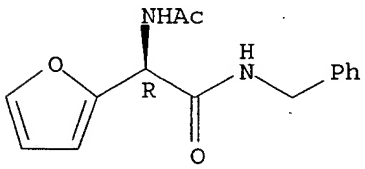
IT **175481-38-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of chiral benzyl(acetamido)propionamide derivs. as anticonvulsants)
RN 175481-38-6 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-hydroxy-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



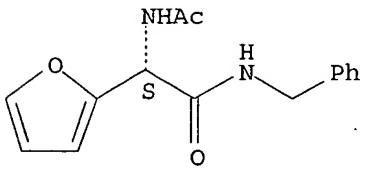
IT **124509-55-3P 124509-56-4P 175481-36-4P 175481-37-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of chiral benzyl(acetamido)propionamide derivs. as anticonvulsants)
RN 124509-55-3 HCAPLUS
CN 2-Furanacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



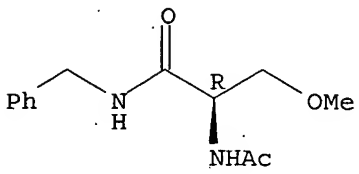
RN 124509-56-4 HCAPLUS
CN 2-Furanacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



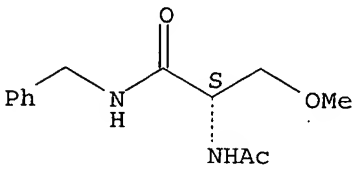
RN 175481-36-4 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-methoxy-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



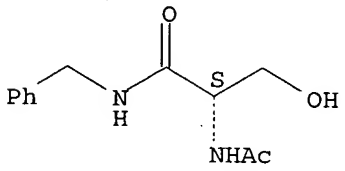
RN 175481-37-5 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-methoxy-N-(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **171623-03-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of chiral benzyl(acetamido)propionamide derivs. as anticonvulsants)
RN 171623-03-3 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-hydroxy-N-(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L32 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:613818 HCAPLUS
DOCUMENT NUMBER: 127:205889
TITLE: Preparation of amino acid derivatives as anticonvulsants
INVENTOR(S): Kohn, Harold L.; Watson, Darrell
PATENT ASSIGNEE(S): Research Corporation Technologies, Inc., USA
SOURCE: U.S., 49 pp., Cont.-in-part of U.S. 5,378,729.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 5654301	A	19970805	US 1993-3208	19930112	<--
AU 595538	B2	19900405	AU 1986-61766	19860821	<--
AU 8661766	A1	19880225			
AU 609062	B2	19910426	AU 1987-79491	19871006	<--
AU 8779491	A1	19890406			
JP 03506045	T2	19911226	JP 1990-508758	19900518	<--
US 5378729	A	19950103	US 1991-710610	19910604	<--
WO 9221648	A1	19921210	WO 1992-US4687	19920604	<--

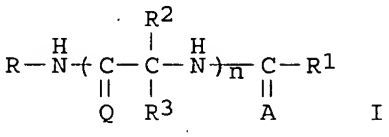
W: AU, CA, JP
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE

PRIORITY APPLN. INFO.:

US 1985-702195	A2	19850215
US 1986-916254	A2	19861007
US 1987-80528	B2	19870731
US 1989-354057	B2	19890519
US 1989-392870	B2	19890811
US 1991-710610	A2	19910604
WO 1992-US4687	W	19920604
WO 1990-US2834	W	19900518

OTHER SOURCE(S): MARPAT 127:205889

GI



I

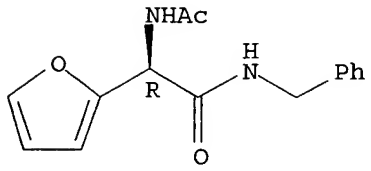
AB Amino acid derivs. I [R = H, (un)substituted lower alkyl, lower alkenyl, lower alkynyl, aryl, aryl lower alkyl, heterocyclic, heterocyclic lower alkyl, lower alkyl heterocyclic, lower cycloalkyl, lower cycloalkyl lower alkyl; R1 = H, (un)substituted lower alkyl, lower alkenyl, lower alkynyl, aryl lower alkyl, aryl, heterocyclic lower alkyl, heterocyclic, lower cycloalkyl, lower cycloalkyl lower alkyl; R2, R3 = independently R, SO3-, Z-Y; Z = O, S, S(O)a, NR4, PR4, bond; Y = H, (un)substituted lower alkyl, aryl, aryl lower alkyl, lower alkenyl, lower alkynyl, halo, heterocyclic, heterocyclic lower alkyl, cycloalkyl, cycloalkyl lower alkyl; Z-Y = NR4 NR5R7, NR4OR5, ONR4R7, OPR4R5, PR4OR5, SNR4R7, NR4SR7, SPR4R5, PR4SR7, NR4PR5R6PR4NR5R7; NR4COR5, SCOR5, NR4CO2R5, SCO2R5, NR4CONR4R5, NR4CONR5S(O)aR6, NR4CSNR5R6, NR4C(Q)MNR5C(A)OR6, CSNH2; R4-R6 = independently H, (un)substituted lower alkyl, aryl, aryl lower alkyl, lower alkenyl, or lower alkynyl; R7 = R6, CO2R8, COR8; R8 = H, (un)substituted lower alkyl, aryl lower alkyl; A, Q = independently O, S; M = (CH2)m, bond; m = 1-6; n = 1-4; a = 1-3] are claimed as anticonvulsants. Thus, acetylation of H-DL-Ala-NHCH2Ph with Ac2O in CH2Cl2 gave 54% Ac-DL-Ala-NHCH2Ph (II). II and related N-acetylamino acid benzylamides were tested for anticonvulsant activity in mice.

IT **124509-55-3P 124509-56-4P 147598-87-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as anticonvulsants)

RN 124509-55-3 HCAPLUS

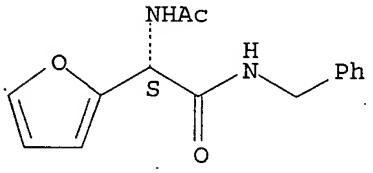
CN 2-Furanacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



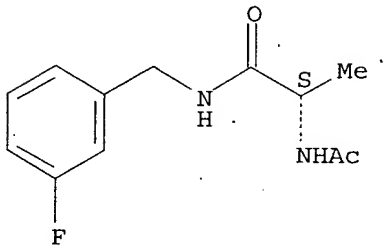
RN 124509-56-4 HCAPLUS
CN 2-Furanacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 147598-87-6 HCAPLUS
CN Propanamide, 2-(acetylamino)-N-[(3-fluorophenyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



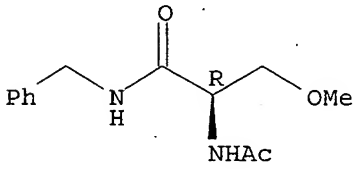
L32 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:202941 HCAPLUS
DOCUMENT NUMBER: 124:277980
TITLE: Synthesis and Anticonvulsant Activities of N-Benzyl-2-acetamidopropionamide Derivatives
AUTHOR(S): Choi, Daeock; Stables, James P.; Kohn, Harold
CORPORATE SOURCE: Department of Chemistry, University of Houston, Houston, TX, 77204-5641, USA
SOURCE: Journal of Medicinal Chemistry (**1996**), 39(9), 1907-16
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Studies have demonstrated that 2-substituted N-benzyl-2-acetamidoacetamides (I) are potent anticonvulsants. A recent investigation has led to the hypothesis that an important structural feature in I for maximal anticonvulsant activity is the placement of a small, substituted heteroatom moiety one atom from the C(2) site. This paper validates this hypothesis. Twelve derivs. of N-benzyl-2-

acetamidopropionamide have been prepared in which six different heteroatom substituents (chloro, bromo, iodo, oxygen, nitrogen, and sulfur) were incorporated at the C(3) site. Highly potent activities were observed for the two oxygen-substituted derivs., N-benzyl-2-acetamido-3-methoxypropionamide (II) and N-benzyl-2-acetamido-3-ethoxypropionamide (III). The ED50 values in mice following i.p. dosing for the maximal electroshock-induced seizure test for II and III were 8.3 and 17.3 mg/kg, resp. These values compared favorably to the ED50 value found for phenytoin (ED50 = 6.5 mg/kg). Comparable activities were observed for II and III upon oral (po) administration to rats (II, ED50 = 3.9 mg/kg; 19, ED50 = III mg/kg; phenytoin, ED50 = 23 mg/kg). Evaluation of the individual stereoisomers for II demonstrated that the principal anticonvulsant activity resided in the (R)-stereoisomer. The ED50 value for (R)-II was 4.5 mg/kg, and the ED50 for (S)-II exceeded 100 mg/kg. This difference in activity for the two stereochem. isomers surpassed comparable values for other members within this class of compds. The protective indexes (PI = TD50/ED50) (where TD50 represents a neurotoxic dose impairing rotorod performance) for (R)-II in mice (i.p.) and in rats (po) were 6.0 and >130, resp.

IT **175481-36-4P 175481-37-5P 175481-38-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and anticonvulsant activities of benzyl acetamidopropionamide derivs.)

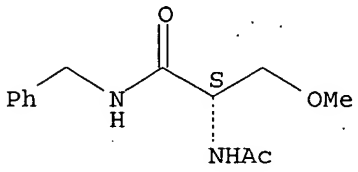
RN 175481-36-4 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-methoxy-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



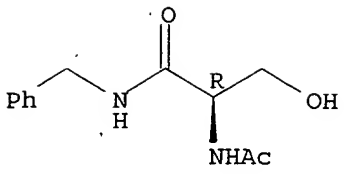
RN 175481-37-5 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-methoxy-N-(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



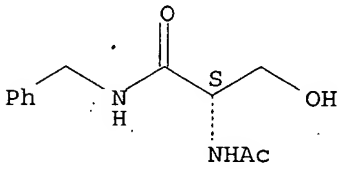
RN 175481-38-6 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-hydroxy-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



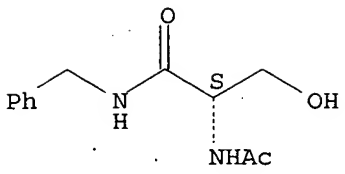
IT **171623-03-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and anticonvulsant activities of benzyl acetamidopropionamide derivs.)
RN 171623-03-3 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-hydroxy-N-(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L32 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:827739 HCAPLUS
DOCUMENT NUMBER: 124:30380
TITLE: Trimethylsilyl halides: effective reagents for the synthesis of β-halo amino acid derivatives
AUTHOR(S): Choi, Daecock; Kohn, Harold
CORPORATE SOURCE: Dep. Chem., Univ. Houston, Houston, TX, 77204-5641, USA
SOURCE: Tetrahedron Letters (**1995**), 36(39), 7011-14
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:30380
AB β-Haloalanine derivs. RNHCH(CH2X)COR1 (I; X = Cl, Br, iodo, R = Ac, R1 = NHCH2Ph; X = Cl, R = Ac, R1 = Gly-OEt; X = Cl, R = Ac-Gly, R1 = OMe) are prepared in moderate yields in one step from the corresponding serine compound I (X = OH) and trimethylsilyl halide.
IT **171623-03-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(trimethylsilyl halides as effective reagents for the synthesis of β-haloalanine derivs. from serines)
RN 171623-03-3 HCAPLUS
CN Propanamide, 2-(acetylamino)-3-hydroxy-N-(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L32 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:331671 HCAPLUS
DOCUMENT NUMBER: 123:33643
TITLE: Amino acid derivative anticonvulsants
INVENTOR(S): Kohn, Harold L.; Watson, Darrell
PATENT ASSIGNEE(S): Research Corporation Technologies, Inc., USA
SOURCE: U.S., 40 pp. Cont.-in-part of U.S. Ser. No. 354,057, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5378729	A	19950103	US 1991-710610	19910604 <--
AU 595538	B2	19900405	AU 1986-61766	19860821 <--
AU 8661766	A1	19880225		
AU 609062	B2	19910426	AU 1987-79491	19871006 <--
AU 8779491	A1	19890406		
JP 03506045	T2	19911226	JP 1990-508758	19900518 <--
CA 2110693	AA	19921210	CA 1992-2110693	19920604 <--
CA 2110693	C	20030520		
WO 9221648	A1	19921210	WO 1992-US4687	19920604 <--
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
AU 9221621	A1	19930108	AU 1992-21621	19920604 <--
AU 657985	B2	19950330		
EP 592490	A1	19940420	EP 1992-913324	19920604 <--
EP 592490	B1	19980107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
JP 06510985	T2	19941208	JP 1992-500650	19920604 <--
AT 161824	E	19980115	AT 1992-913324	19920604 <--
JP 2002241355	A2	20020828	JP 2001-371994	19920604
JP 3330374	B2	20020930	JP 1993-500650	19920604
US 5654301	A	19970805	US 1993-3208	19930112 <--

PRIORITY APPLN. INFO.:

US 1985-702195	B2	19850215
US 1986-916254	B2	19861007
US 1987-80528	B1	19870731
US 1989-354057	B2	19890519
US 1989-392870	B2	19890811
WO 1990-US2834	W	19900518
US 1991-710610	A	19910604
JP 1993-500650	A3	19920604
WO 1992-US4687	A	19920604

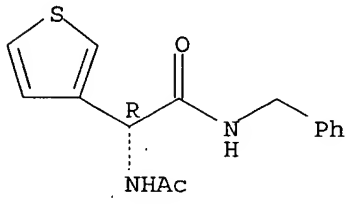
AB The present invention relates to compds. exhibiting central nervous system (CNS) activity which are useful in the treatment of epilepsy and other CNS disorders. The compds. of this invention have the following general formula: RNH(COCR2R3NH)nCOR1 wherein R is aryl, aryl lower alkyl,

heterocyclic lower alkyl, lower alkyl, or heterocyclic, each unsubstituted or substituted with at least one electron withdrawing substituent or at least one electron donating substituent; R1 is H or lower alkyl, unsubstituted or substituted with at least one electron withdrawing substituent or at least one electron donating substituent; R2 and R3, independently, are hydrogen, lower alkyl, lower alkenyl, lower alkynyl, aryl, aryl lower alkyl, heterocyclic lower alkyl, or heterocyclic, each unsubstituted or substituted with at least one electron withdrawing substituent or at least one electron donating substituent; halogen or a heteroatom containing oxygen, nitrogen, or sulfur said heteroatom being substituted with hydrogen, lower alkyl or aryl, said lower alkyl or aryl groups being substituted or unsubstituted; n is 1 to 4; and a pharmaceutically acceptable carrier. Anticonvulsant activity: ED50 (9253/kg) values for maximal electroshock seizures in the range of 3.3 [for (D)-(-)-α-acetamido-N-benzyl-2-furanacetamide] to >300 were observed; ED50 (9253/kg) = 55 for the s.c. pentylenetetrazole seizure threshold test was reported for N-acetyl-D-alanine-N'-benzylamide.

IT **134108-22-8P 134108-23-9P 134108-24-0P**
134108-25-1P 134108-26-2P 147598-87-6P
163957-52-6P 163957-53-7P 163957-54-8P
163957-55-9P 163957-56-0P 163957-57-1P
163957-58-2P 163957-59-3P 163957-60-6P
163957-61-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anticonvulsant amino acid derivs.)

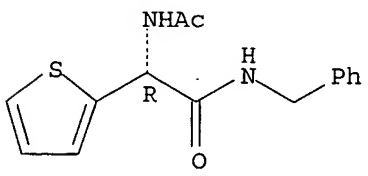
RN 134108-22-8 HCAPLUS
CN 3-Thiopheneacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



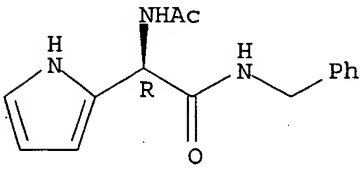
RN 134108-23-9 HCAPLUS
CN 2-Thiopheneacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



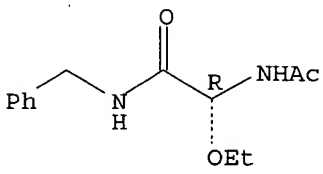
RN 134108-24-0 HCAPLUS
CN 1H-Pyrrole-2-acetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



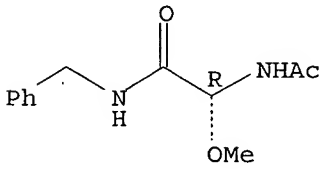
RN 134108-25-1 HCAPLUS
CN Acetamide, 2-(acetylamino)-2-ethoxy-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



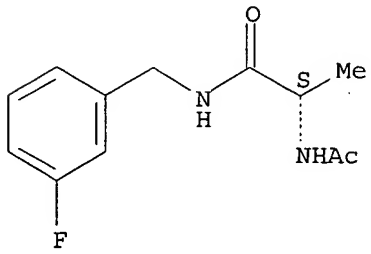
RN 134108-26-2 HCAPLUS
CN Acetamide, 2-(acetylamino)-2-methoxy-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



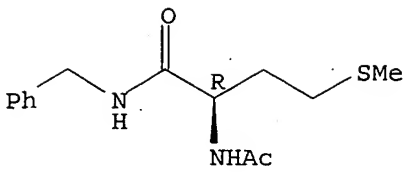
RN 147598-87-6 HCAPLUS
CN Propanamide, 2-(acetylamino)-N-[(3-fluorophenyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



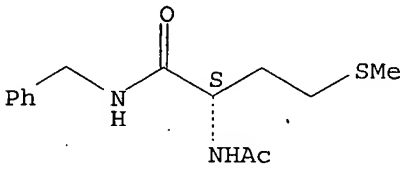
RN 163957-52-6 HCAPLUS
CN Butanamide, 2-(acetylamino)-4-(methylthio)-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



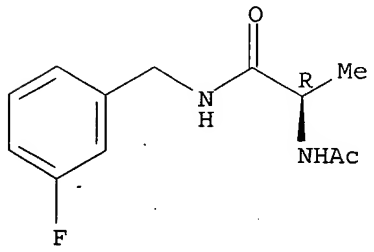
RN 163957-53-7 HCAPLUS
CN Butanamide, 2-(acetylamino)-4-(methylthio)-N-(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



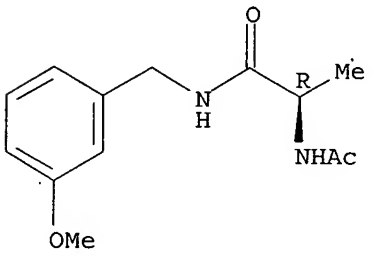
RN 163957-54-8 HCAPLUS
CN Propanamide, 2-(acetylamino)-N-[(3-fluorophenyl)methyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



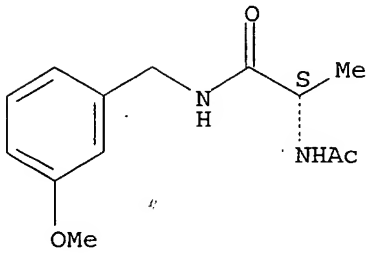
RN 163957-55-9 HCAPLUS
CN Propanamide, 2-(acetylamino)-N-[(3-methoxyphenyl)methyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



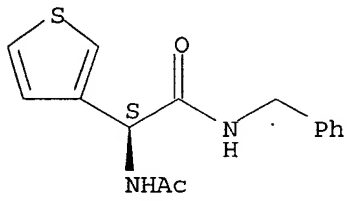
RN 163957-56-0 HCAPLUS
CN Propanamide, 2-(acetylamino)-N-[(3-methoxyphenyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



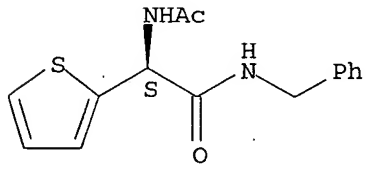
RN 163957-57-1 HCAPLUS
CN 3-Thiopheneacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



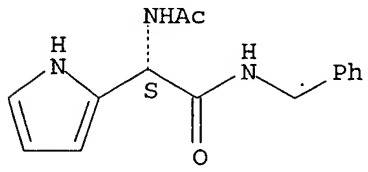
RN 163957-58-2 HCAPLUS
CN 2-Thiopheneacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



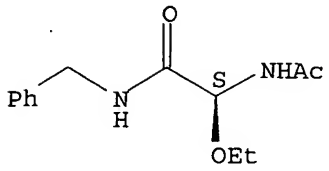
RN 163957-59-3 HCAPLUS
CN 1H-Pyrrole-2-acetamide, α-(acetylamino)-N-(phenylmethyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



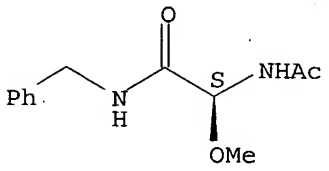
RN 163957-60-6 HCAPLUS
CN Acetamide, 2-(acetylamino)-2-ethoxy-N-(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



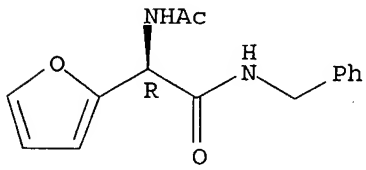
RN 163957-61-7 HCAPLUS
CN Acetamide, 2-(acetylamino)-2-methoxy-N-(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



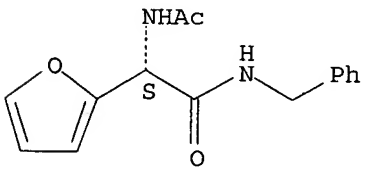
IT **124509-55-3P 124509-56-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(anticonvulsant amino acid derivs.)
RN 124509-55-3 HCAPLUS
CN 2-Furanacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



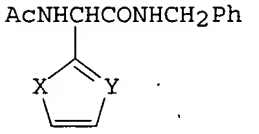
RN 124509-56-4 HCAPLUS
CN 2-Furanacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L32 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:23075 HCAPLUS

DOCUMENT NUMBER: 120:23075
TITLE: Synthesis and anticonvulsant activities of α-heterocyclic α-acetamido-N-benzylacetamide derivatives
AUTHOR(S): Kohn, Harold; Sawhney, Kailash N.; Bardel, Patrick; Robertson, David W.; Leander, J. David
CORPORATE SOURCE: Dep. Chem., Univ. Houston, Houston, TX, 77204-5641, USA
SOURCE: Journal of Medicinal Chemistry (1993), 36(22), 3350-60
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



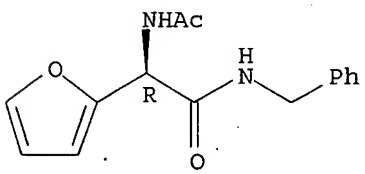
II, X=O, Y=CH
III, X=O, Y=N
IV, X=S, Y=N

AB Earlier studies showed the (RS)-α-acetamido-N-benzylacetamides (I) containing a 5- and 6-membered aromatic or heteroarom. group appended at the C(α) site displayed outstanding activity in the maximal electroshock-induced seizure (MES) test in mice. An expanded set of C(α)-heteroarom. analogs of I were prepared and evaluated. The observed findings extended the structure-activity relations previously discerned for this novel class of anticonvulsants and validated previous trends. The α-furanyl (II), α-oxazolyl (III), and α-thiazolyl-α-acetamido-N-benzylacetamides (IV) showed excellent protection against MES-induced seizures in mice. The ED50 and PI values for these adducts rivaled those reported for phenytoin. The outstanding properties provided by led to an in-depth examination of the effect of structural modification at key sites within this compound on biol. activity. The pharmacol. data in this series indicated that stringent steric and electronic requirements existed for maximal activity and revealed the outstanding activity of (R)-(-)-α-acetamido-N-(4-fluorobenzyl)-α-furan-2-yl-acetamide.

IT **124509-55-3P 124509-56-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and anticonvulsant activity of, structure in relation to)

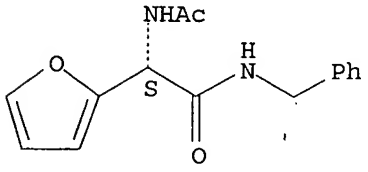
RN 124509-55-3 HCAPLUS
CN 2-Furanacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 124509-56-4 HCAPLUS
CN 2-Furanacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αS)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L32 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:408508 HCAPLUS
DOCUMENT NUMBER: 119:8508
TITLE: Amino acid derivative anticonvulsant
INVENTOR(S): Kohn, Harold L.; Watson, Darrell
PATENT ASSIGNEE(S): Research Corp. Technologies, Inc., USA
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9221648	A1	19921210	WO 1992-US4687	19920604	<--
W: AU, CA, JP					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE					
US 5378729	A	19950103	US 1991-710610	19910604	<--
AU 9221621	A1	19930108	AU 1992-21621	19920604	<--
AU 657985	B2	19950330			
EP 592490	A1	19940420	EP 1992-913324	19920604	<--
EP 592490	B1	19980107			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE					
JP 06510985	T2	19941208	JP 1992-500650	19920604	<--
JP 3330374	B2	20020930	JP 1993-500650	19920604	
US 5654301	A	19970805	US 1993-3208	19930112	<--

PRIORITY APPLN. INFO.:

US 1991-710610	A	19910604
US 1985-702195	B2	19850215
US 1986-916254	B2	19861007
US 1987-80528	B1	19870731
US 1989-354057	B2	19890519
US 1989-392870	B2	19890811
WO 1992-US4687	A	19920604

OTHER SOURCE(S): MARPAT 119:8508

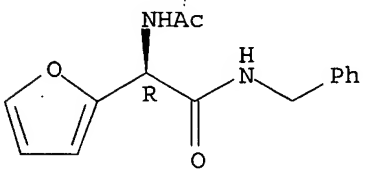
AB RNH(CXRR1R2NH)nCX1R3 [R = (un)substituted alkyl, aryl, heterocyclic, cycloalkyl; R1, R2 = H, (un)substituted alkyl, amino, OH, S(Om)H, heterocyclic, halo; R3 = (un)substituted alkyl, aryl, heterocyclic; X, X1 = O, S; m = 0-3; n = 1-4] were prepared Thus, AcNHCH(OEt)CO2Et was amidated with PhCH2NH2, followed by bromination and reaction with Me2NH to give AcNHCH(NMe2)CONHCH2Ph. The latter compound was quaternized with Me3O.BF4 and treated with MeONH2 to give AcNHCH(NHOMe)CONHCH2Ph (I). I had an ED50 in the maximum electroshock test of 6.2 mg/kg in mice and a median toxic dose of 46.0 mg/kg.

IT **124509-55-3P 124509-56-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and anticonvulsant activity of)

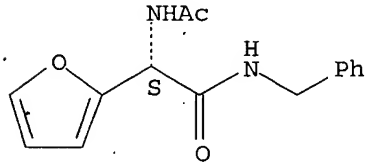
RN 124509-55-3 HCAPLUS
CN 2-Furanacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 124509-56-4 HCAPLUS
CN 2-Furanacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αS)-(9CI) (CA INDEX NAME)

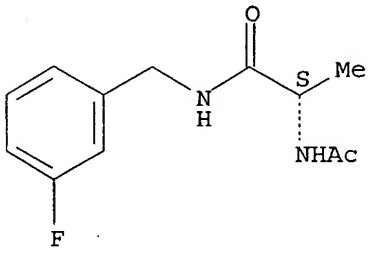
Absolute stereochemistry. Rotation (+).



IT **147598-87-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 147598-87-6 HCAPLUS
CN Propanamide, 2-(acetylamino)-N-[(3-fluorophenyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L32 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:247783 HCAPLUS
DOCUMENT NUMBER: 114:247783
TITLE: Preparation of 2-(acylamino)amides and analogs as anticonvulsants
INVENTOR(S): Kohn, Harold L.; Watson, Darrell
PATENT ASSIGNEE(S): Research Corp. Technologies, Inc., USA

SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 400440	A1	19901205	EP 1990-109596	19900521 <--
EP 400440	B1	20020313		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2017217	AA	19901119	CA 1990-2017217	19900518 <--
CA 2017217	C	20020219		
WO 9015069	A2	19901213	WO 1990-US2834	19900518 <--
WO 9015069	A3	19910207		
W: JP				
AU 9055195	A1	19910228	AU 1990-55195	19900518 <--
AU 641160	B2	19930916		
JP 03506045	T2	19911226	JP 1990-508758	19900518 <--
AT 214384	E	20020315	AT 1990-109596	19900521
ES 2171389	T3	20020916	ES 1990-109596	19900521

PRIORITY APPLN. INFO.: US 1989-354057 A 19890519
WO 1990-US2834 W 19900518

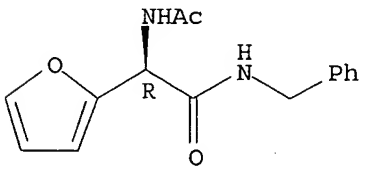
OTHER SOURCE(S): MARPAT 114:247783

AB R1CO(NHCR2R3CO)nNHR [R = aryl(alkyl), (un)substituted heterocyclyl(alkyl); R1 = H, (un)substituted alkyl; R2,R3 = H, ZY; R3 may addnl. = heterocyclyl; Z = O, S, NR4, PR4; Y = (un)substituted alkyl, alkenyl, alkynyl; XY = NR4NR5R6, NR4OR5, OPR4R5, etc.; R4-R6 = H, (un)substituted alkyl, aryl, alkenyl, etc.; n = 1-4] were prepared Thus, MeCONHCHBrCO2Et was stirred 5 h with furan in THF containing ZnCl2 and the product, after saponification, condensed with 4-FC6H4CH2NH2 to give D,L-MeCONHCHR3CONHCH2C6H4F-4 (R3 = 2-furyl) which had ED50 of 12.7 mg/kg (route of administration not given) for protection against maximal electroshock-induced convulsions in mice.

IT **124509-55-3P 134108-22-8P 134108-23-9P**
134108-24-0P 134108-25-1P 134108-26-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anticonvulsant)

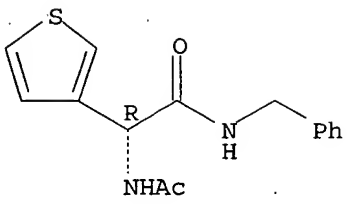
RN 124509-55-3 HCAPLUS
CN 2-Furanacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



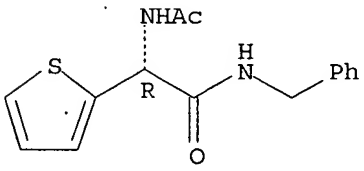
RN 134108-22-8 HCAPLUS
CN 3-Thiopheneacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



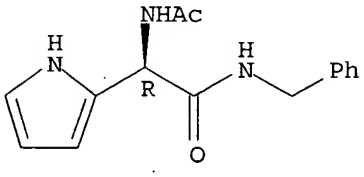
RN 134108-23-9 HCAPLUS
CN 2-Thiopheneacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



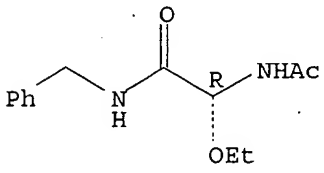
RN 134108-24-0 HCAPLUS
CN 1H-Pyrrole-2-acetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



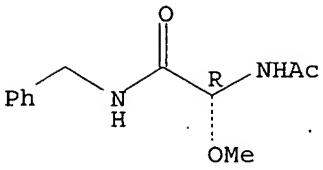
RN 134108-25-1 HCAPLUS
CN Acetamide, 2-(acetylamino)-2-ethoxy-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 134108-26-2 HCAPLUS
CN Acetamide, 2-(acetylamino)-2-methoxy-N-(phenylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

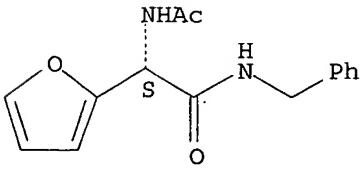


L32 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:119397 HCAPLUS
DOCUMENT NUMBER: 112:119397
TITLE: Preparation and anticonvulsant activity of a series of functionalized α-aromatic and α-heteroaromatic amino acids
AUTHOR(S): Kohn, Harold; Sawhney, Kailash N.; LeGall, Philippe; Conley, Judith D.; Robertson, David W.; Leander, J. David
CORPORATE SOURCE: Dep. Chem., Univ. Houston, Houston, TX, 77204-5641, USA
SOURCE: Journal of Medicinal Chemistry (1990), 33(3), 919-26
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:119397

AB (R,S)-α-Acetamido-N-benzyl-α-2-phenylacetamide (I) analogs were prepared (23 examples) and evaluated in the maximal electroshock seizure (MES) and horizontal screen (Tox) tests in mice. In several key cases, replacement of the α-Ph substituent in I by a relatively small, electron-rich, heteroarom. moiety led to a substantial improvement in the anticonvulsant potency of the drug candidate. The most active compds. were (R,S)-α-acetamido-N-benzyl-2-furanacetamide (II) and II-2-pyrroleacetamide (III). After i.p. administration, the MES ED50 values for II (10.3 mg/kg) and III (16.1 mg/kg) compared well with phenytoin (9.50 mg/kg). Evaluation of the 2 individual enantiomers of II demonstrated that the anticonvulsant activity resided in the R stereoisomer. The low ED50 value (3.3 mg/kg) for (R)-II contributed to the large protective index (TD50/ED50) observed for this drug candidate, which approached that of phenytoin.

IT **124509-56-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 124509-56-4 HCAPLUS
CN 2-Furanacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αS)- (9CI) (CA INDEX NAME)

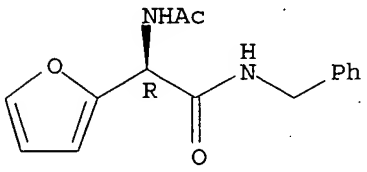
Absolute stereochemistry. Rotation (+).



IT **124509-55-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation, anticonvulsant activity, and crystal structure of)
RN 124509-55-3 HCAPLUS
CN 2-Furanacetamide, α-(acetylamino)-N-(phenylmethyl)-, (αR)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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